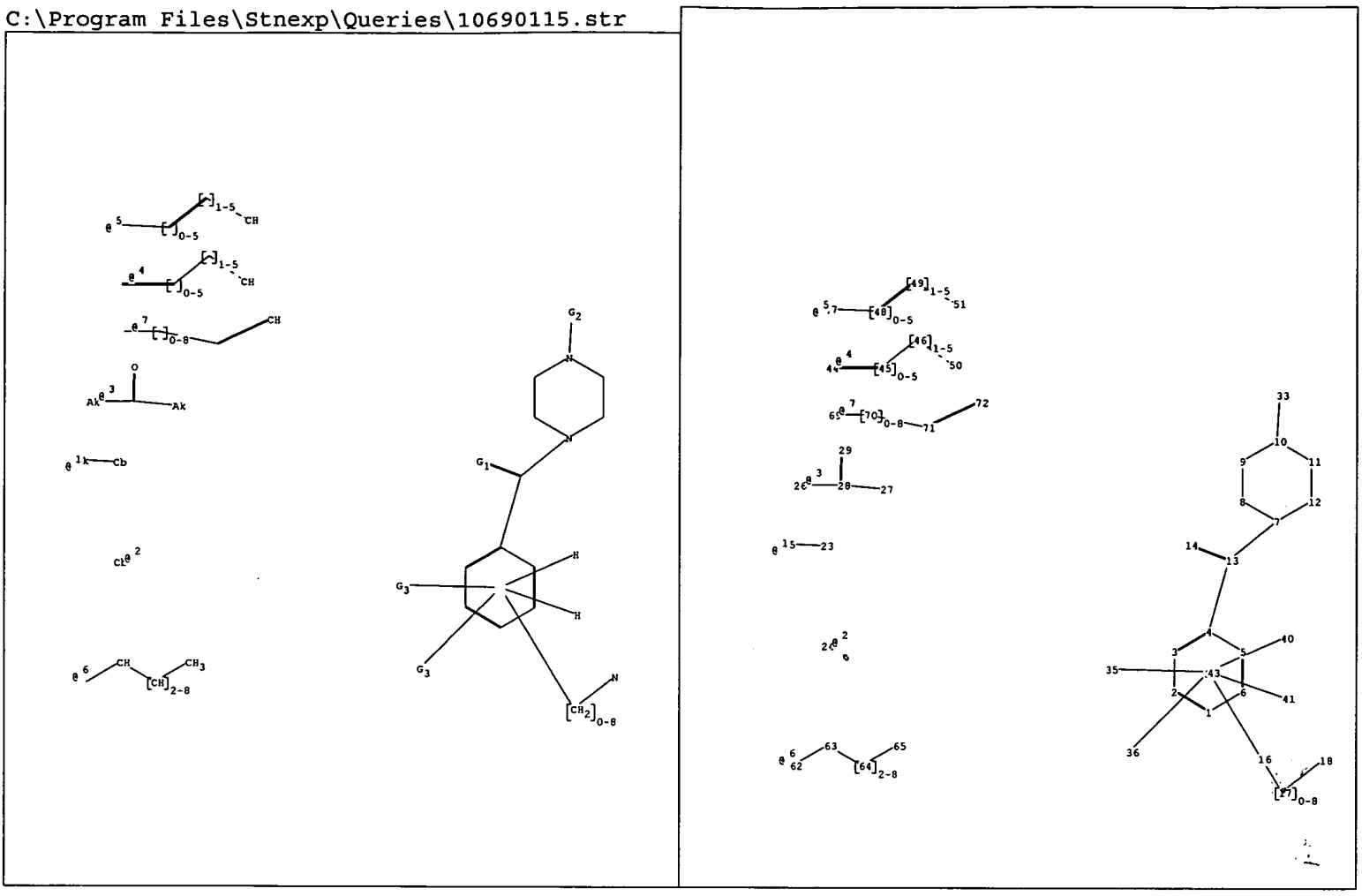
C:\Program Files\Stnexp\Queries\10690115.str



chain nodes :
13 14 16 17 23 24 25 26 27 28 29 33 35 36 40 41 44 45 46 47 48 49
50 51 62 63 64 65 69 70 71 72
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12
ring/chain nodes :
18
chain bonds :
4-13 7-13 10-33 13-14 16-17 17-18 23-25 26-28 27-28 28-29 44-45 45-46 46-50
47-48 48-49 49-51 62-63 63-64 64-65 69-70 70-71 71-72
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12
exact/norm bonds :
7-8 7-12 7-13 8-9 9-10 10-11 10-33 11-12 13-14 23-25 26-28 27-28 28-29 46-50
49-51
exact bonds :
4-13 16-17 17-18 44-45 45-46 47-48 48-49 62-63 63-64 64-65 69-70 70-71 71-72
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 : 7 :

G1:O,S

G2:CH3,Et,n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu,[*1],[*2],[*3],[*4],[*5],[*6],[*7]

G3:CH3,Et,CF3,MeO,EtO,n-PrO,i-PrO,X,H,NO2

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
12:Atom 13:CLASS 14:CLASS 16:CLASS 17:CLASS 18:CLASS 21:CLASS 23:Atom 24:Atom
25:CLASS

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            26:CLASS  27:CLASS  28:CLASS  29:CLASS  33:CLASS  35:CLASS  36:CLASS  38:CLASS
    39:CLASS  40:CLASS  41:CLASS  42:CLASS  43:CLASS  44:CLASS  45:CLASS  46:CLASS  47:CLASS
    48:CLASS  49:CLASS  50:CLASS  51:CLASS  62:CLASS  63:CLASS  64:CLASS  65:CLASS  69:CLASS
    70:CLASS  71:CLASS  72:CLASS
Generic attributes :
    23:
    Saturation              : Saturated
    24:
    Saturation              : Saturated
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=> d his

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     FILE 'REGISTRY' ENTERED AT 13:02:18 ON 16 MAY 2006
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L2             27 S L1
L3                STRUCTURE UPLOADED
L4              7 S L3
L5            251 S L3 SSS FUL

     FILE 'CAPLUS' ENTERED AT 13:34:48 ON 16 MAY 2006
L6             50 S L5

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L6 ANSWER 1 OF 50 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:318485 CAPLUS
DOCUMENT NUMBER: 144:370081
TITLE: Carbostyril compounds and their preparation, pharmaceutical compositions, and their transcription promoting activity of TFF2 for treatment and/or prevention of various diseases
INVENTOR(S): Kuroda, Takeshi; Yamauchi, Takahito; Shinohara, Tomoichi; Oshima, Kunio; Kitajima, Chiharu; Nagao, Hitoshi; Fukushima, Tae; Tomoyasu, Takahiro; Ishiyama, Hironobu; Ohta, Kazuhide; Takano, Masaaki; Sumida, Takumi
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 468 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006035954	A1	20060406	WO 2005-JP18217	20050926

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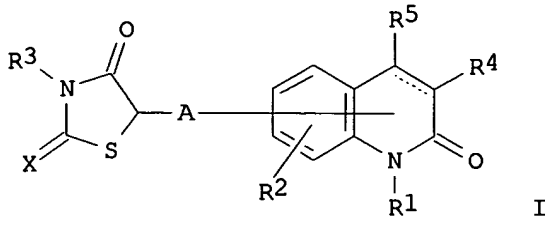
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: JP 2004-282814 A 20040928
GI



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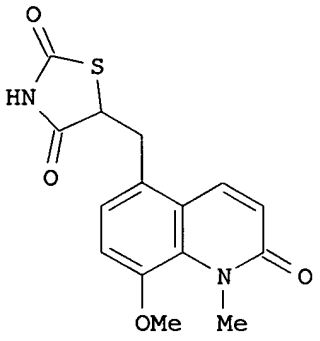

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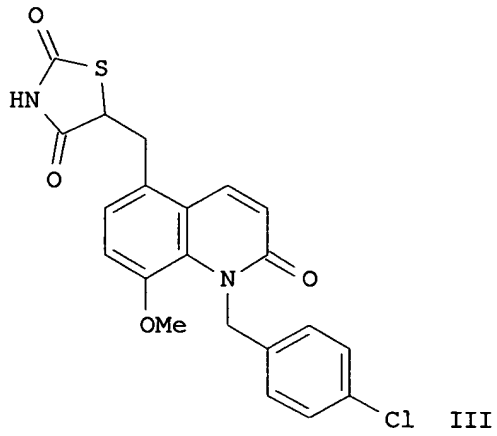


III

AB The invention provides carbostyril compds. represented by formula I or salts thereof, and their pharmaceutical compns., prepns. and use for transcription promotion activity of TFF2. The carbostyril compds. or salts thereof, of the invention, induces the production of TFF, and thus is usable for the treatment and/or prevention of disorders such as alimentary tract diseases, oral diseases, upper respiratory tract diseases, respiratory tract diseases, eye diseases, cancers, and wounds. Compds. of formula I wherein A is a bond, a lower alkylene group, or a lower alkylidene group; X is O or S; the dotted line is a single or a double bond; R4 and R5 are independently H, with the provision that dotted line is a double bond; or R4-R5 may be linked together to form a CH=CH-CH=CH group; R1 is H, lower alkyl, (un)substituted Ph lower alkyl, cycloalkyl lower alkyl, phenoxy lower alkyl, naphthyl lower alkyl, lower alkoxy lower alkyl, carboxyl lower alkyl, lower alkoxycarbonyl lower alkyl, (un)substituted pyridyl lower alkyl, cyano lower alkyl, etc.; R2 is H, lower alkoxy, lower alkyl, carboxy lower alkyl, lower alkoxycarbonyl lower alkoxy, HO, (un)substituted Ph lower alkoxy, (un)substituted piperidinyl(oxy) lower alkyl, lower alkenyloxy, (un)substituted pyridyl lower alkoxy, lower alkynyloxy, Ph lower alkenyloxy, Ph lower alkynyloxy, (un)substituted furyl lower alkoxy, (un)substituted oxadiazolyl lower alkyl, or (un)substituted thiazolyl lower alkoxy, etc.; R3 is H, lower (HO-substituted) alkyl, cycloalkyl lower alkyl, carboxyl lower alkyl, lower alkoxycarbonyl lower alkyl, (un)substituted Ph lower alkyl, naphthyl lower alkyl, (un)substituted furyl lower alkyl, (un)substituted thiazolyl lower alkyl, (un)substituted tetrazolyl, or (un)substituted benzothienyl, etc.; and their pharmaceutically acceptable salts are claimed. Example compound II was prepared by heterocyclization of 2-chloro-3-(8-methoxy-1-methyl-2-oxo-1,2-dihydroquinolin-5-yl)propionic acid with thiourea. All the invention compds. were evaluated for the transcription promoting

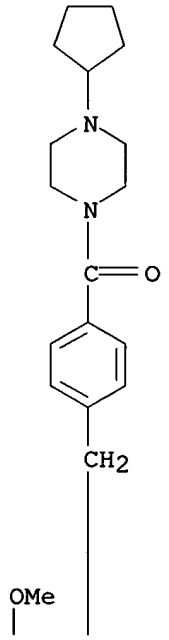
activity of hTFF2. From the assay, it was determined that some invention compds., including compound III, showed TFF2 production activity of 1000% or higher at a test compound concentration of 10-6M concentration Some invention compds.
showed a TFF2 production promoting activity of 300% or higher at a test compound
concentration is less than 10-5M and preferably more than 10-6M. Example compound
III and a few other compds. showed >20% healing ratio of the ulcerated area, which indicated that these compds. may be effective in preventing and/or treating mucosal injury.

IT **882010-51-7P 882010-54-0P 882010-55-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of carbostyril compds. and their transcription promoting activity of TFF2 for treatment and/or prevention of various diseases)

RN 882010-51-7 CAPLUS

CN Piperazine, 1-cyclopentyl-4-[4-[[5-[(2,4-dioxo-5-thiazolidinyl)methyl]-3,4-dihydro-8-methoxy-2-oxo-1(2H)-quinolinyl]methyl]benzoyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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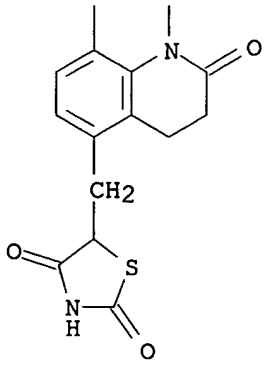
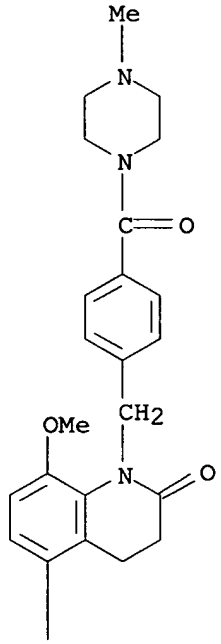


RN 882010-54-0 CAPLUS
CN Piperazine, 1-[4-[[5-[(2,4-dioxo-5-thiazolidinyl)methyl]-3,4-dihydro-8-methoxy-2-oxo-1(2H)-quinolinyl]methyl]benzoyl]-4-methyl- (9CI) (CA INDEX NAME)

PAGE 1-A



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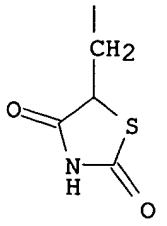
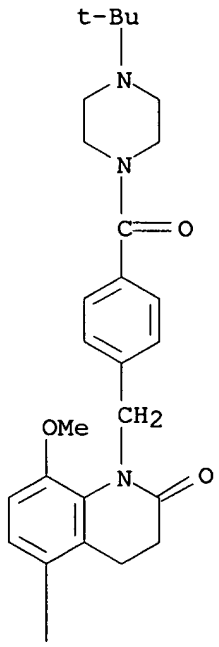


RN 882010-55-1 CAPLUS
CN Piperazine, 1-(1,1-dimethylethyl)-4-[4-[[5-[(2,4-dioxo-5-thiazolidinyl)methyl]-3,4-dihydro-8-methoxy-2-oxo-1(2H)-quinolinyl]methyl]benzoyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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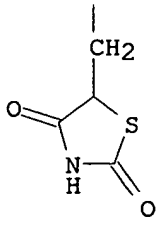

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 50 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:211323 CAPLUS
DOCUMENT NUMBER: 144:292780
TITLE: Preparation of novel substituted fused imidazole derivatives as polo-like kinase 1 (PLK1) inhibitors and anticancer drugs
INVENTOR(S): Kawamura, Mikako; Hashihayata, Takashi; Sunami, Satoshi; Sugimoto, Tetsuya; Yamamoto, Fuyuki; Sato, Yoshiyuki; Kamijo, Kaori; Mitsuya, Morihiro; Iwasawa, Yoshikazu; Komatani, Hideya
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 205 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006025567	A1	20060309	WO 2005-JP16187	20050830

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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: JP 2004-251500 A 20040831
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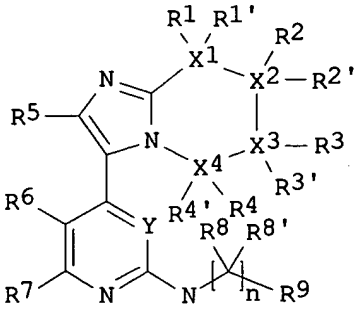


I

AB Compds. represented by the general formula (I) or pharmaceutically acceptable salts or esters thereof [X1-X4 = C or N, provided that 0-2 of X1-X4 is N; Y = CH or N; R1, R1', R2, R2', R3, R3', R4, R4' = H, halo, HO, NO2, cyano, NH2, CONH2, SO2NH2, lower alkyl amino, hydroxy-lower alkyl, di(lower alkyl)amino, imino, lower alkylsulfonyl, lower

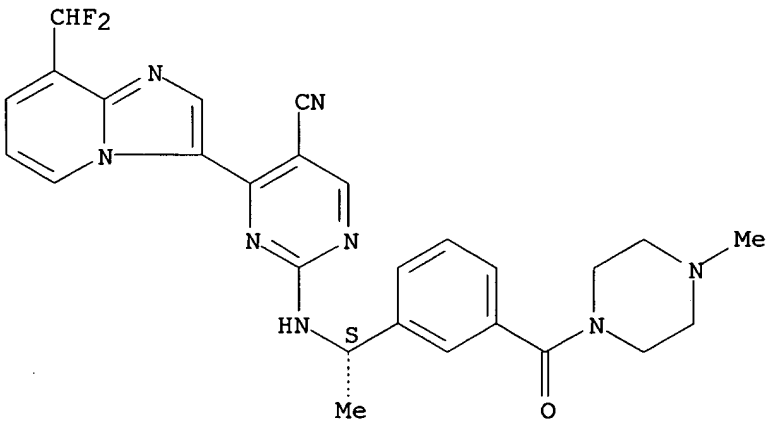
alkylsulfonylamino, halo-(un)substituted lower alkoxy, lower alkoxycarbonyl, lower alkoxycarbonylamino, CO2H, (un)substituted lower alkyl, cycloalkyl, aryl, or heteroaryl, etc.; R8, R8' = H, (un)substituted lower alkyl; R9 = (un)substituted aryl or heteroaryl; n = an integer of 1-3] are prepared Polo-like kinase 1 (PLK1) inhibitors and anticancer drugs containing the compds. I as the active ingredients are disclosed. These compds. inhibit the proliferation of tumor cells based on the inhibition of PLK1 and exhibit antitumor activity. Thus, 6.0 mg 4-(8-methylimidazo[1,2-a]pyridin-3-yl)-2-([(1S)1-phenylethyl]amino)-5-pyrimidinecarboxamide was dissolved in 1 mL pyridine, treated with 3.0 μL phosphorus oxychloride, and stirred at room temperature for 30 min to give, after workup and purification using TLC, 4.0 mg 4-(8-methylimidazo[1,2-a]pyridin-3-yl)-2-([(1S)-1-phenylethyl]amino)-5-pyrimidinecarbonitrile (II). II showed IC50 of 43 and 26 nM against human PLK1 and mutant PLK1 (PLK1-T210D), resp. 4-(8-Methoxyimidazo[1,2-a]pyridin-3-yl)-2-[[(1S)-1-[4-(piperazin-1-yl)phenyl]ethyl]amino]pyrimidine-5-carbonitrile showed EC50 of 0.18 μM against human cervical cancer cell HeLaS3.

IT **878804-00-3P**, 4-[8-(Difluoromethyl)imidazo[1,2-a]pyridin-3-yl]-2-[[(1S)-1-[3-[(4-methylpiperazin-1-yl)carbonyl]phenyl]ethyl]amino]pyrimidine-5-carbonitrile
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted fused imidazole derivs. as polo-like kinase 1 inhibitors and anticancer agents)

RN 878804-00-3 CAPLUS

CN Piperazine, 1-[3-[(1S)-1-[[5-cyano-4-[8-(difluoromethyl)imidazo[1,2-a]pyridin-3-yl]-2-pyrimidinyl]amino]ethyl]benzoyl]-4-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 50 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:572592 CAPLUS
DOCUMENT NUMBER: 143:97378
TITLE: Preparation of azabicyclic heterocycles as cannabinoid receptor modulators
INVENTOR(S): Yu, Guixue; Ewing, William R.; Mikkilineni, Amarendra B.; Pendri, Annapurna; Sher, Philip M.; Gerritz, Samuel; Ellsworth, Bruce A.; Wu, Gang; Huang, Yanting; Sun, Chongqing; Murugesan, Natesan; Gu, Zhengxiang; Wang, Ying; Sitkoff, Doree; Johnson, Stephen R.; Wu, Ximao
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 196 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005143381	A1	20050630	US 2004-16135	20041217
WO 2005063761	A1	20050714	WO 2004-US42820	20041217
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US 2005192278	A1	20050901	US 2004-15876	20041217
US 7037910	B2	20060502		
WO 2005061509	A1	20050707	WO 2004-US42542	20041220
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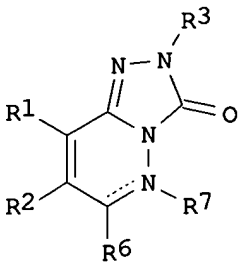
PRIORITY APPLN. INFO.:	US 2003-531451P	P	20031219
	US 2004-16135	A	20041217

OTHER SOURCE(S): MARPAT 143:97378
GI



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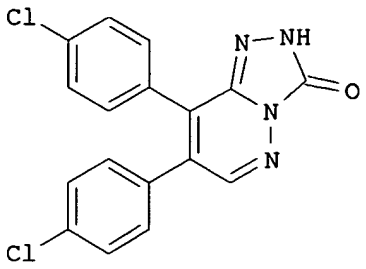


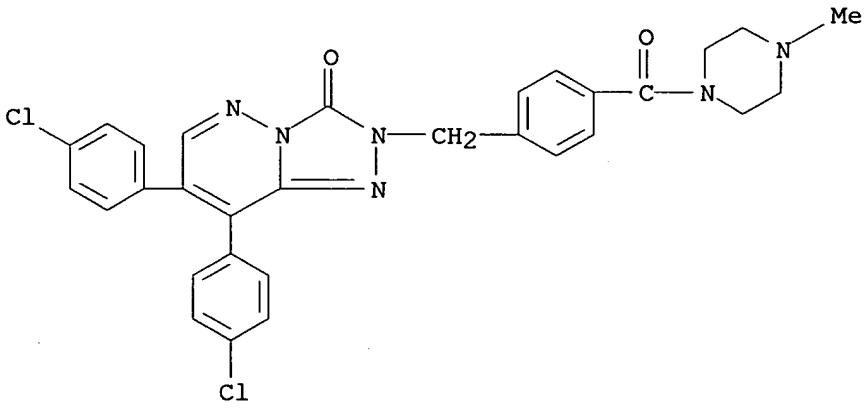
II

AB The present application describes compds. I [R1, R2 = halo, CN, alkyl, etc.; R3 = alkyl, alkenyl, cycloalkyl, etc.; R6 = H, alkyl, cycloalkyl, etc.; R7 is absent when double bond; or R7 = H, alkyl, cycloalkyl, etc.], pharmaceutical compns. comprising at least one compound I and optionally one or more addnl. therapeutic agents and methods of treatment using the compds. I both alone and in combination with one or more addnl. therapeutic agents. Over 400 compds. I were prepared E.g., a multi-step synthesis of II, starting from dibromopyridazinone, was given. Representative compds. I showed the CB-1 receptor binding Ki values in the range of 0.01 nM to 10000 nM.

IT **856246-60-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azabicyclic heterocycles as cannabinoid receptor modulators)

RN 856246-60-1 CAPLUS

CN Piperazine, 1-[4-[[7,8-bis(4-chlorophenyl)-3-oxo-1,2,4-triazolo[4,3-b]pyridazin-2(3H)-yl]methyl]benzoyl]-4-methyl- (9CI) (CA INDEX NAME)

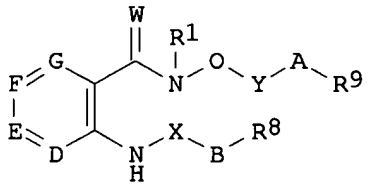


L6 ANSWER 4 OF 50 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:523406 CAPLUS
DOCUMENT NUMBER: 143:59676
TITLE: Preparation of novel hydroxamic acid esters for inhibiting angiogenesis
INVENTOR(S): Fensholdt, Jef; Thorhauge, Jacob; Norremark, Bjarne
PATENT ASSIGNEE(S): Leo Pharma A/S, Den.
SOURCE: PCT Int. Appl., 351 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

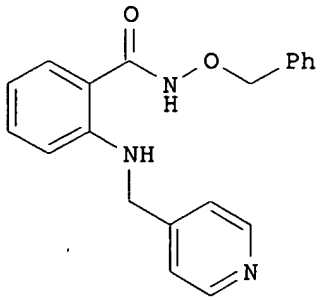
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005054179	A2	20050616	WO 2004-DK840	20041202
WO 2005054179	A3	20050804		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-526262P P 20031203
OTHER SOURCE(S): MARPAT 143:59676
GI



I



II

AB The invention relates to compds. I [R1 = H, alkyl, cycloalkyl, etc.; D = N, CR2; E = N, CR3; F = N, CR4; G = N, CR5; R2-R5 = H, halo, OH, etc.; W = O, S, H2, NOR6, NR6; R6 = H, cycloalkyl, aryl, etc.; X, Y = (CH2)n, (CH2)pCH:CH(CH2)q, etc.; n, p, q = 0-6; B = aryl, heteroaryl, cycloalkyl, etc.; R8 = H, halo, OH, etc.; A = alkyl, cycloalkyl, heteroaryl, etc.; R9 = H, oxo, halo, etc.; with provision], for use-alone or in combination with one or more other pharmaceutically active compds.- in therapy, for treating diseases associated with deregulated angiogenesis, such as cancer.

Over 400 compds. I were prepared Thus, reacting 2-[(pyridin-4-ylmethyl)amino]benzoic acid (preparation given) with O-benzylhydroxylamine hydrochloride afforded II which showed -logIC50 of 7.1 in an assay for in vitro KDR inhibition.

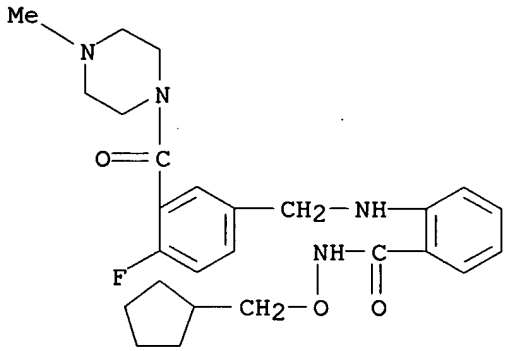
IT **854379-38-7P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel hydroxamic acid esters for inhibiting angiogenesis)

RN 854379-38-7 CAPLUS

CN Benzamide, N-(cyclopentylmethoxy)-2-[[[4-fluoro-3-[(4-methyl-1-piperazinyl)carbonyl]phenyl]methyl]amino]- (9CI) (CA INDEX NAME)



L6 ANSWER 5 OF 50 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:424962 CAPLUS
DOCUMENT NUMBER: 144:331574
TITLE: Synthesis of solanesylamines-nitrogen mustard
AUTHOR(S): Bu, Zhan-wei; Liu, Da-xin; Liu, Yang; Zhao, Jin; Wang, Chao-jie
CORPORATE SOURCE: College of Chemistry and Chemical Engineering, Henan University, Kaifeng, Henan, 475001, Peop. Rep. China
SOURCE: Huaxue Yanjiu (2005), 16(1), 19-22
CODEN: HUYAF4; ISSN: 1008-1011
PUBLISHER: Huaxue Yanjiu Bianjibu
DOCUMENT TYPE: Journal
LANGUAGE: Chinese

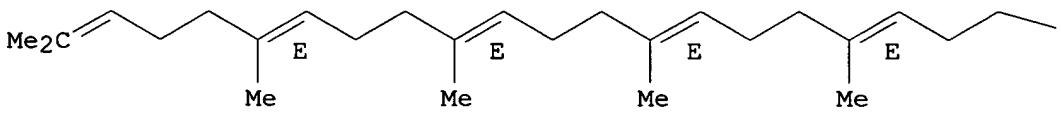
AB Two new nitrogen mustard compds. using solanesylamines as the targeted carriers were first designed and synthesized. Title compds. were synthesized from N,N-di(chloroethyl)amine hydrochloride salt and phthalic anhydride to obtain 2-[[bis(2-chloroethyl)amino]carbonyl]benzoic acid, then reacted with solanesylamine or solanesylpiperazine in the presence of dicyclohexylcarbodiimide to give the two target compds. The structures of target compds. were confirmed by IR, 1H NMR, MS and elemental anal.

IT **880159-22-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of solanesylamines-nitrogen mustard)

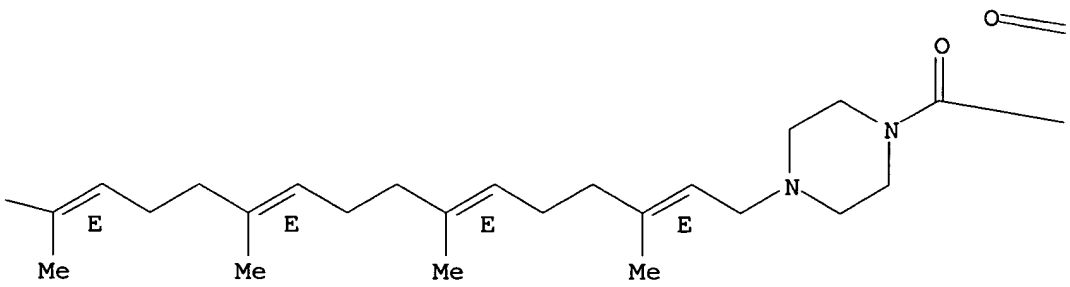
RN 880159-22-8 CAPLUS
CN Benzamide, N,N-bis(2-chloroethyl)-2-[[4-[(2E,6E,10E,14E,18E,22E,26E,30E)-3,7,11,15,19,23,27,31,35-nonamethyl-2,6,10,14,18,22,26,30,34-hexatriacontanonaenyl]-1-piperazinyl]carbonyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

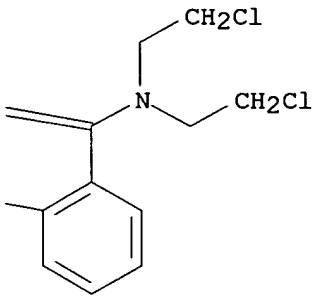
PAGE 1-A



PAGE 1-B



PAGE 1-C

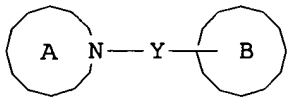


L6 ANSWER 6 OF 50 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:347016 CAPLUS
DOCUMENT NUMBER: 142:411252
TITLE: Preparation of azabicyclooctane derivatives as CXCR3 antagonists
INVENTOR(S): Habashita, Hiromu; Suzuki, Ryo; Shibayama, Shiro; Tanihiro, Tatsuya; Kaneko, Yousuke; Egashira, Hiromu; Nishiyama, Eiji; Yamatsuta, Katsura; Fujita, Setsuko
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 171 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005035534	A1	20050421	WO 2004-JP14864	20041007

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2003-349033 A 20031008
JP 2004-266040 A 20040913
OTHER SOURCE(S): MARPAT 142:411252
GI



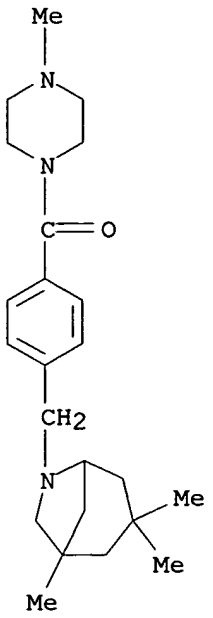
I

AB Title compds. I [ring A = (un)substituted heterobicycle, heterotricycle; ring B = (un)substituted cycle; Y = bond, spacer] were prepared For example, 1,3,3-trimethyl-6-(2-naphthoyl)-6-azabicyclo[3.2.1]octane (II) was prepared from 1,3,3-trimethyl-6-azabicyclo[3.2.1]octane. In 11β-HSD1 inhibition assays, the IC50 value of compound II was 29 nM. Compds. I are claimed useful for the treatment of inflammation, allergy, etc. Formulations are given.

IT **850366-66-4P 850366-99-3P 850367-31-6P 850367-65-6P 850368-44-4P 850368-47-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azabicyclooctane derivs. as CXCR3 antagonists for treatment of treatment of inflammation, allery, etc.)

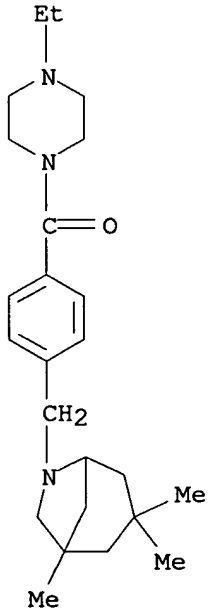
RN 850366-66-4 CAPLUS

CN Piperazine, 1-methyl-4-[4-[(1,3,3-trimethyl-6-azabicyclo[3.2.1]oct-6-yl)methyl]benzoyl]- (9CI) (CA INDEX NAME)



RN 850366-99-3 CAPLUS

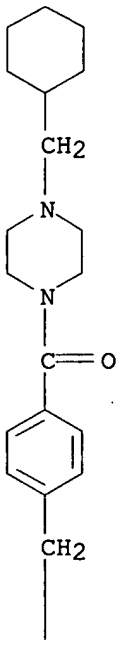
CN Piperazine, 1-ethyl-4-[4-[(1,3,3-trimethyl-6-azabicyclo[3.2.1]oct-6-yl)methyl]benzoyl]- (9CI) (CA INDEX NAME)



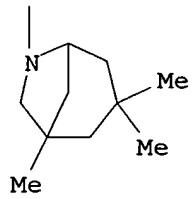
RN 850367-31-6 CAPLUS

CN Piperazine, 1-(cyclohexylmethyl)-4-[4-[(1,3,3-trimethyl-6-azabicyclo[3.2.1]oct-6-yl)methyl]benzoyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

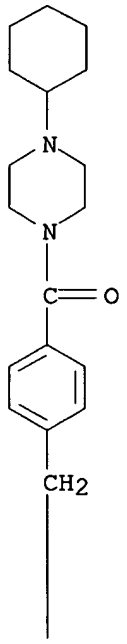


PAGE 2-A

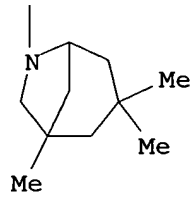


RN 850367-65-6 CAPLUS
CN Piperazine, 1-cyclohexyl-4-[4-[(1,3,3-trimethyl-6-azabicyclo[3.2.1]oct-6-yl)methyl]benzoyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

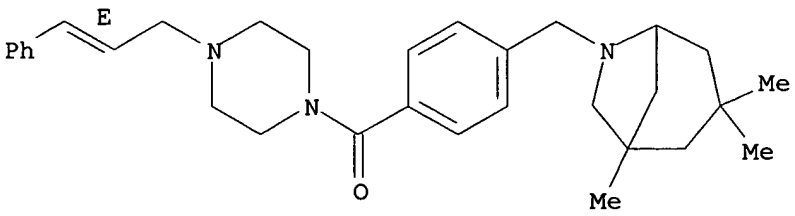


PAGE 2-A

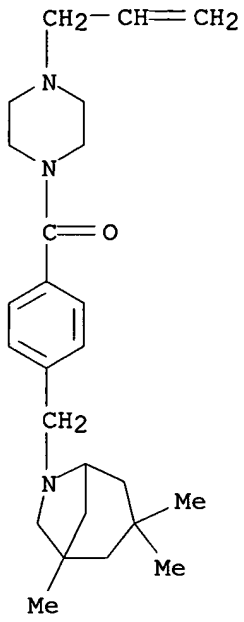


RN 850368-44-4 CAPLUS
CN Piperazine, 1-[(2E)-3-phenyl-2-propenyl]-4-[4-[(1,3,3-trimethyl-6-azabicyclo[3.2.1]oct-6-yl)methyl]benzoyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 850368-47-7 CAPLUS
CN Piperazine, 1-(2-propenyl)-4-[4-[(1,3,3-trimethyl-6-azabicyclo[3.2.1]oct-6-yl)methyl]benzoyl]- (9CI) (CA INDEX NAME)

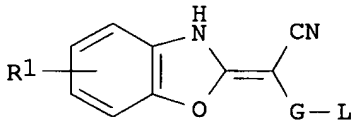


REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

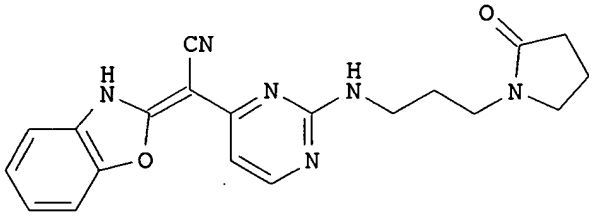
L6 ANSWER 7 OF 50 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:260062 CAPLUS
DOCUMENT NUMBER: 142:336386
TITLE: Preparation of benzoxazolylideneacetonitriles for treating metabolic disorders mediated by insulin resistance or hyperglycemia
INVENTOR(S): Schwarz, Matthias; Gaillard, Pascale; Page, Patrick; Gotteland, Jean-Pierre; Thomas, Russell J.
PATENT ASSIGNEE(S): Applied Research Systems ARS Holding N.V., Neth. Antilles
SOURCE: PCT Int. Appl., 114 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005026159	A1	20050324	WO 2004-EP52141	20040910
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004272307	A1	20050324	AU 2004-272307	20040910
CA 2534319	AA	20050324	CA 2004-2534319	20040910
PRIORITY APPLN. INFO.:			EP 2003-102739 A	20030912
			WO 2004-EP52141 W	20040910

OTHER SOURCE(S): MARPAT 142:336386
GI



I



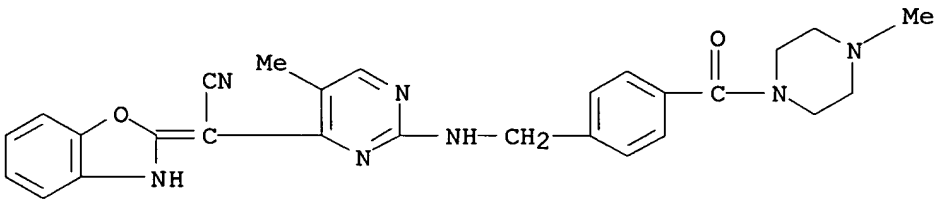
II

AB The title compds. I [G = pyrimidinyl; L = amino, 3-8 membered

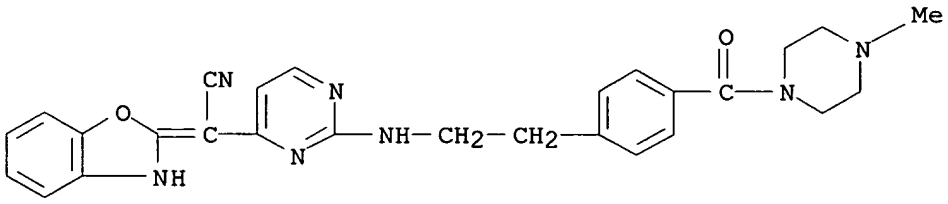
heterocycloalkyl, containing at least one heteroatom selected from N, O, S, or L = acylamino; R1 = H, sulfonyl, amino, carboxy, aminocarbonyl, alkyl, alkenyl, alkynyl, alkoxy, aryl, halo, cyano or hydroxy], useful in the treatment of metabolic disorders mediated by insulin resistance or hyperglycemia, comprising diabetes type II, inadequate glucose tolerance, insulin resistance, obesity, polycystic ovary syndrome (PCOS), were prepared and formulated. E.g., a multi-step synthesis of II, was given. The compds. I were tested in GSK3β(h) in vitro assay (data given for representative compds. I).

IT **848655-39-0P 848655-40-3P 848655-42-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzoxazolylideneacetonitriles for treating metabolic disorders mediated by insulin resistance or hyperglycemia)

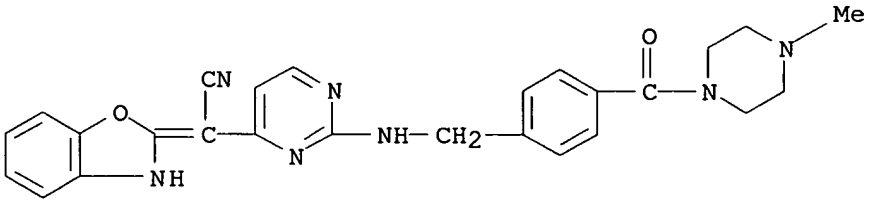
RN 848655-39-0 CAPLUS
CN Piperazine, 1-[4-[[[4-(2(3H)-benzoxazolylidenecyanomethyl)-5-methyl-2-pyrimidinyl]amino]methyl]benzoyl]-4-methyl- (9CI) (CA INDEX NAME)



RN 848655-40-3 CAPLUS
CN Piperazine, 1-[4-[2-[[4-(2(3H)-benzoxazolylidenecyanomethyl)-2-pyrimidinyl]amino]ethyl]benzoyl]-4-methyl- (9CI) (CA INDEX NAME)



RN 848655-42-5 CAPLUS
CN Piperazine, 1-[4-[[[4-(2(3H)-benzoxazolylidenecyanomethyl)-2-pyrimidinyl]amino]methyl]benzoyl]-4-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS

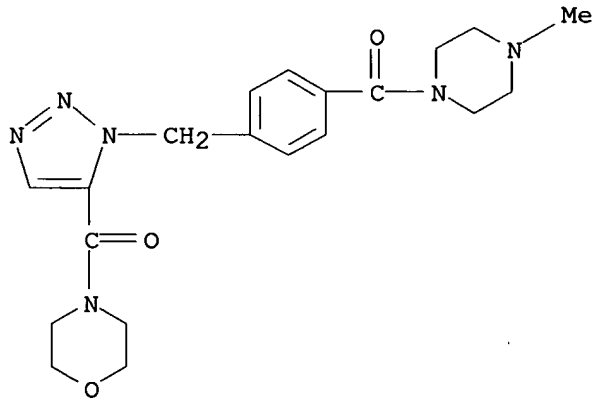
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 50 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:249011 CAPLUS
DOCUMENT NUMBER: 142:481992
TITLE: Trimethylsilyl-directed 1,3-dipolar cycloaddition reactions in the solid-phase synthesis of 1,2,3-triazoles
AUTHOR(S): Coats, Steven J.; Link, Jeffrey S.; Gauthier, Diane; Hlasta, Dennis J.
CORPORATE SOURCE: Johnson Johnson Pharmaceutical Research Development, L.L.C., Spring House, PA, 19477-0776, USA
SOURCE: Organic Letters (2005), 7(8), 1469-1472
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:481992

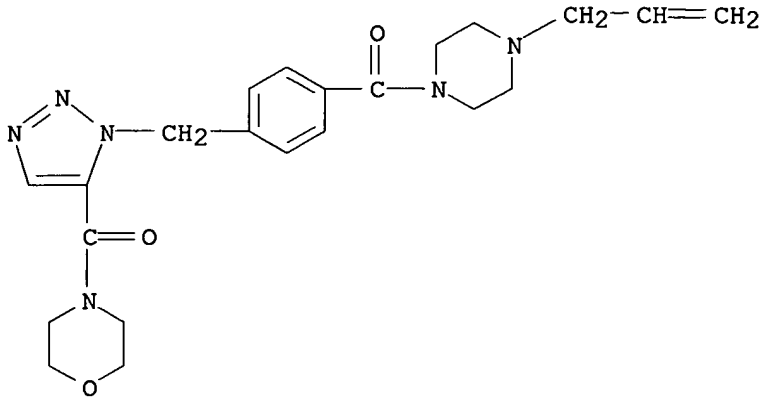
AB A regioselective method for the preparation of 1,5-trisubstituted 1H-1,2,3-triazole derivs. via a 1,3-dipolar cycloaddn. of 1-[tri(methyl)silyl]acetylene derivs. with azides is described. Immobilization of the azide on REM resin and subsequent cycloaddn. afforded a 2 + 2 + 4 + 3 membered 1,5-disubstituted 1H-1,2,3-triazole library with an average purified yield of 68%.

IT **851883-72-2P 851883-74-4P 851883-78-8P 851883-80-2P 851883-85-7P 851883-89-1P 851883-91-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of 1H-1,2,3-triazole-5-carboxamide derivative by solid-phase synthesis using REM resin as synthetic platform)

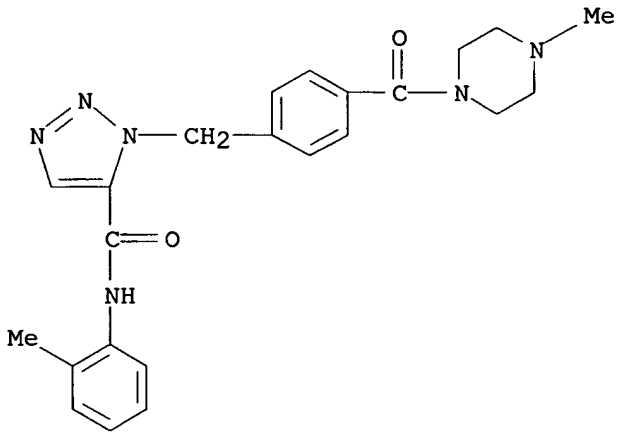
RN 851883-72-2 CAPLUS
CN Morpholine, 4-[[1-[[4-[(4-methyl-1-piperazinyl)carbonyl]phenyl]methyl]-1H-1,2,3-triazol-5-yl]carbonyl]- (9CI) (CA INDEX NAME)



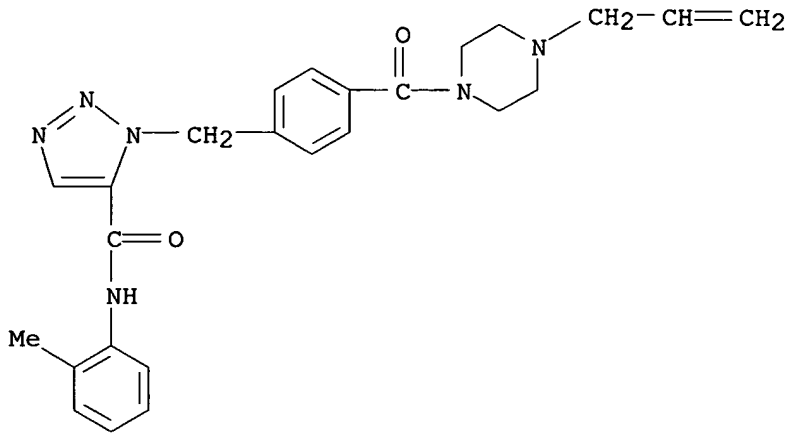
RN 851883-74-4 CAPLUS
CN Morpholine, 4-[[1-[[4-[[4-(2-propenyl)-1-piperazinyl]carbonyl]phenyl]methyl]-1H-1,2,3-triazol-5-yl]carbonyl]- (9CI) (CA INDEX NAME)



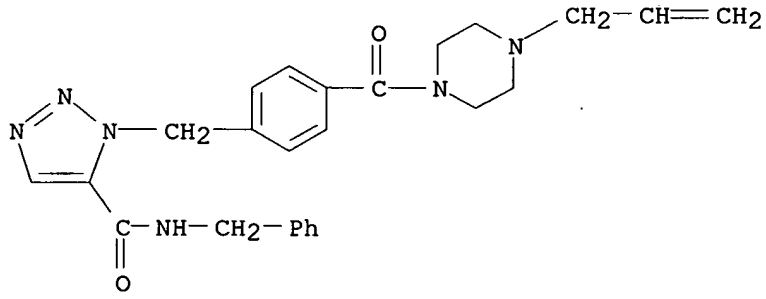
RN 851883-78-8 CAPLUS
CN 1H-1,2,3-Triazole-5-carboxamide, N-(2-methylphenyl)-1-[[4-[(4-methyl-1-piperazinyl)carbonyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



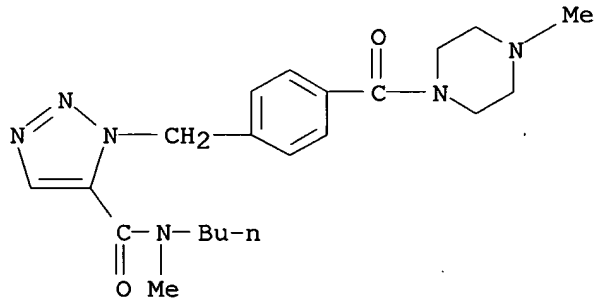
RN 851883-80-2 CAPLUS
CN 1H-1,2,3-Triazole-5-carboxamide, N-(2-methylphenyl)-1-[[4-[[4-(2-propenyl)-1-piperazinyl]carbonyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



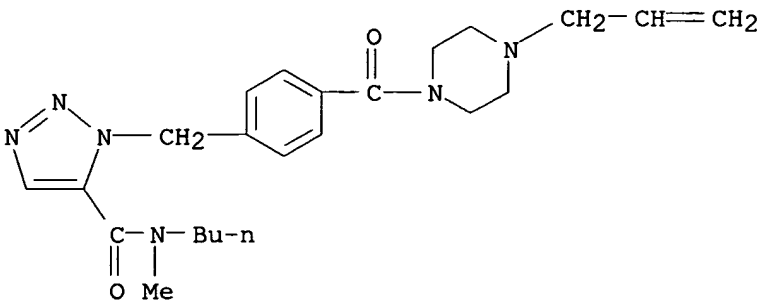
RN 851883-85-7 CAPLUS
CN 1H-1,2,3-Triazole-5-carboxamide, N-(phenylmethyl)-1-[[4-[[4-(2-propenyl)-1-piperazinyl]carbonyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



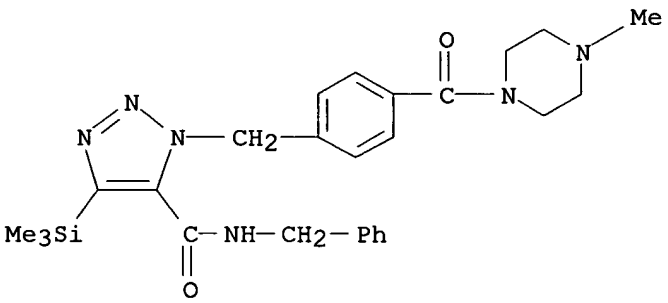
RN 851883-89-1 CAPLUS
CN 1H-1,2,3-Triazole-5-carboxamide, N-butyl-N-methyl-1-[[4-[(4-methyl-1-piperazinyl)carbonyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



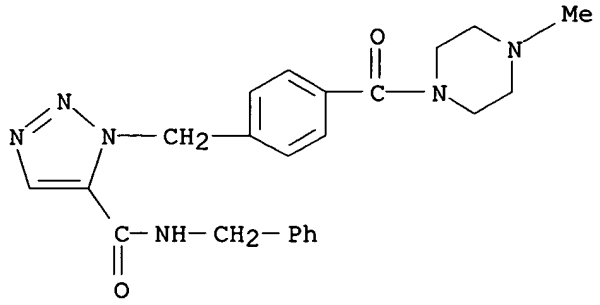
RN 851883-91-5 CAPLUS
CN 1H-1,2,3-Triazole-5-carboxamide, N-butyl-N-methyl-1-[[4-[[4-(2-propenyl)-1-piperazinyl]carbonyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



IT **851883-70-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 1H-1,2,3-triazole-5-carboxamide derivative using REM resin-supported [[[(piperazinyl]carbonyl]phenyl]methyl]-1,2,3-triazolecarboxylic acid derivative as synthetic intermediate)
RN 851883-70-0 CAPLUS
CN 1H-1,2,3-Triazole-5-carboxamide, 1-[[4-[(4-methyl-1-piperazinyl)carbonyl]phenyl]methyl]-N-(phenylmethyl)-4-(trimethylsilyl)-(9CI) (CA INDEX NAME)



IT **851883-71-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of 1H-1,2,3-triazole-5-carboxamide derivative using REM resin-supported [[[(piperazinyl]carbonyl]phenyl]methyl]-1,2,3-triazolecarboxylic acid derivative as synthetic intermediate)
RN 851883-71-1 CAPLUS
CN 1H-1,2,3-Triazole-5-carboxamide, 1-[[4-[(4-methyl-1-piperazinyl)carbonyl]phenyl]methyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 50 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:219775 CAPLUS
DOCUMENT NUMBER: 142:280425
TITLE: Preparation of amino acid derivatives as cathepsin inhibitors
INVENTOR(S): Bayly, Christopher; Black, Cameron; McKay, Daniel J.
PATENT ASSIGNEE(S): Merck Frosst Canada & Co., Can.
SOURCE: PCT Int. Appl., 106 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005021487	A1	20050310	WO 2004-CA1577		20040823
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2004268707	A1	20050310	AU 2004-268707		20040823
CA 2535366	AA	20050310	CA 2004-2535366		20040823
PRIORITY APPLN. INFO.:			US 2003-498017P	P	20030827
			WO 2004-CA1577	W	20040823

OTHER SOURCE(S): MARPAT 142:280425
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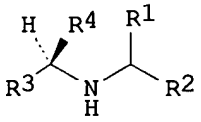

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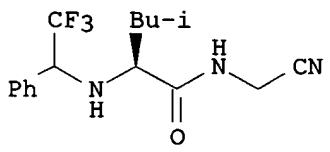


II

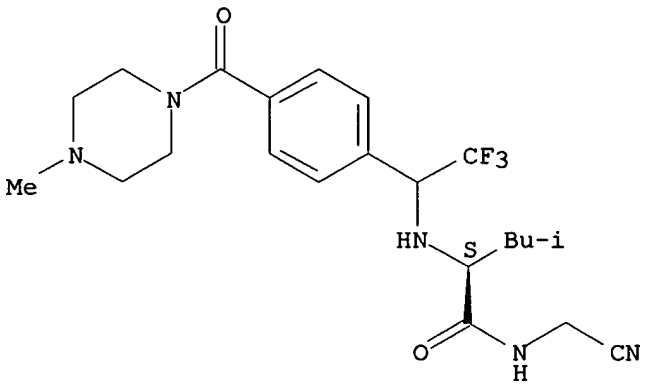
AB The invention relates to compds. I which are cysteine protease inhibitors, including but not limited to inhibitors of cathepsins K, L, S and B, and are useful for treating diseases in which inhibition of bone resorption is indicated, e.g., osteoporosis, osteoarthritis and rheumatoid arthritis. Thus, a mixture of L-leucine Me ester hydrochloride, 2,2,2-trifluoroacetophenone, diisopropylethylamine and TiCl4 in CH2Cl2 was stirred overnight, addnl. TiCl4 added, and the mixture stirred an addnl. 3 h. A solution of NaCNBH3 in MeOH was added and the mixture stirred 2 h to afford Me N-(2,2,2-trifluoro-1-phenylethyl)-L-leucinate. Saponification of the ester and reaction with aminoacetonitrile hydrochloride in DMF in the presence of PyBOP and Et3N yielded L-leucinamide derivative II.
IT **603140-22-3P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid derivs. as cathepsin inhibitors)

RN 603140-22-3 CAPLUS

CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[2,2,2-trifluoro-1-[4-[(4-methyl-1-piperazinyl)carbonyl]phenyl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

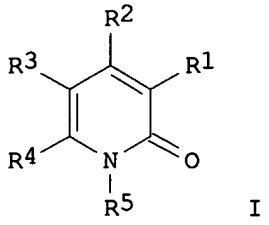


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 50 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:177838 CAPLUS
DOCUMENT NUMBER: 142:280057
TITLE: Preparation of substituted pyridinones as modulators of p38 MAP kinase
INVENTOR(S): Devadas, Balekudru; Walker, John; Selness, Shaun R.; Boehm, Terri L.; Durley, Richard C.; Devraj, Rajesh; Hickory, Brian S.; Rucker, Paul V.; Jerome, Kevin D.; Madsen, Heather M.; Alvira, Edgardo; Promo, Michele A.; Blevis-Bal, Radhika M.; Marrufo, Laura D.; Hitchcock, Jeff; Owen, Thomas; Naing, Win; Xing, Li; Shieh, Huey S.; Sambandam, Aruna; Liu, Shuang; Scott, Ian L.; Mcgee, Kevin F.
PATENT ASSIGNEE(S): Pharmacia Corporation, USA
SOURCE: PCT Int. Appl., 968 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005018557	A2	20050303	WO 2004-US26193	20040813
WO 2005018557	A3	20050804		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
NL 1026826	A1	20050216	NL 2004-1026826	20040812
US 2005176775	A1	20050811	US 2004-918826	20040813
PRIORITY APPLN. INFO.:			US 2003-494959P P	20030813

OTHER SOURCE(S): MARPAT 142:280057
GI



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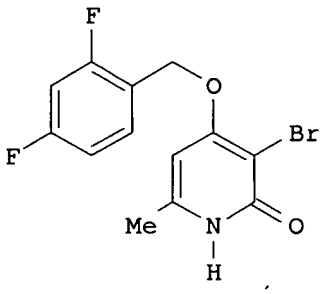


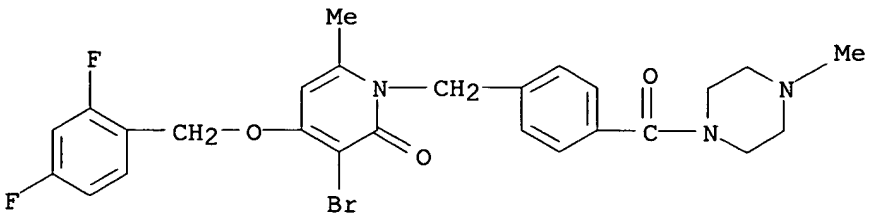
II

AB Disclosed are title compds. I and their pharmaceutically acceptable salts [R1 H, halo, NO2, CHO, CN, (un)substituted hydroxy/dihydroxy/aryl/alkyl, etc.; R2 = H, OH, halo, (un)substituted alkyl, alkoxy, etc.; R3 = H, halo, (un)substituted aryl/alkoxycarbonyl, arylalkyl, arylthio, etc.; R4 = H, (un)substituted alkyl; R5 = H, aryl, arylalkyl, etc.]. These compds. are useful for treating diseases and conditions caused or exacerbated by unregulated p38 MAP Kinase and/or TNF activity. Pharmaceutical compns. containing the compds., methods of preparing the compds. and methods of treatment using the compds. are also disclosed. For example, II was prepared, in 3 steps, reacting 4-hydroxy-6-methylpyrone with NH4OH, followed by O-alkylation with 2,4-difluorobenzyl chloride, and bromination with Br2 in AcOH/H2O. Selected I inhibited MKK6-activated human p38α kinase phosphorylation of a biotinylated substrate or human p38α-induced phosphorylation of EGFRP (epidermal growth factor receptor peptide) with an IC50 in the range of 1 μM to 25 μM.

IT **586375-50-0P**, 3-Bromo-4-[(2,4-difluorobenzyl)oxy]-6-methyl-1-[4-[(4-methylpiperazinyl)carbonyl]benzyl]pyridin-2(1H)-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(p38 kinase inhibitor; preparation of pyridinones as modulators of p38 MAP kinase and TNF activity)

RN 586375-50-0 CAPLUS

CN Piperazine, 1-[4-[[3-bromo-4-[(2,4-difluorophenyl)methoxy]-6-methyl-2-oxo-1(2H)-pyridinyl]methyl]benzoyl]-4-methyl- (9CI) (CA INDEX NAME)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Br

L3 ANSWER 11 OF 50 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:878151 CAPLUS
DOCUMENT NUMBER: 141:366243
TITLE: Preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors
INVENTOR(S): Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Doll, Ronald J.; Girijavallabhan, Viyyoor M.; Mallams, Alan; Alvarez, Carmen S.; Keertikar, Kartik M.; Rivera, Jocelyn; Chan, Tin-Yau; Madison, Vincent; Fischmann, Thierry O.; Dillard, Lawrence W.; Tran, Vinh D.; He, Zhen Min; James, Ray Anthony; Park, Haengsoon; Paradkar, Vidyadhar M.; Hobbs, Douglas Walsh
PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacopeia, Inc.
SOURCE: U.S. Pat. Appl. Publ., 1044 pp., Cont.-in-part of US Ser. No. 654,546
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004209878	A1	20041021	US 2004-776988	20040211
WO 2005077954	A2	20050825	WO 2005-US3859	20050208
WO 2005077954	A3	20051013		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

US 2002-408027P	P	20020904
US 2002-421959P	P	20021029
US 2003-654546	A2	20030903
US 2004-776988	A	20040211

OTHER SOURCE(S): MARPAT 141:366243
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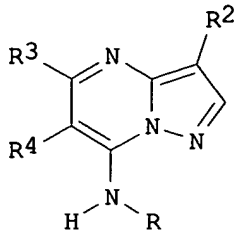

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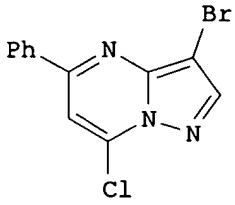
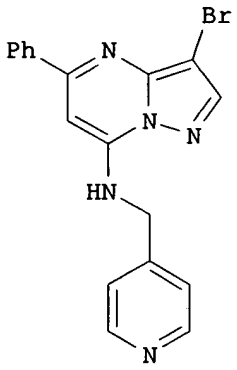


II



III

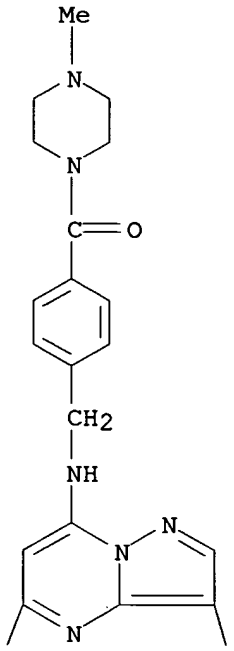
AB The title compds. [I; R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020 μM and 0.029 μM against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical composition comprising the compound I is claimed. This is a Part I of I-III series.

IT **672321-90-3P 672321-92-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

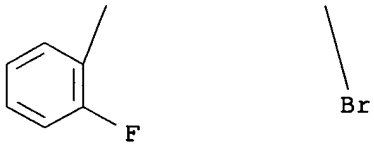
RN 672321-90-3 CAPLUS

CN Piperazine, 1-[4-[[[3-bromo-5-(2-fluorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]methyl]benzoyl]-4-methyl- (9CI) (CA INDEX NAME)

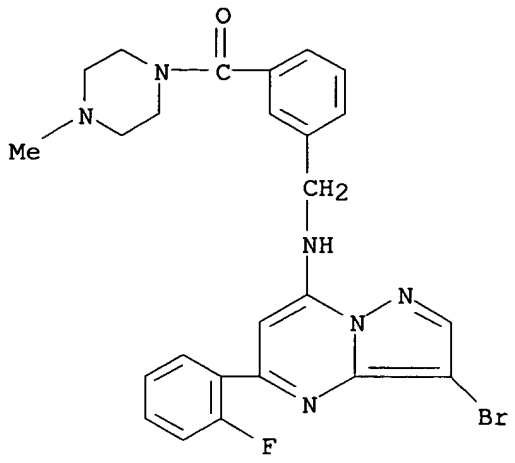
PAGE 1-A



PAGE 2-A



RN 672321-92-5 CAPLUS
CN Piperazine, 1-[3-[[[3-bromo-5-(2-fluorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]methyl]benzoyl]-4-methyl- (9CI) (CA INDEX NAME)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L6 ANSWER 12 OF 50 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:513546 CAPLUS
DOCUMENT NUMBER: 141:71552
TITLE: Preparation of benzoxazin-3-ones and derivatives as inhibitors of PI3K kinase for treating inflammations, cardiovascular diseases and cancers
INVENTOR(S): Barvian, Nicole Chantel; Kolz, Christine Nylund; Para, Kimberly Suzanne; Patt, William Chester; Visnick, Melean
PATENT ASSIGNEE(S): Warner-Lambert Company Llc, USA
SOURCE: PCT Int. Appl., 146 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004052373	A1	20040624	WO 2003-IB5451		20031125
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2508601	AA	20040624	CA 2003-2508601		20031125
AU 2003280188	A1	20040630	AU 2003-280188		20031125
EP 1569653	A1	20050907	EP 2003-772558		20031125
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
BR 2003016386	A	20050927	BR 2003-16386		20031125
JP 2006510661	T2	20060330	JP 2004-558912		20031125
US 2004121996	A1	20040624	US 2003-730680		20031208
PRIORITY APPLN. INFO.:			US 2002-431528P	P	20021206
			WO 2003-IB5451	W	20031125

OTHER SOURCE(S): MARPAT 141:71552
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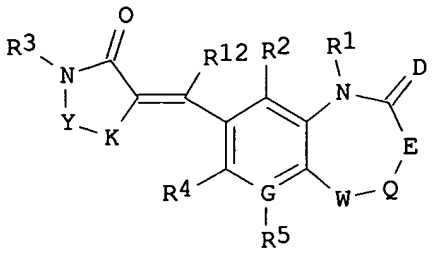

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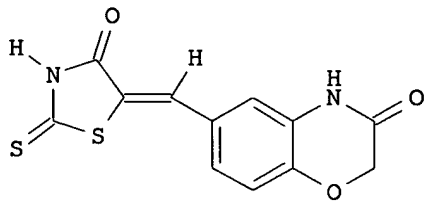


II

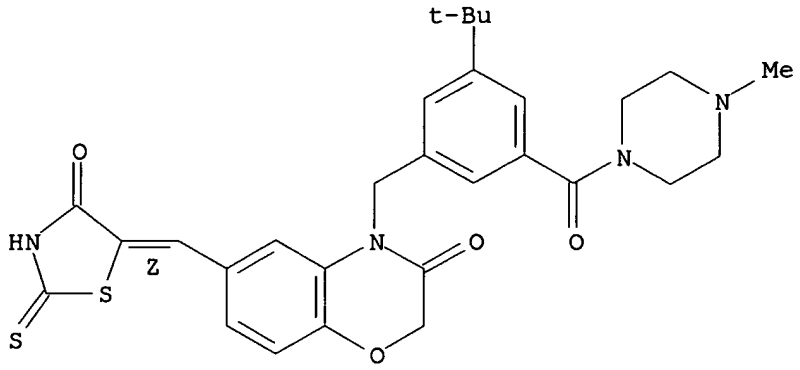
AB Title compds. I [wherein W = O, S, NH and derivs.; Q, E = independently (CH2)n; n = 0-1; R1 = H, carbonyl/cyclo/alkylcyclo/alkyl, alkylenealkoxy, alkyleneheteroaryl, etc.; R2 = H, CF3, CH3; R3 = H, CH2CO2H, Ph, CH3, alkyl, alkenyl; Y = C(:O), C(:S); K = NH, O, CH2, S; G = N, C; R4 = H, F, CF3, CH3; R5 = H, alkoxy, alkyl, NO2, NH2 and derivs., etc.; and their pharmaceutically acceptable salts] were prepared as inhibitors of phosphatidylinositol-3 (PI3K) kinase for treating inflammations, cardiovascular diseases and cancers. For example, II was prepared from 4-hydroxy-3-nitrobenzaldehyde and Et bromoacetate via condensation of rhodanine with benzo[1,4]oxazine carboxaldehyde. In an in vitro assay, selected II inhibited PI3K with IC50 values in the range of 0.002 to 0.29 μM. I are useful for treating rheumatoid arthritis, ankylosing spondylitis, osteoarthritis, inflammations, and autoimmune diseases.

IT **711025-28-4P**, (Z)-4-[3-tert-Butyl-5-[(4-methylpiperazin-1-yl)carbonyl]benzyl]-6-[(4-oxo-2-thioxothiazolidin-5-ylidene)methyl]-4H-benzo[1,4]oxazin-3-one **711025-32-0P**, (Z)-4-[4-[(4-Methylpiperazin-1-yl)carbonyl]benzyl]-6-[(4-oxo-2-thioxothiazolidin-5-ylidene)methyl]-4H-benzo[1,4]oxazin-3-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(PI3K inhibitor; preparation of benzoxazinones as PI3K inhibitors for treating inflammations, cardiovascular diseases and cancers)

RN 711025-28-4 CAPLUS

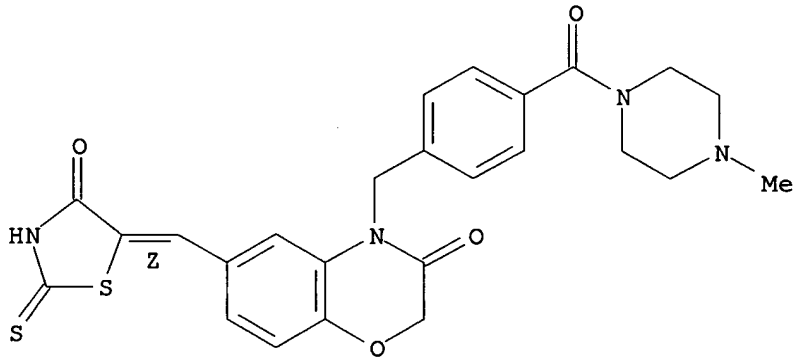
CN Piperazine, 1-[3-[[2,3-dihydro-3-oxo-6-[(Z)-(4-oxo-2-thioxo-5-thiazolidinylidene)methyl]-4H-1,4-benzoxazin-4-yl]methyl]-5-(1,1-dimethylethyl)benzoyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

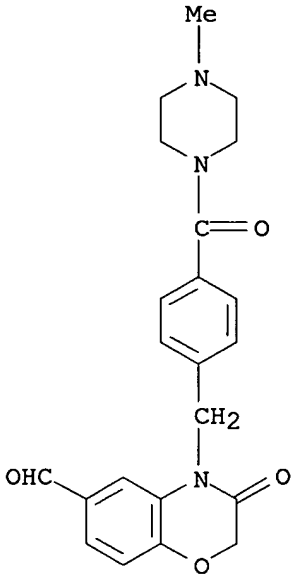


RN 711025-32-0 CAPLUS
CN Piperazine, 1-[4-[[2,3-dihydro-3-oxo-6-[(Z)-(4-oxo-2-thioxo-5-thiazolidinylidene)methyl]-4H-1,4-benzoxazin-4-yl]methyl]benzoyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT **711025-31-9P**, 4-[4-[(4-Methylpiperazin-1-yl)carbonyl]benzyl]-3-oxo-3,4-dihydro-2H-benzo[1,4]oxazine-6-carboxaldehyde
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of benzoxazinones as PI3K inhibitors for treating inflammations, cardiovascular diseases and cancers)
RN 711025-31-9 CAPLUS
CN Piperazine, 1-[4-[(6-formyl-2,3-dihydro-3-oxo-4H-1,4-benzoxazin-4-yl)methyl]benzoyl]-4-methyl- (9CI) (CA INDEX NAME)


Me
N
N
C
O
CH2
OHC
N
O
O

L6 ANSWER 13 OF 50 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:412923 CAPLUS
DOCUMENT NUMBER: 140:423689
TITLE: Preparation of novel pyrimidine-4,6-dicarboxamides for the selective inhibition of collagenases
INVENTOR(S): Klingler, Otmar; Kirsch, Reinhard; Habermann, Joerg; Weithmann, Klaus-Ulrich; Engel, Christian; Pirard, Bernard
PATENT ASSIGNEE(S): Aventis Pharma Deutschland G.m.b.H., Germany
SOURCE: PCT Int. Appl., 122 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004041788	A1	20040521	WO 2003-EP11515	20031018
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10251019	A1	20040519	DE 2002-10251019	20021102
DE 10254092	A1	20040603	DE 2002-10254092	20021120
CA 2504153	AA	20040521	CA 2003-2504153	20031018
AU 2003301847	A1	20040607	AU 2003-301847	20031018
EP 1560815	A1	20050810	EP 2003-810401	20031018
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003015055	A	20050816	BR 2003-15055	20031018
JP 2006513158	T2	20060420	JP 2004-548752	20031018
NO 2005002628	A	20050708	NO 2005-2628	20050531

PRIORITY APPLN. INFO.:
DE 2002-10251019 A 20021102
DE 2002-10254092 A 20021120
WO 2003-EP11515 W 20031018

OTHER SOURCE(S): MARPAT 140:423689
GI

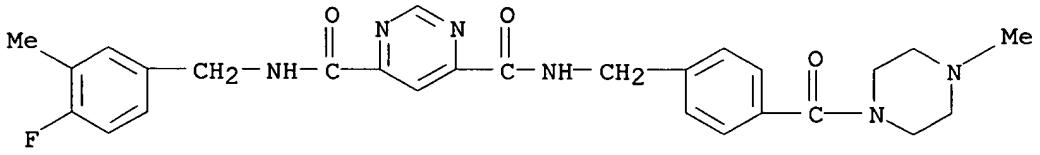
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Pyrimidine-4,6-dicarboxamides I [R1 = H, C1-6-alkyl; R2 = (un)substituted C1-6-alkyl; R3, R4, R5, R6, R7 = H, halogen, (un)substituted C1-6-alkyl; C1-6-haloalkyl, O-(C1-6-alkyl), S-(C1-6-alkyl); R4R5, R5R6 (together to with the carbons to which they are attached) = 5- or 6-membered carbocyclic, aromatic, heterocyclic or heteroaryl ring (hetero compound containing one or more O, S or N)] are suitable for the selective inhibition of collagenase (MMP 13). Pyrimidine-4,6-dicarboxamides I can be prepared from

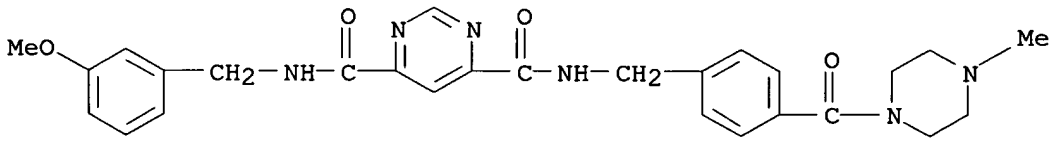
pyrimidine-4,6-dicarboxylic acid derivs. II (Y = halogen, OH, C1-6-alkoxy; or anhydride) via reaction with R1R2NH or benzylamine III to give the monoamides IV or V, which in turn undergo reaction with benzylamine III or R1R2NH, resp. Thus, VI was prepared from di-Me pyrimidine-4,6-dicarboxylate via partial amidation with 3-MeOC6H4CH2NH2 in THF, saponification with LiOH in THF, amidation with 4-(NH2CH2)C6H4CO2Me·HCl in DMF containing TOTU and NEt3, saponification with LiOH in THF and amidation with Et2NH in DMF containing TOTU
and NEt3. The pyrimidine-4,6-dicarboxamides can thus be used for the treatment of degenerative joint diseases. The bioactivity of VI was determined [IC50 = 4 nM vs. MMP 13].

IT **691002-32-1P 691002-65-0P 691002-70-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel pyrimidine-4,6-dicarboxamides for the selective inhibition of collagenases)

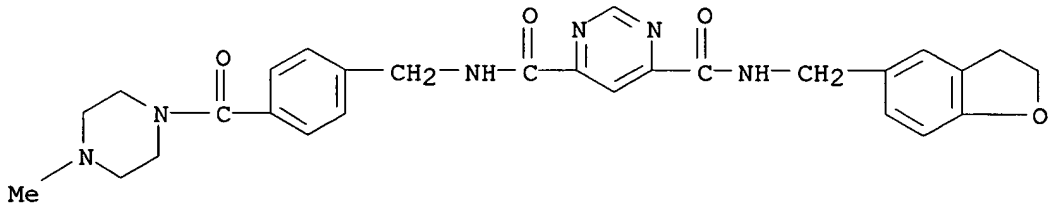
RN 691002-32-1 CAPLUS
CN 4,6-Pyrimidinedicarboxamide, N-[(4-fluoro-3-methylphenyl)methyl]-N'-[[4-[(4-methyl-1-piperazinyl)carbonyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 691002-65-0 CAPLUS
CN 4,6-Pyrimidinedicarboxamide, N-[(3-methoxyphenyl)methyl]-N'-[[4-[(4-methyl-1-piperazinyl)carbonyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 691002-70-7 CAPLUS
CN 4,6-Pyrimidinedicarboxamide, N-[(2,3-dihydro-5-benzofuranyl)methyl]-N'-[[4-[(4-methyl-1-piperazinyl)carbonyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



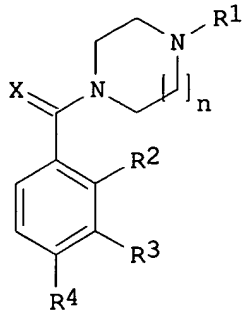
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

applicant

L6 ANSWER 14 OF 50 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:370916 CAPLUS
DOCUMENT NUMBER: 140:391298
TITLE: Preparation of piperazinyl and diazepanyl benzamides and benzothioamides as inhibitors of histamine H3 receptor
INVENTOR(S): Apodaca, Richard L.; Jablonowski, Jill A.; Ly, Kiev S.; Shah, Chandravadan R.; Swanson, Devin M.; Xiao, Wei
PATENT ASSIGNEE(S): Janssen Pharmaceutica, N.V., Belg.
SOURCE: PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004037801	A1	20040506	WO 2003-US33343		20031021
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2504269	AA	20040506	CA 2003-2504269		20031021
AU 2003301552	A1	20040513	AU 2003-301552		20031021
US 2004110746	A1	20040610	US 2003-690115		20031021
EP 1558595	A1	20050803	EP 2003-809596		20031021
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
BR 2003015644	A	20050830	BR 2003-15644		20031021
CN 1729180	A	20060201	CN 2003-80107052		20031021
JP 2006510609	T2	20060330	JP 2004-546967		20031021
NO 2005002278	A	20050606	NO 2005-2278		20050510
PRIORITY APPLN. INFO.:			US 2002-420495P	P	20021023
			WO 2003-US33343	W	20031021

OTHER SOURCE(S): MARPAT 140:391298
GI



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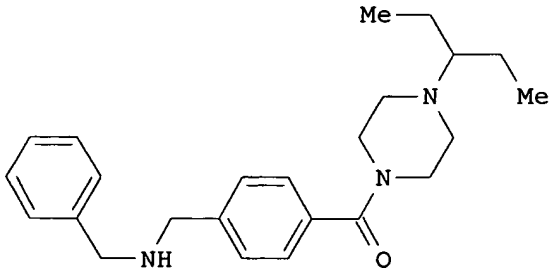


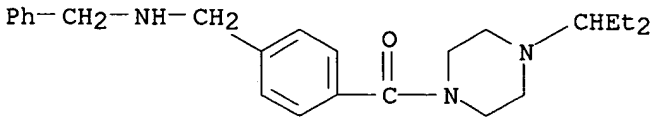
II

AB The title compds. [I; R1 = alkyl, alkenyl, cycloalkyl, etc.; n = 1-2; X = O, S; one of R2-R4 = G and the other two are H, F, Cl, Br, CF3, Me, NO2, alkoxy; G = LQ; L = (CH2)m; m = 1-7; Q = NR8R9 (R8, R9 = H, alkyl, Ph, etc.), (un)substituted saturated 3-12 membered N-linked heterocyclyl], useful for treating histamine-mediated conditions, were prepared Thus, reacting 4-[4-(1-ethylpropyl)piperazine-1-carbonyl]benzaldehyde with PhCH2NH2 in the presence of NaBH(OAc)3 and AcOH afforded II which showed Ki of 2.0 nM against histamine H3 receptor binding. The pharmaceutical compns. comprising the title compds. I are claimed.

IT **686720-78-5P 686720-79-6P 686720-80-9P**
686720-81-0P 686720-82-1P 686720-83-2P
686720-84-3P 686720-85-4P 686720-86-5P
686720-87-6P 686720-88-7P 686720-89-8P
686720-90-1P 686720-91-2P 686720-92-3P
686720-93-4P 686720-94-5P 686720-95-6P
686720-96-7P 686720-97-8P 686720-98-9P
686720-99-0P 686721-00-6P 686721-01-7P
686721-02-8P 686721-03-9P 686721-04-0P
686721-05-1P 686721-06-2P 686721-07-3P
686721-08-4P 686721-09-5P 686721-10-8P
686721-11-9P 686721-12-0P 686721-13-1P
686721-14-2P 686721-15-3P 686721-16-4P
686721-17-5P 686721-18-6P 686721-19-7P
686721-20-0P 686721-21-1P 686721-22-2P
686721-23-3P 686721-24-4P 686721-25-5P
686721-26-6P 686721-27-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazinyl and diazepanyl benzamides and benzothioamides as inhibitors of histamine H3 receptor)

RN 686720-78-5 CAPLUS

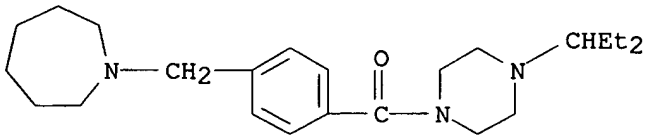
CN Piperazine, 1-(1-ethylpropyl)-4-[4-[[(phenylmethyl)amino]methyl]benzoyl]- (9CI) (CA INDEX NAME)



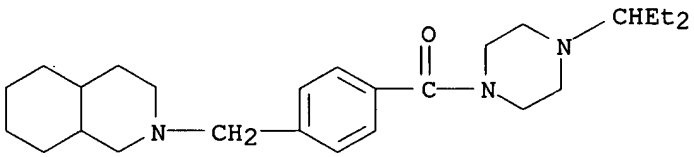
aw

RN 686720-79-6 CAPLUS

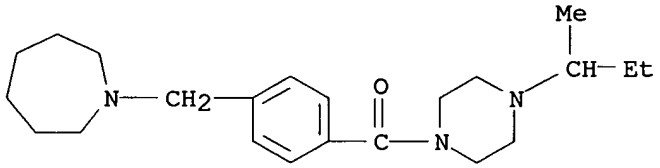
CN Piperazine, 1-(1-ethylpropyl)-4-[4-[(hexahydro-1H-azepin-1-yl)methyl]benzoyl]- (9CI) (CA INDEX NAME)



RN 686720-80-9 CAPLUS
CN Piperazine, 1-(1-ethylpropyl)-4-[4-[(octahydro-2(1H)-isoquinolinyl)methyl]benzoyl]- (9CI) (CA INDEX NAME)



RN 686720-81-0 CAPLUS
CN Piperazine, 1-[4-[(hexahydro-1H-azepin-1-yl)methyl]benzoyl]-4-(1-methylpropyl)- (9CI) (CA INDEX NAME)



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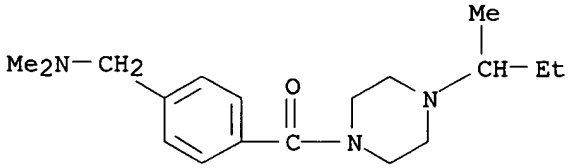
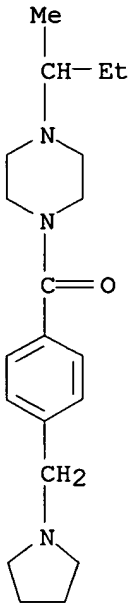
CN Piperazine, 1-[4-[(dimethylamino)methyl]benzoyl]-4-(1-methylpropyl)- (9CI) (CA INDEX NAME)

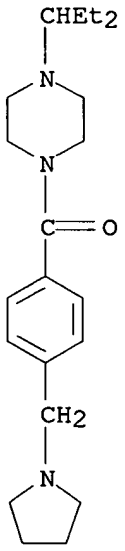


RN 686720-83-2 CAPLUS
CN Piperazine, 1-(1-methylpropyl)-4-[4-(1-pyrrolidinylmethyl)benzoyl]- (9CI) (CA INDEX NAME)



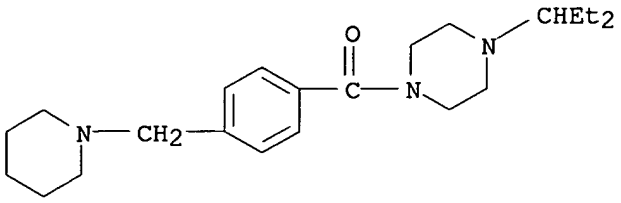
aj

RN 686720-84-3 CAPLUS
CN Piperazine, 1-(1-ethylpropyl)-4-[4-(1-pyrrolidinylmethyl)benzoyl]- (9CI) (CA INDEX NAME)



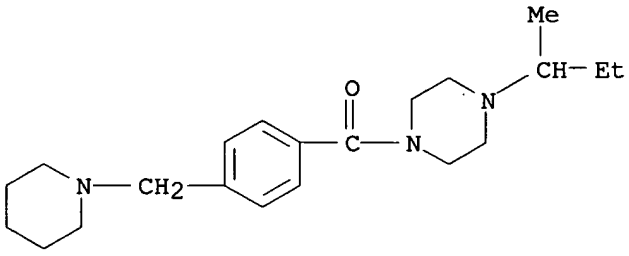
ap

RN 686720-85-4 CAPLUS
CN Piperazine, 1-(1-ethylpropyl)-4-[4-(1-piperidinylmethyl)benzoyl]- (9CI) (CA INDEX NAME)



ao

RN 686720-86-5 CAPLUS
CN Piperazine, 1-(1-methylpropyl)-4-[4-(1-piperidinylmethyl)benzoyl]- (9CI) (CA INDEX NAME)



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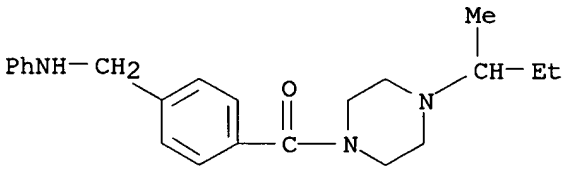
RN 686720-87-6 CAPLUS
CN Piperazine, 1-(1-methylpropyl)-4-[4-[(phenylamino)methyl]benzoyl]- (9CI) (CA INDEX NAME)



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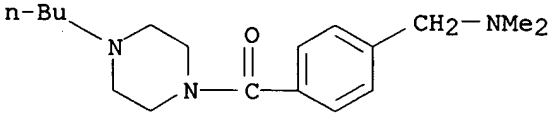
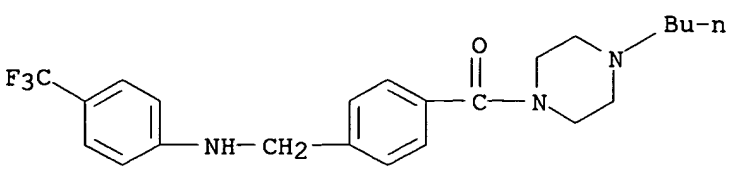
RN 686720-88-7 CAPLUS
CN Piperazine, 1-butyl-4-[4-[(dimethylamino)methyl]benzoyl]- (9CI) (CA INDEX NAME)

aj

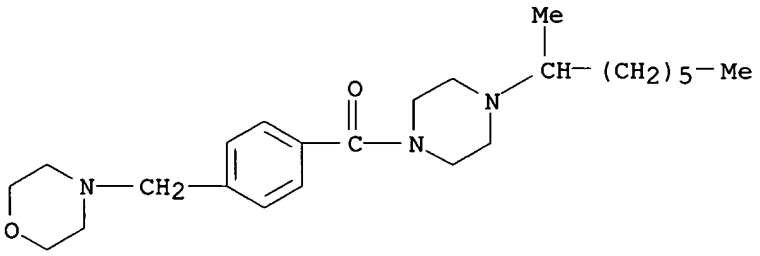


RN 686720-89-8 CAPLUS
CN Piperazine, 1-butyl-4-[4-[[[4-(trifluoromethyl)phenyl]amino]methyl]benzoyl]- (9CI) (CA INDEX NAME)



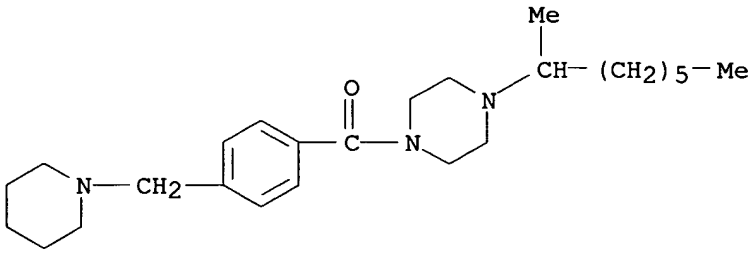
h

RN 686720-90-1 CAPLUS
CN Piperazine, 1-(1-methylheptyl)-4-[4-(4-morpholinylmethyl)benzoyl]- (9CI) (CA INDEX NAME)



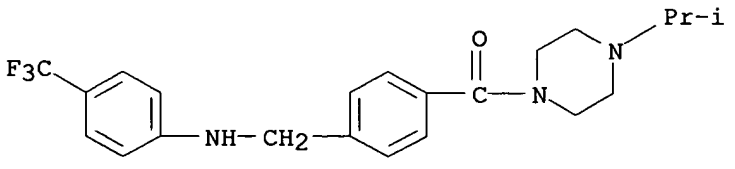
at

RN 686720-91-2 CAPLUS
CN Piperazine, 1-(1-methylheptyl)-4-[4-(1-piperidinylmethyl)benzoyl]- (9CI) (CA INDEX NAME)



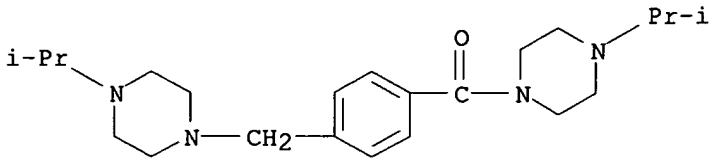
au

RN 686720-92-3 CAPLUS
CN Piperazine, 1-(1-methylethyl)-4-[4-[[[4-(trifluoromethyl)phenyl]amino]methyl]benzoyl]- (9CI) (CA INDEX NAME)

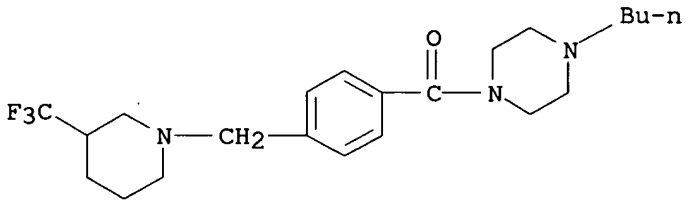


Z

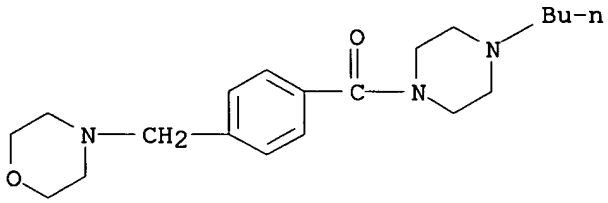
RN 686720-93-4 CAPLUS
CN Piperazine, 1-(1-methylethyl)-4-[4-[[4-(1-methylethyl)-1-piperazinyl]methyl]benzoyl]- (9CI) (CA INDEX NAME)



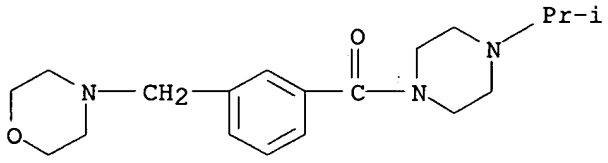
RN 686720-94-5 CAPLUS
CN Piperazine, 1-butyl-4-[4-[[3-(trifluoromethyl)-1-piperidinyl]methyl]benzoyl]- (9CI) (CA INDEX NAME)



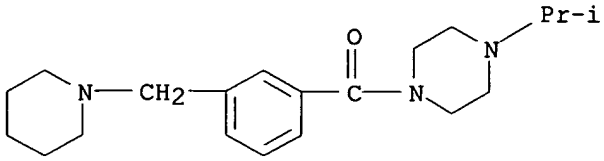
RN 686720-95-6 CAPLUS
CN Piperazine, 1-butyl-4-[4-(4-morpholinylmethyl)benzoyl]- (9CI) (CA INDEX NAME)



RN 686720-96-7 CAPLUS
CN Piperazine, 1-(1-methylethyl)-4-[3-(4-morpholinylmethyl)benzoyl]- (9CI) (CA INDEX NAME)

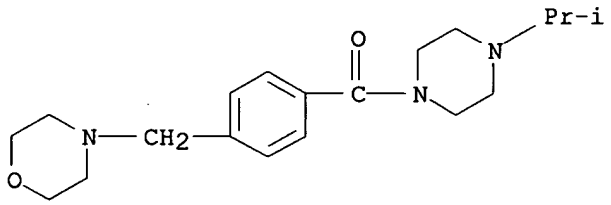


RN 686720-97-8 CAPLUS
CN Piperazine, 1-(1-methylethyl)-4-[3-(1-piperidinylmethyl)benzoyl]- (9CI) (CA INDEX NAME)



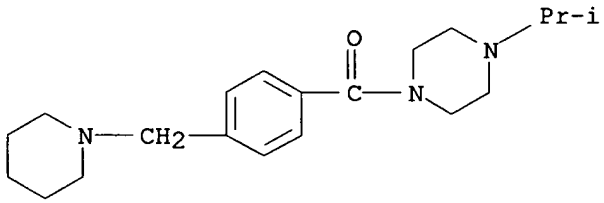
n

RN 686720-98-9 CAPLUS
CN Piperazine, 1-(1-methylethyl)-4-[4-(4-morpholinylmethyl)benzoyl]- (9CI) (CA INDEX NAME)



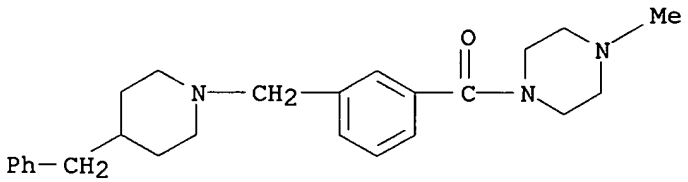
p

RN 686720-99-0 CAPLUS
CN Piperazine, 1-(1-methylethyl)-4-[4-(1-piperidinylmethyl)benzoyl]- (9CI) (CA INDEX NAME)



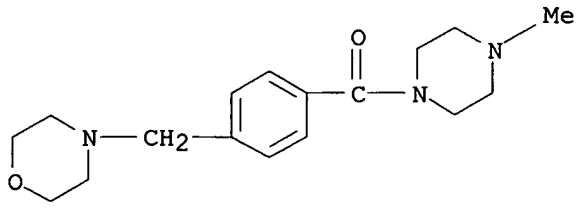
r

RN 686721-00-6 CAPLUS
CN Piperazine, 1-methyl-4-[3-[[4-(phenylmethyl)-1-piperidinyl]methyl]benzoyl]- (9CI) (CA INDEX NAME)



al

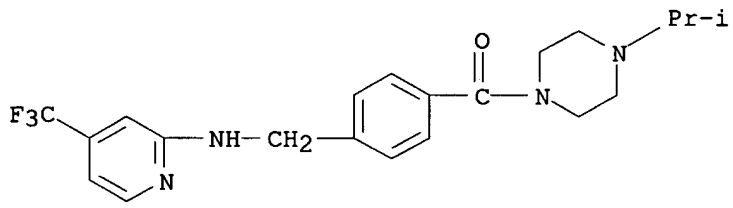
RN 686721-01-7 CAPLUS
CN Piperazine, 1-methyl-4-[4-(4-morpholinylmethyl)benzoyl]-, dihydrochloride (9CI) (CA INDEX NAME)



ad

●2 HCl

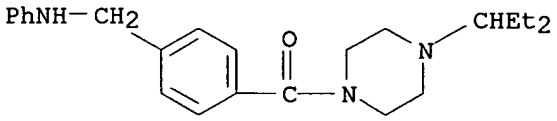
RN 686721-02-8 CAPLUS
CN Piperazine, 1-(1-methylethyl)-4-[4-[[[4-(trifluoromethyl)-2-pyridinyl]amino]methyl]benzoyl]-, dihydrochloride (9CI) (CA INDEX NAME)



aa

●2 HCl

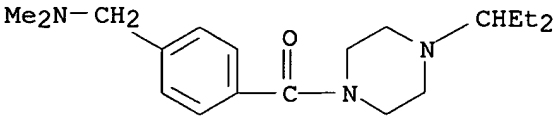
RN 686721-03-9 CAPLUS
CN Piperazine, 1-(1-ethylpropyl)-4-[4-[(phenylamino)methyl]benzoyl]-, dihydrochloride (9CI) (CA INDEX NAME)



an

●2 HCl

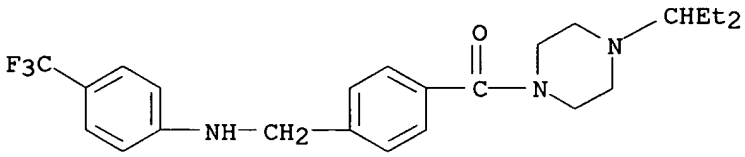
RN 686721-04-0 CAPLUS
CN Piperazine, 1-[4-[(dimethylamino)methyl]benzoyl]-4-(1-ethylpropyl)-, dihydrochloride (9CI) (CA INDEX NAME)



q

●2 HCl

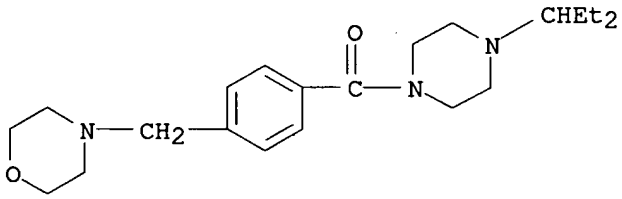
RN 686721-05-1 CAPLUS
CN Piperazine, 1-(1-ethylpropyl)-4-[4-[[[4-(trifluoromethyl)phenyl]amino]methyl]benzoyl]-, dihydrochloride (9CI) (CA INDEX NAME)



as

●2 HCl

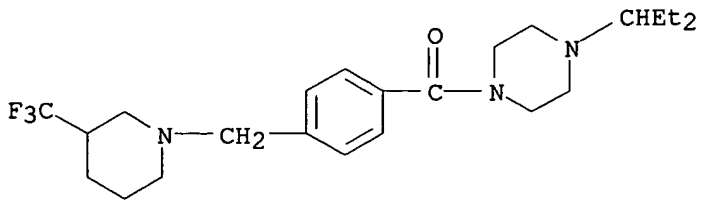
RN 686721-06-2 CAPLUS
CN Piperazine, 1-(1-ethylpropyl)-4-[4-(4-morpholinylmethyl)benzoyl]-, dihydrochloride (9CI) (CA INDEX NAME)



am

●2 HCl

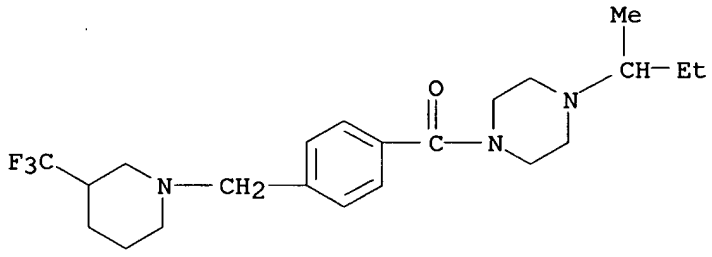
RN 686721-07-3 CAPLUS
CN Piperazine, 1-(1-ethylpropyl)-4-[4-[[3-(trifluoromethyl)-1-piperidinyl]methyl]benzoyl]-, dihydrochloride (9CI) (CA INDEX NAME)



aq

●2 HCl

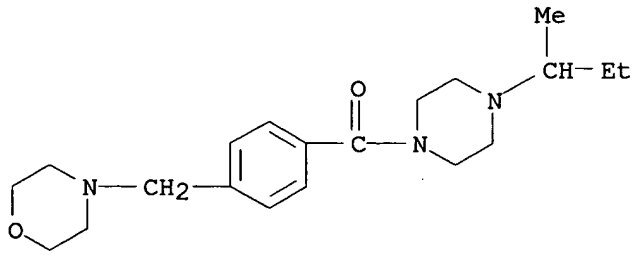
RN 686721-08-4 CAPLUS
CN Piperazine, 1-(1-methylpropyl)-4-[4-[[3-(trifluoromethyl)-1-piperidinyl]methyl]benzoyl]-, dihydrochloride (9CI) (CA INDEX NAME)



ak

●2 HCl

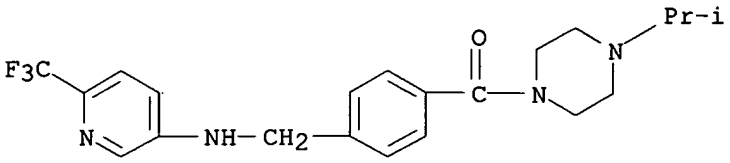
RN 686721-09-5 CAPLUS
CN Piperazine, 1-(1-methylpropyl)-4-[4-(4-morpholinylmethyl)benzoyl]-, dihydrochloride (9CI) (CA INDEX NAME)



aq

●2 HCl

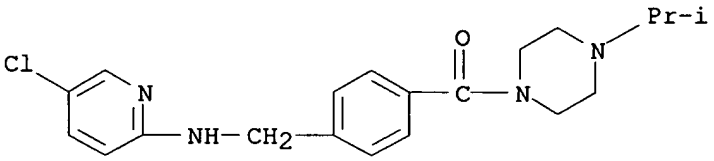
RN 686721-10-8 CAPLUS
CN Piperazine, 1-(1-methylethyl)-4-[4-[[[6-(trifluoromethyl)-3-pyridinyl]amino]methyl]benzoyl]-, dihydrochloride (9CI) (CA INDEX NAME)



ac

●2 HCl

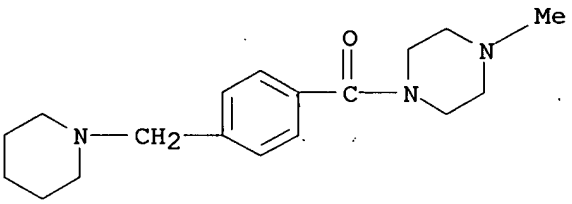
RN 686721-11-9 CAPLUS
CN Piperazine, 1-[4-[[(5-chloro-2-pyridinyl)amino]methyl]benzoyl]-4-(1-methylethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



ax

●2 HCl

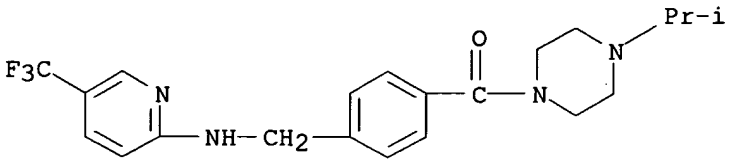
RN 686721-12-0 CAPLUS
CN Piperazine, 1-methyl-4-[4-(1-piperidinylmethyl)benzoyl]-, dihydrochloride (9CI) (CA INDEX NAME)



ae

●2 HCl

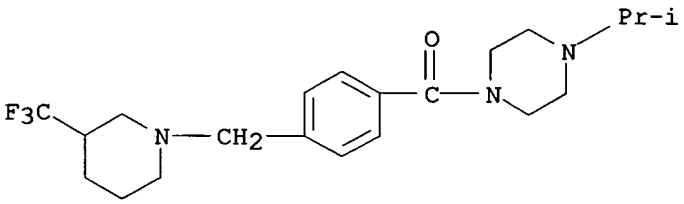
RN 686721-13-1 CAPLUS
CN Piperazine, 1-(1-methylethyl)-4-[4-[[[5-(trifluoromethyl)-2-pyridinyl]amino]methyl]benzoyl]-, dihydrochloride (9CI) (CA INDEX NAME)



ab

●2 HCl

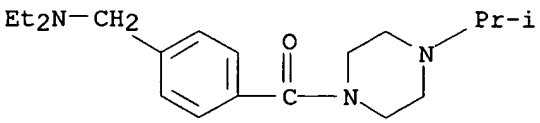
RN 686721-14-2 CAPLUS
CN Piperazine, 1-(1-methylethyl)-4-[4-[[3-(trifluoromethyl)-1-piperidinyl]methyl]benzoyl]-, dihydrochloride (9CI) (CA INDEX NAME)



u

●2 HCl

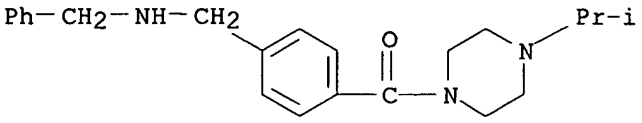
RN 686721-15-3 CAPLUS
CN Piperazine, 1-[4-[(diethylamino)methyl]benzoyl]-4-(1-methylethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



j

●2 HCl

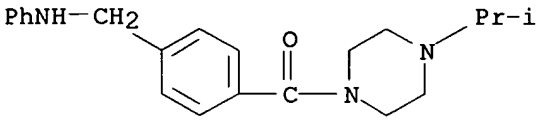
RN 686721-16-4 CAPLUS
CN Piperazine, 1-(1-methylethyl)-4-[4-[[(phenylmethyl)amino]methyl]benzoyl]-, dihydrochloride (9CI) (CA INDEX NAME)



a ✓

●2 HCl

RN 686721-17-5 CAPLUS
CN Piperazine, 1-(1-methylethyl)-4-[4-[(phenylamino)methyl]benzoyl]-, dihydrochloride (9CI) (CA INDEX NAME)



q ✓

●2 HCl

RN 686721-18-6 CAPLUS
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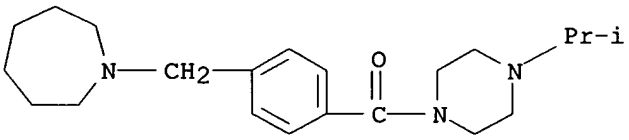
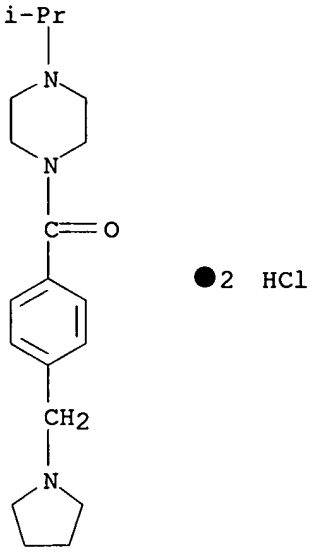


b

●2 HCl

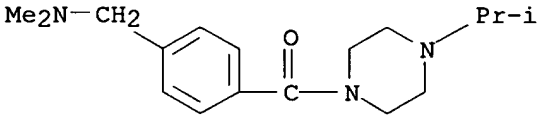
RN 686721-19-7 CAPLUS
CN Piperazine, 1-(1-methylethyl)-4-[4-(1-pyrrolidinylmethyl)benzoyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

S

RN 686721-20-0 CAPLUS
CN Piperazine, 1-[4-[(dimethylamino)methyl]benzoyl]-4-(1-methylethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

h

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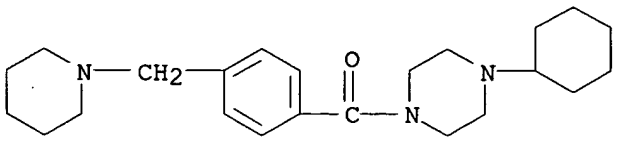
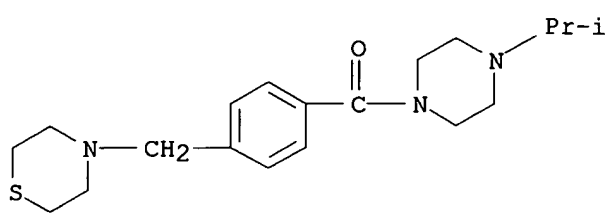
CN Piperazine, 1-cyclohexyl-4-[4-(1-piperidinylmethyl)benzoyl]- (9CI) (CA INDEX NAME)

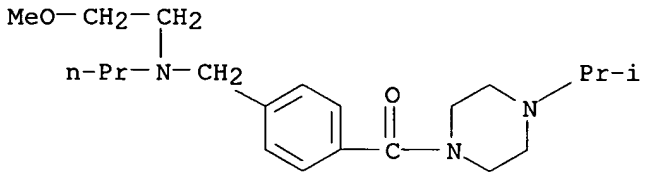


i

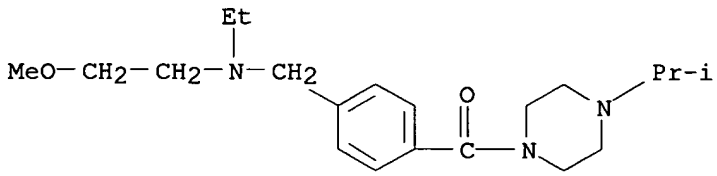
RN 686721-22-2 CAPLUS
CN Piperazine, 1-(1-methylethyl)-4-[4-(4-thiomorpholinylmethyl)benzoyl]- (9CI) (CA INDEX NAME)



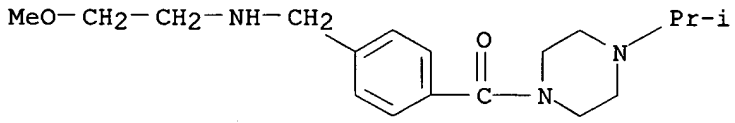
RN 686721-23-3 CAPLUS
CN Piperazine, 1-[4-[[(2-methoxyethyl)propylamino]methyl]benzoyl]-4-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 686721-24-4 CAPLUS
CN Piperazine, 1-[4-[[ethyl(2-methoxyethyl)amino]methyl]benzoyl]-4-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 686721-25-5 CAPLUS
CN Piperazine, 1-[4-[[(2-methoxyethyl)amino]methyl]benzoyl]-4-(1-methylethyl)- (9CI) (CA INDEX NAME)



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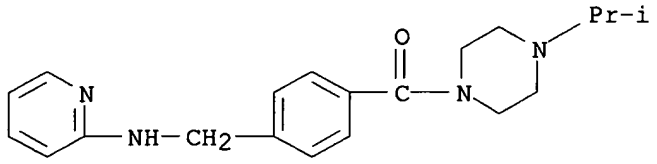
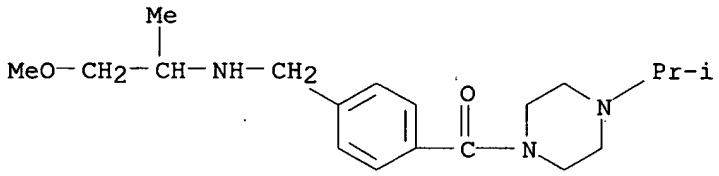
CN Piperazine, 1-(1-methylethyl)-4-[4-[(2-pyridinylamino)methyl]benzoyl]- (9CI) (CA INDEX NAME)



RN 686721-27-7 CAPLUS
CN Piperazine, 1-[4-[[(2-methoxy-1-methylethyl)amino]methyl]benzoyl]-4-(1-methylethyl)- (9CI) (CA INDEX NAME)



L6 ANSWER 15 OF 50 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:354923 CAPLUS
DOCUMENT NUMBER: 140:375196
TITLE: Preparation of substituted piperazines, [1,4]diazepines, and 2,5-diazabicyclo[2.2.1]heptanes as histamine H1 and/or H3 antagonists or histamine H3 reverse antagonists
INVENTOR(S): Ancliff, Rachael; Eldred, Colin David; Fogden, Yvonne C.; Hancock, Ashley Paul; Heightman, Thomas Daniel; Hobbs, Heather; Hodgson, Simon Teanby; Lindon, Matthew J.; Wilson, David Matthew
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 140 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
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WO 2004035556	A1	20040429	WO 2003-EP11423	20031014
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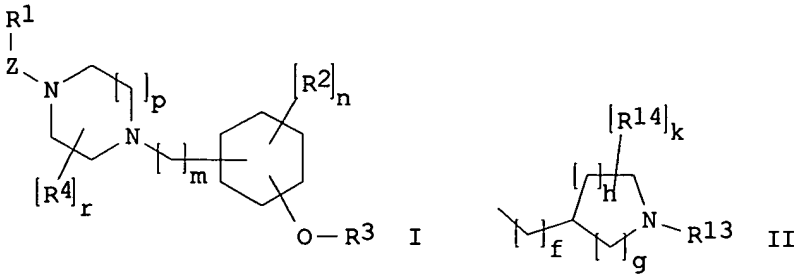
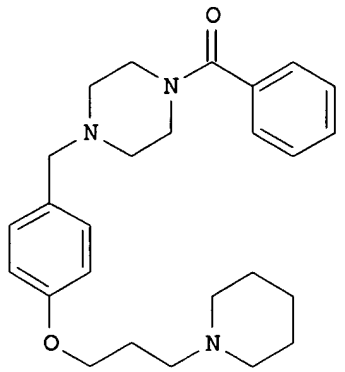
US 2006025404	A1	20060202	US 2005-531758	20050414
PRIORITY APPLN. INFO.:			GB 2002-24084 A	20021016
			WO 2003-EP11423 W	20031014

OTHER SOURCE(S): MARPAT 140:375196
GI



I

II



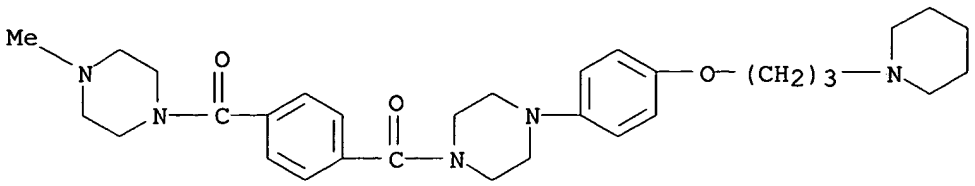
III

AB The title compds. [I; R1 = H, alkyl, alkoxy, etc.; Z = a bond, CO, (un)substituted CONH, SO2; p = 1-2; m, n, r = 0-2; R2 = halo, alkyl, alkoxy, etc.; R3 = (CH2)qNR11R12, II (wherein q = 2-4; R11, R12 = alkyl, cycloalkyl; NR11R12 = heterocyclyl; R13 = H, alkyl, cycloalkyl, etc.; R14 = halo, alkyl, haloalkyl, etc.; f, k = 0-2; g = 0-2; h = 0-3, such that g and h cannot both be 0); R4 = H, alkyl such that when r = 2, two R4 groups may instead be linked to form CH2, (CH2)2, (CH2)3; with the provisos], useful in the treatment of neurodegenerative disorders including Alzheimer's disease, and inflammatory diseases of the upper respiratory tract, were prepared Thus, reacting 1-[4-(3-piperidin-1-ylpropoxy)benzyl]piperazine.3HCl (preparation given) with benzoic acid afforded 77% III which was tested in the histamine H3 functional antagonist assay and showed pKb of > 6.5. The pharmaceutical composition comprising the compound I is claimed.

IT **684248-14-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted piperazines, [1,4]diazepines, and 2,5-diazabicyclo[2.2.1]heptanes as histamine H1 and/or H3 antagonists or histamine H3 reverse antagonists)

RN 684248-14-4 CAPLUS

CN Piperazine, 1-[4-[(4-methyl-1-piperazinyl)carbonyl]benzoyl]-4-[4-[3-(1-piperidinyl)propoxy]phenyl]- (9CI) (CA INDEX NAME)

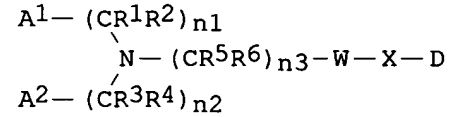


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 16 OF 50 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:252488 CAPLUS
DOCUMENT NUMBER: 140:287416
TITLE: Preparation of bis(heterocyclylmethyl)amine compounds as chemokine receptor CXCR4 antagonists
INVENTOR(S): Yamazaki, Toru; Kikumoto, Shigeyuki; Ono, Masahiro; Saitou, Atsushi; Takahashi, Haruka; Kumakura, Sei; Hirose, Kunitaka; Yanaka, Mikiro; Takemura, Yoshiyuki; Suzuki, Shigeru; Matsui, Ryo
PATENT ASSIGNEE(S): Kureha Chemical Industry Company, Limited, Japan
SOURCE: PCT Int. Appl., 293 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004024697	A1	20040325	WO 2003-JP11381	20030905
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2488785	AA	20040325	CA 2003-2488785	20030905
AU 2003261974	A1	20040430	AU 2003-261974	20030905
EP 1550657	A1	20050706	EP 2003-795301	20030905
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1646495	A	20050727	CN 2003-808298	20030905
US 2005165063	A1	20050728	US 2003-516158	20030905
JP 3714948	B2	20051109	JP 2004-535901	20030905
PRIORITY APPLN. INFO.:			JP 2002-265247 A	20020911
			WO 2003-JP11381 W	20030905

OTHER SOURCE(S): MARPAT 140:287416
GI



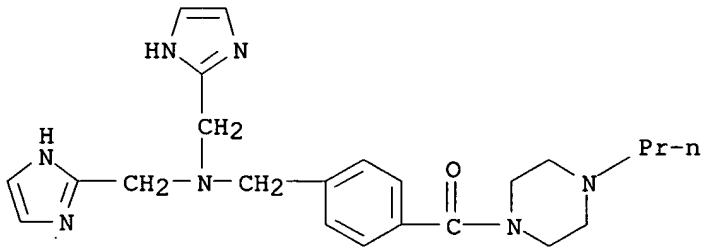
I

AB The title compds. [I; n1, n2, n3 = an integer of 0-3; R1-R6 = H, each (un)substituted C1-15 alkyl, C2-15 alkenyl, C2-15 alkynyl, or C3-15 cycloalkyl; A1, A2 = each (un)substituted mono- or polycyclic heteroarom. ring, partially saturated polycyclic heteroarom. ring, mono- or polycyclic aromatic ring, partially saturated aromatic ring, heterocyclic ring, or NH2CH2; W =

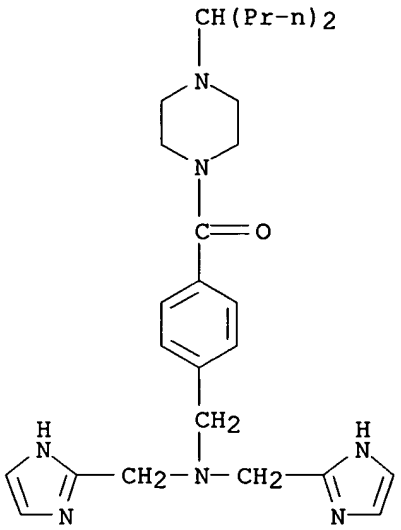
each (un)substituted C1-15 alkylene, C2-15 alkenylene, C2-15 alkynylene, C3-15 cycloalkylene, mono- or polycyclic heteroarom. ring, partially saturated polycyclic heteroarom. ring, mono- or polycyclic aromatic ring, partially saturated polycyclic aromatic ring, or heterocyclic ring; X = O, CH2, (un)substituted NH; D = Q, Q1, etc.; wherein R13 = H, each (un)substituted C1-15 alkyl, C2-15 alkenyl, C2-15 alkynyl, C3-15 cycloalkyl, (un)substituted amino-C2-4 alkyl] or optically active enantiomers or diastereomers thereof or mixts. or racemates thereof are prepared These compds., e.g. 4-[[bis(1H-imidazol-2-ylmethyl)amino]methyl]-N-(4-dipropylaminomethyl)benzamide (II) and N-(4-dipropylaminomethylphenyl)-4-[[(1H-imidazol-2-ylmethyl)-(1H-benzimidazol-1-ylmethyl)amino]methyl]benzamide (III), are efficacious against diseases such as infection with virus (e.g. HIV virus), rheumatism, and cancer metastasis. For example, II and III showed EC50 of 0.002 μM against the HIV infection of MT-4 cells.

IT **675135-14-5P 675135-19-0P 675135-20-3P**
675137-00-5P 675137-16-3P 675137-17-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bis(heterocyclylmethyl)amine compds. as chemokine receptor CXCR4 antagonists for treatment of infection with virus (e.g. HIV virus), rheumatism, and cancer metastasis)

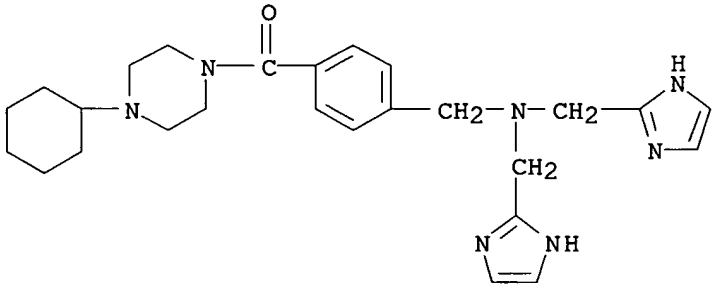
RN 675135-14-5 CAPLUS
CN Piperazine, 1-[4-[[bis(1H-imidazol-2-ylmethyl)amino]methyl]benzoyl]-4-propyl- (9CI) (CA INDEX NAME)



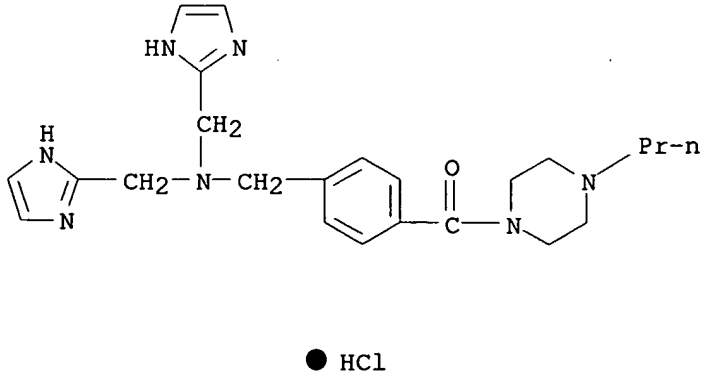
RN 675135-19-0 CAPLUS
CN Piperazine, 1-[4-[[bis(1H-imidazol-2-ylmethyl)amino]methyl]benzoyl]-4-(1-propylbutyl)- (9CI) (CA INDEX NAME)



RN 675135-20-3 CAPLUS
CN Piperazine, 1-[4-[[bis(1H-imidazol-2-ylmethyl)amino]methyl]benzoyl]-4-cyclohexyl- (9CI) (CA INDEX NAME)

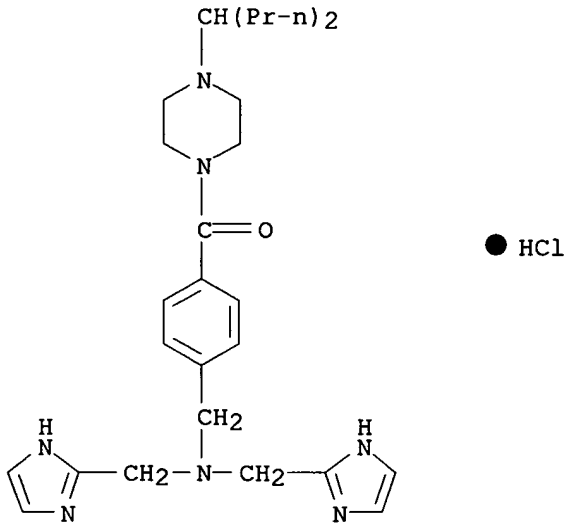


RN 675137-00-5 CAPLUS
CN Piperazine, 1-[4-[[bis(1H-imidazol-2-ylmethyl)amino]methyl]benzoyl]-4-propyl-, monohydrochloride (9CI) (CA INDEX NAME)

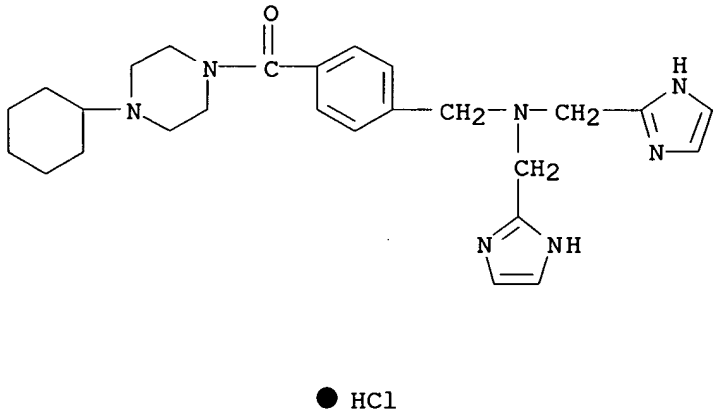


● HCl

RN 675137-16-3 CAPLUS
CN Piperazine, 1-[4-[[bis(1H-imidazol-2-ylmethyl)amino]methyl]benzoyl]-4-(1-propylbutyl)-, monohydrochloride (9CI) (CA INDEX NAME)



RN 675137-17-4 CAPLUS
CN Piperazine, 1-[4-[[bis(1H-imidazol-2-ylmethyl)amino]methyl]benzoyl]-4-cyclohexyl-, monohydrochloride (9CI) (CA INDEX NAME)

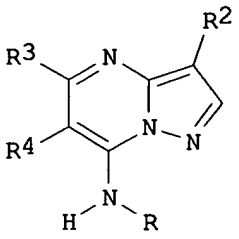


REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 17 OF 50 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:220336 CAPLUS
DOCUMENT NUMBER: 140:270873
TITLE: Preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors
INVENTOR(S): Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Doll, Ronald J.; Girijavallabhan, Viyyoor Moopil; Mallams, Alan; Alvarez, Carmen S.; Keertikar, Kartik M.; Rivera, Jocelyn; Chan, Tin-yau; Madison, Vincent; Fischmann, Thierry O.; Dillard, Lawrence W.; Tran, Vinh D.; He, Zhen Min; James, Ray Anthony; Park, Haengsoon; Paradkar, Vidyadhar M.; Hobbs, Douglas Walsh
PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacopeia, Inc.
SOURCE: PCT Int. Appl., 609 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004022561	A1	20040318	WO 2003-US27555		20030903
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NI, NO, NZ, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2497440	AA	20040318	CA 2003-2497440		20030903
AU 2003263071	A1	20040329	AU 2003-263071		20030903
EP 1537116	A1	20050608	EP 2003-794592		20030903
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
BR 2003014001	A	20050705	BR 2003-14001		20030903
JP 2006502163	T2	20060119	JP 2004-534487		20030903
CN 1735614	A	20060215	CN 2003-824997		20030903
NO 2005001647	A	20050603	NO 2005-1647		20050404
PRIORITY APPLN. INFO.:			US 2002-408027P	P	20020904
			US 2002-421959P	P	20021029
			WO 2003-US27555	W	20030903

OTHER SOURCE(S): MARPAT 140:270873
GI



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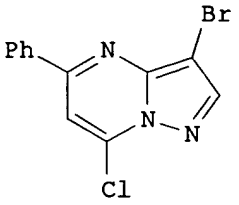
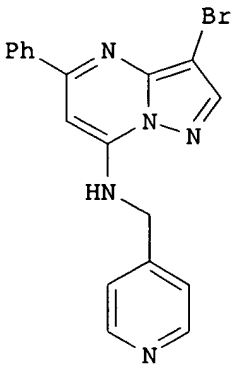


II



III

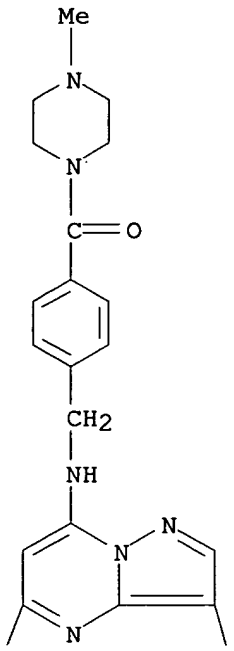
AB The title compds. [I R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020 μM and 0.029 μM against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical composition comprising the compound I is claimed. This is a Part I of I-III series.

IT **672321-90-3P 672321-92-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

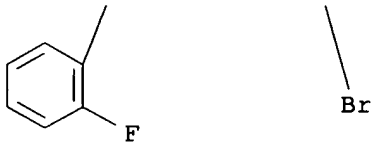
RN 672321-90-3 CAPLUS

CN Piperazine, 1-[4-[[[3-bromo-5-(2-fluorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]methyl]benzoyl]-4-methyl- (9CI) (CA INDEX NAME)

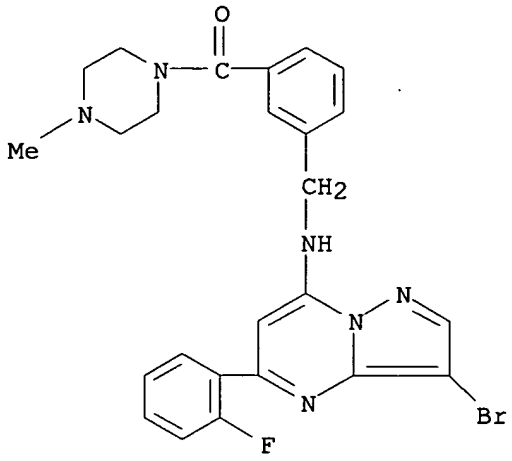
PAGE 1-A



PAGE 2-A



RN 672321-92-5 CAPLUS
CN Piperazine, 1-[3-[[[3-bromo-5-(2-fluorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]methyl]benzoyl]-4-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 18 OF 50 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:198178 CAPLUS
DOCUMENT NUMBER: 140:235748
TITLE: Preparation of arylquinoazolinones and related compounds as melanin concentrating hormone (MCH) antagonists.
INVENTOR(S): Stenkamp, Dirk; Lehmann-Lintz, Thorsten; Mueller, Stephan; Rudolf, Klaus; Lustenberger, Phillip; Arndt, Kirsten; Lotz, Ralf; Wieland, Heike; Lenter, Martin
PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Germany; Novo Nordisk A/S
SOURCE: Ger. Offen., 132 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10238865	A1	20040311	DE 2002-10238865	20020824
CA 2496563	AA	20040325	CA 2003-2496563	20030816
WO 2004024702	A1	20040325	WO 2003-EP9099	20030816
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003258620	A1	20040430	AU 2003-258620	20030816
EP 1534689	A1	20050601	EP 2003-794886	20030816
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003013790	A	20050712	BR 2003-13790	20030816
CN 1678591	A	20051005	CN 2003-820076	20030816
JP 2006507246	T2	20060302	JP 2004-535098	20030816
US 2004242572	A1	20041202	US 2003-647156	20030822
NO 2005000068	A	20050304	NO 2005-68	20050106

PRIORITY APPLN. INFO.:		
DE 2002-10238865	A	20020824
US 2002-408224P	P	20020904
WO 2003-EP9099	W	20030816

OTHER SOURCE(S): MARPAT 140:235748
AB R1R2NXYZNR3COAWkB [R1, R2 = H, (substituted) alkyl, cycloalkyl, Ph; R1R2 = (heteroatom-interrupted) (substituted) alkylene; R3 = H, alkyl, cycloalkyl, cycloalkylalkyl, alkoxyalkyl, aminoalkyl; X = bond, (heteroatom-interrupted) (substituted) alkylene; Z = (heteroatom-interrupted) (substituted) alkylene; A, Y = (hetero)cyclylene; B = (hetero)cyclyl; W = bond, O, alkylene, alkenylene, alkynylene, alkyleneoxy, imino, etc.; k = 0, 1; R1Y, R3Z, AR3 = atoms to form rings], were prepared Thus, 4'-chloro-3-aminobiphenyl-4-carboxylic acid [2-(4-pyrrolidin-1-ylmethylphenyl)ethyl]amide (preparation given) was stirred with HCO2H for 3 h at room temperature and for 2 h at 100° to give 64.6% 7-(4-chlorophenyl)-3-[2-(4-pyrrolidin-1-ylmethylphenyl)ethyl]-3H-quinazolin-4-one. Tested I showed MCH-1 binding activity with IC50 =

2.1-30.5 nM.

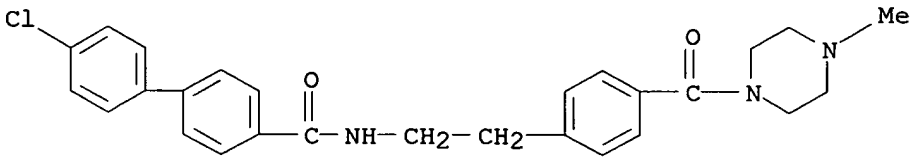
IT **669003-23-0P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of arylquinoazolinones and related compds. as melanin concentrating hormone (MCH) antagonists)

RN 669003-23-0 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, 4'-chloro-N-[2-[4-[(4-methyl-1-piperazinyl)carbonyl]phenyl]ethyl]- (9CI) (CA INDEX NAME)



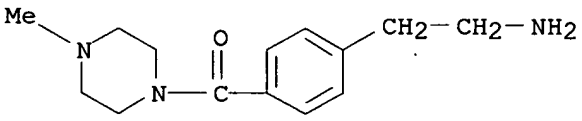
IT **669002-97-5P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of arylquinoazolinones and related compds. as melanin concentrating hormone (MCH) antagonists)

RN 669002-97-5 CAPLUS

CN Piperazine, 1-[4-(2-aminoethyl)benzoyl]-4-methyl- (9CI) (CA INDEX NAME)



L6 ANSWER 19 OF 50 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:60485 CAPLUS
DOCUMENT NUMBER: 140:94063
TITLE: Preparation of new alkynylated quinazoline compounds as MMP-13 inhibitors
INVENTOR(S): Gaudilliere, Bernard; Jacobelli, Henry; Wilson, Michael William; Picard, Joseph Armand
PATENT ASSIGNEE(S): Warner-Lambert Company Llc, USA
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004007469	A1	20040122	WO 2002-EP8475	20020712
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002331362	A1	20040202	AU 2002-331362	20020712
CA 2463159	AA	20030424	CA 2002-2463159	20021011
WO 2003033478	A1	20030424	WO 2002-EP12194	20021011
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US 2003130278	A1	20030710	US 2002-269197	20021011
US 6962922	B2	20051108		
BR 2002013239	A	20040928	BR 2002-13239	20021011
EP 1465878	A1	20041013	EP 2002-801341	20021011
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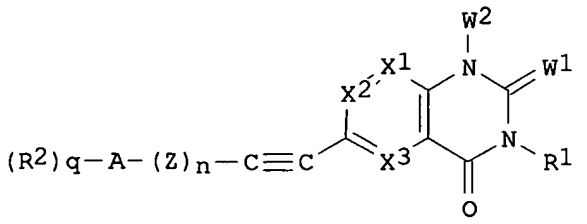
JP 2005509626	T2	20050414	JP 2003-536218	20021011
US 2005245548	A1	20051103	US 2005-148880	20050609

PRIORITY APPLN. INFO.:	US 2001-329181P	P	20011012
	WO 2001-EP11824	A	20011012
	US 2002-395441P	P	20020712
	WO 2002-EP8475	A	20020712
	US 2002-269197	A1	20021011
	WO 2002-EP12194	W	20021011

OTHER SOURCE(S): MARPAT 140:94063
GI



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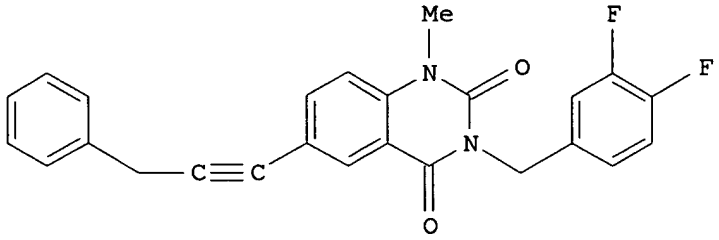


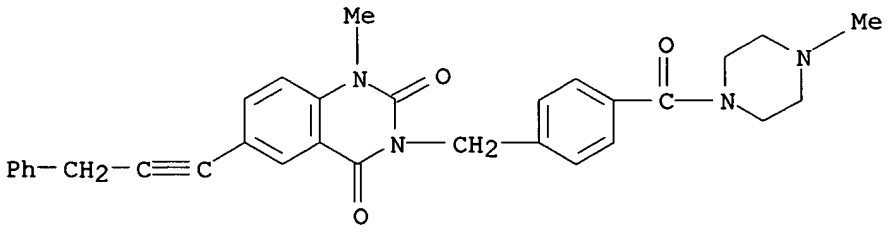
II

AB The title compds. I [W1 = O, S, (substituted)amino; W2 = H, CF3, (substituted)amino, alkyl, alkenyl, alkynyl, aryl, etc.; W1W2 = heteroalkylene, etc; X1, X2 and X3 = N or (substituted)carbon; n = 0-8; Z = CR3R4, where R3, R4 = H, alkyl, halogen, (substituted)amino, etc.; A = (hetero)aryl or (hetero)cycloalkyl; R1 = H, alkyl, alkenyl, alkynyl, etc.; R2 = H, alkyl, halogen, cyano, nitro, (substituted)amino, etc.; q = 0-7] were prepared as inhibitors of type-13 matrix metalloprotease. Thus, reaction of 3-(3,4-difluoro-benzyl)-6-iodo-1-methyl-1H-quinazoline-2,4-dione (preparation given) with 3-phenyl-propyne yielded compound II. The IC50 values on MMP-13 of the prepared compds. are all below 10 μM.

IT **515869-65-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of alkynylated quinazoline compds. as MMP-13 inhibitors)

RN 515869-65-5 CAPLUS

CN Piperazine, 1-[4-[[1,4-dihydro-1-methyl-2,4-dioxo-6-(3-phenyl-1-propynyl)-3(2H)-quinazolinyl]methyl]benzoyl]-4-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 20 OF 50 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:737516 CAPLUS
DOCUMENT NUMBER: 139:257284
TITLE: Cathepsin cysteine protease inhibitors and their therapeutic use
INVENTOR(S): Bayly, Christopher I.; Black, Cameron; Leger, Serge; Li, Chun Sing; McKay, Dan; Mellon, Christophe; Gauthier, Jacques Yves; Lau, Cheuk; Therien, Michel; Truong, Vouy-Linh; Green, Michael J.; Hirschbein, Bernard L.; Janc, James W.; Palmer, James T.; Baskaran, Chitra
PATENT ASSIGNEE(S): Merck Frosst Canada & Co., Can.; Axys Pharmaceuticals, Inc.
SOURCE: PCT Int. Appl., 282 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2003075836	A2	20030918	WO 2003-US6147		20030228
WO 2003075836	A3	20040715			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2477657	AA	20030918	CA 2003-2477657		20030228
US 2003232863	A1	20031218	US 2003-377377		20030228
EP 1482924	A2	20041208	EP 2003-716238		20030228
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
BR 2003008208	A	20050111	BR 2003-8208		20030228
CN 1638757	A	20050713	CN 2003-805181		20030228
JP 2005526753	T2	20050908	JP 2003-574112		20030228
US 2005240023	A1	20051027	US 2004-505796		20040825
NO 2004004207	A	20041124	NO 2004-4207		20041004
PRIORITY APPLN. INFO.:			US 2002-361818P	P	20020305
			US 2002-408704P	P	20020906
			WO 2003-US6147	W	20030228

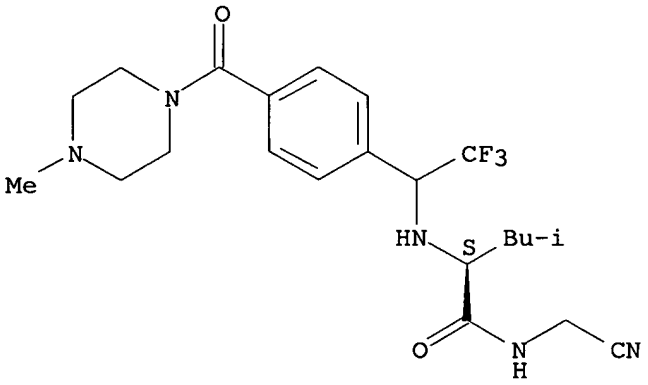
OTHER SOURCE(S): MARPAT 139:257284
AB This invention relates to cysteine protease inhibitors R7(D)nCR6R7NR8CR3R4C(:O)NHCR1R2CN (R1-4 = H, (substituted)C1-6-alkyl or C2-6-alkenyl; R1 and R2 or R3 and R4 may be take together with the C atom to which they are attached to form a (substituted)C3-8-cycloalkyl or heterocyclic ring; R5 = H, (substituted)C1-6-alkyl; R6 = (substituted)aryl, heteroaryl, C1-6-haloalkyl, arylalky, heteroarylalkyl; D = (substituted)C1-3-alkyl, C2-3-alkenyl, C2-3-alkynyl, aryl, heteroaryl, C3-8-cycloalkyl, heterocyclyl; R7 = H, (substituted)C1-6-alkyl, C2-6-alkenyl, C2-6-alkynyl, C1-6-alkyloxy, etc.; R8 = H, C2-6-alkyl) including but not limited to, inhibitors of cathepsins K, L, S and B.

These compds. are useful for treating diseases in which inhibition of bone resorption is indicated, such as osteoporosis.

IT **603140-22-3P 603140-23-4P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(cathepsin cysteine protease inhibitors and their therapeutic use)

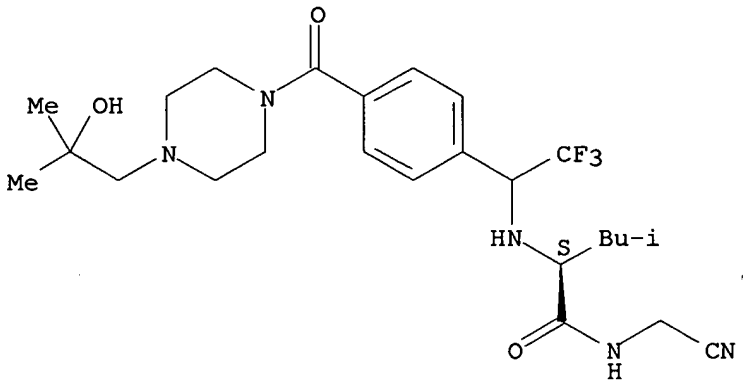
RN 603140-22-3 CAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[2,2,2-trifluoro-1-[4-[(4-methyl-1-piperazinyl)carbonyl]phenyl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 603140-23-4 CAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[2,2,2-trifluoro-1-[4-[[4-(2-hydroxy-2-methylpropyl)-1-piperazinyl]carbonyl]phenyl]ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 21 OF 50 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:656749 CAPLUS
DOCUMENT NUMBER: 139:197386
TITLE: Preparation of isoquinolinone derivatives as JNK inhibitors
INVENTOR(S): Itoh, Fumio; Kimura, Hiroyuki; Igata, Hideki; Kawamoto, Tomohiro; Sasaki, Mitsuru; Kitamura, Shuji
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 369 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

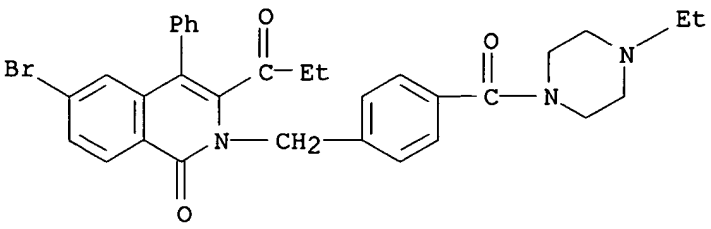
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003068750	A1	20030821	WO 2003-JP1429	20030212
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2476162	AA	20030821	CA 2003-2476162	20030212
AU 2003211931	A1	20030904	AU 2003-211931	20030212
EP 1484320	A1	20041208	EP 2003-705075	20030212
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005148624	A1	20050707	US 2003-504132	20030212
JP 2004143134	A2	20040520	JP 2003-35096	20030213
PRIORITY APPLN. INFO.:			JP 2002-35073 A	20020213
			JP 2002-251997 A	20020829
			WO 2003-JP1429 W	20030212

OTHER SOURCE(S): MARPAT 139:197386

AB Claimed are JNK (c-Jun N-terminal kinase) inhibitors containing isoquinolinones or salts thereof. The second claim specifies that said isoquinolinones are 1-isoquinolinones. Compds. of this invention in vitro showed IC50 values of 0.0067 μM to 0.095 μM against JNK1. Formulations are given.

IT **583836-96-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of isoquinolinone derivs. as JNK inhibitors)

RN 583836-96-8 CAPLUS
CN Piperazine, 1-[4-[[6-bromo-1-oxo-3-(1-oxopropyl)-4-phenyl-2(1H)-isoquinolinyl]methyl]benzoyl]-4-ethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 22 OF 50 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:656582 CAPLUS
DOCUMENT NUMBER: 139:197371
TITLE: Preparation of substituted pyridinones as modulators of p38 MAP kinase
INVENTOR(S): Devadas, Balekudru; Walker, John; Selness, Shaun R.; Boehm, Terri L.; Durley, Richard C.; Devraj, Rajesh; Hickory, Brian S.; Rucker, Paul V.; Jerome, Kevin D.; Madsen, Heather M.; Alvira, Edgardo; Promo, Michele A.; Blevis-Bal, Radhika M.; Marrufo, Laura D.; Hitchcock, Jeff; Owen, Thomas; Naing, Win; Xing, Li; Shieh, Huey S.; Sambandam, Aruna; Liu, Shuang; Scott, Ian L.; McGee, Kevin F.
PATENT ASSIGNEE(S): Pharmacia Corporation, USA
SOURCE: PCT Int. Appl., 1052 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003068230	A1	20030821	WO 2003-US4634	20030214
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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CA 2476012	AA	20030821	CA 2003-2476012	20030214
AU 2003217433	A1	20030904	AU 2003-217433	20030214
US 2004058964	A1	20040325	US 2003-367987	20030214
BR 2003007631	A	20041221	BR 2003-7631	20030214
EP 1490064	A1	20041229	EP 2003-713478	20030214
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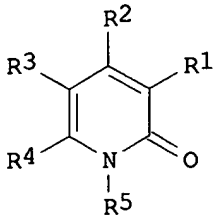
CN 1646125	A	20050727	CN 2003-808042	20030214
JP 2005531501	T2	20051020	JP 2003-567412	20030214
ZA 2004006275	A	20051004	ZA 2004-6275	20040805
NO 2004003820	A	20041109	NO 2004-3820	20040913

PRIORITY APPLN. INFO.:	US 2002-357029P	P	20020214
	US 2002-436915P	P	20021230
	WO 2003-US4634	W	20030214

OTHER SOURCE(S): MARPAT 139:197371
GI



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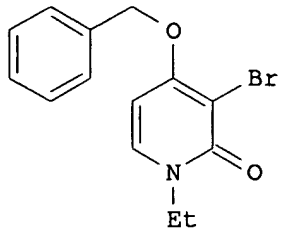


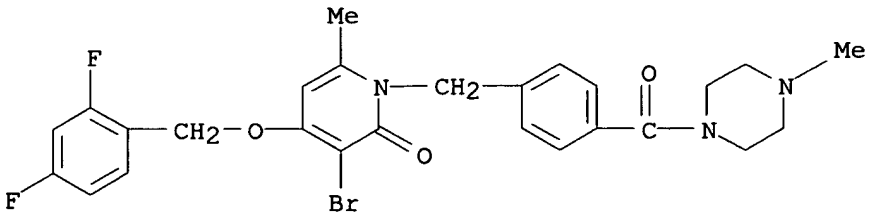
II

AB Disclosed are title compds. I [wherein R1 = H, halo, NO2, CHO, CN, CO2H, or (un)substituted (halo)alkyl, (aryl)alkoxy, aryl(alkyl), alkenyl, (aryl)alkynyl, (aryl)alkanoyl, alkoxyalkyl, or haloalkoxy; R2 = H, OH, halo, NR8R9, CO2R, or (un)substituted OSO2-alkyl, OSO2-aryl, arylalkoxy, aryloxy(alkyl), arylthio(alkoxy), arylalkynyl, alkoxy(alkoxy), alkyl, alkynyl, OCONH(CH2)n-aryl, OCON(alkyl)(CH2)n-aryl, dialkylamino, (hetero)aryl(alkyl), arylalkenyl, or heterocycloalkyl(alkyl); R3 = H, halo, alkenyl, NR6R7, NR6R7-alkyl, alkyl, or (un)substituted (aryl)alkoxycarbonyl, aryloxycarbonyl, arylalkyl, OCONH(CH2)n-aryl, arylalkoxy, OCON(alkyl)(CH2)n-aryl, aryloxy, arylthio, or (aryl)thioalkoxy; R4 = H or (un)substituted alkyl; R5 = H, aryl, aryl(thio)alkyl, NH2, alkoxycarbonyl, alkynyl, SO2-alkyl, (hetero)cycloalkyl(alkyl), heteroaryl, or (un)substituted alkyl, alkoxy(alkyl), or alkenyl; R6 and R7 = independently H, OH, or (un)substituted (aryl)alkyl, alkoxy(alkyl), alkanoyl(alkyl), arylalkoxy, SO2-alkyl, (aryl)alkoxycarbonyl, heteroarylalkyl, or arylalkanoyl; or NR6R7 = (un)substituted (thio)morpholinyl, pyrrolidinyl, piperidinyl, pyrrolidinyl, or piperazinyl; R8 = independently H or (un)substituted (aryl)alkyl or (aryl)alkanoyl; R9 = H or (un)substituted (aryl)alkyl, (aryl)alkanoyl, cycloalkyl(alkyl), alkenyl, heteroaryl, (alkyl)aminoalkyl, SO2Ph, or aryl; R = independently H or (un)substituted alkyl; n = 0-6; and pharmaceutically acceptable salts thereof]. These compds. are useful for treating diseases and conditions caused or exacerbated by unregulated p38 MAP Kinase and/or TNF activity, such as inflammation, ischemia, viral infections, and autoimmune diseases (no data). Pharmaceutical compns. containing I, methods of preparing them, and methods of treatment using the compds. are also disclosed. For example, reaction of 4-benzyloxy-2(1H)-pyridone with EtBr in the presence of K2CO3 in DMF gave II. The latter inhibited MKK6-activated human p38α kinase phosphorylation of a biotinylated substrate or human p38α-induced phosphorylation of EGFRP (epidermal growth factor receptor peptide) with an IC50 in the range of 1 μM to 25 μM.

IT **586375-50-0P**, 3-Bromo-4-[(2,4-difluorobenzyl)oxy]-6-methyl-1-[4-[(4-methylpiperazinyl)carbonyl]benzyl]pyridin-2(1H)-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(p38 kinase inhibitor; preparation of pyridinones as modulators of p38 MAP kinase for treatment of inflammatory conditions, ischemia, viral infections, autoimmune diseases, and other conditions)

RN 586375-50-0 CAPLUS

CN Piperazine, 1-[4-[[3-bromo-4-[(2,4-difluorophenyl)methoxy]-6-methyl-2-oxo-1(2H)-pyridinyl]methyl]benzoyl]-4-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 23 OF 50 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:570967 CAPLUS
DOCUMENT NUMBER: 139:117436
TITLE: Preparation of tetrahydroquinazolinediones and related compounds as poly(adenosine diphosphoribose) synthetase inhibitors for the treatment of ischemia and reperfusion injury
INVENTOR(S): Albrecht, Barbara; Gerisch, Michael; Haerter, Michael; Krahn, Thomas; Oehme, Felix; Schlemmer, Karl-Heinz; Steinhagen, Henning
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

not prior art

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003059892	A1	20030724	WO 2003-EP27	20030103
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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DE 10201240	A1	20030724	DE 2002-10201240	20020115
CA 2473362	AA	20030724	CA 2003-2473362	20030103
AU 2003206694	A1	20030730	AU 2003-206694	20030103
EP 1467975	A1	20041020	EP 2003-704354	20030103
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
US 2005159431	A1	20050721	US 2003-501033	20030103
PRIORITY APPLN. INFO.:			DE 2002-10201240 A	20020115
			WO 2003-EP27 W	20030103

OTHER SOURCE(S): MARPAT 139:117436
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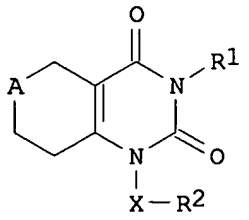

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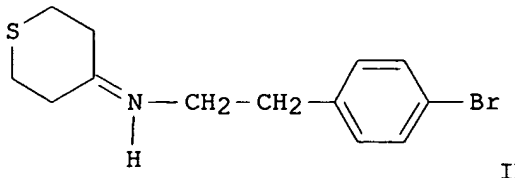

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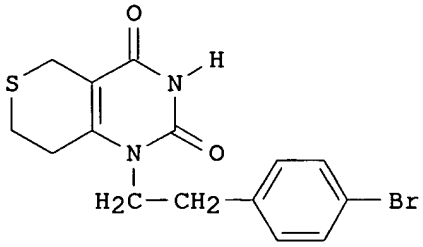


III

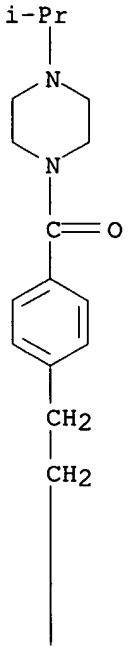
AB Title compds. I [A = CH2, O, S; X = alkandiyl (sic), where a methylene group can be replaced by an oxygen; R1 = H, alkoxycarbonyl; R2 = (un)substituted aryl, heteroaryl, e.g, NO2, halo, CN, etc.] and their pharmaceutically acceptable salts and formulations were prepared For example, condensation of imine II, prepared in situ from 2-(4-bromophenyl)ethylamine and tetrahydro-4H-thiopyran-4-one, and chlorocarbonylisocyanate, afforded tetrahydroquinazolinedione III in 74% yield. In poly(adenosine diphosphoribose) synthetase inhibition assays, 7-examples of compds. I exhibited IC50 values ranging from 20-800 nM, e.g., the IC50 value of tetrahydroquinazolinedione III was 60 nM. Compds. I are claimed useful for the treatment of ischemia and reperfusion injury.

IT **564480-98-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of tetrahydroquinazolinediones and related compds. as poly(ADP ribose) synthetase inhibitors for the treatment of ischemia and reperfusion injury)

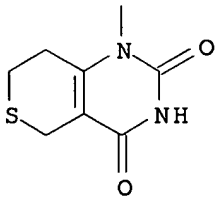
RN 564480-98-4 CAPLUS

CN Piperazine, 1-(1-methylethyl)-4-[4-[2-(3,4,7,8-tetrahydro-2,4-dioxo-2H-thiopyrano[4,3-d]pyrimidin-1(5H)-yl)ethyl]benzoyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

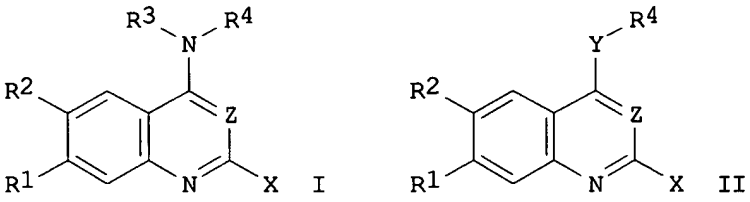


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 24 OF 50 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:532653 CAPLUS
DOCUMENT NUMBER: 139:101144
TITLE: Preparation of quinazolines and quinolines as inhibitors of prolylpeptidase, inducers of apoptosis and cancer treatment agents
INVENTOR(S): Dumas, Jacques; Sibley, Robert; Smith, Roger; Su, Ning; Chen, Yuanwei; Wood, Jill; Guernon, Leatte; Dixon, Julie; Brennan, Catherine; Boyer, Stephen
PATENT ASSIGNEE(S): Bayer Corporation, USA; et al.
SOURCE: PCT Int. Appl., 266 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

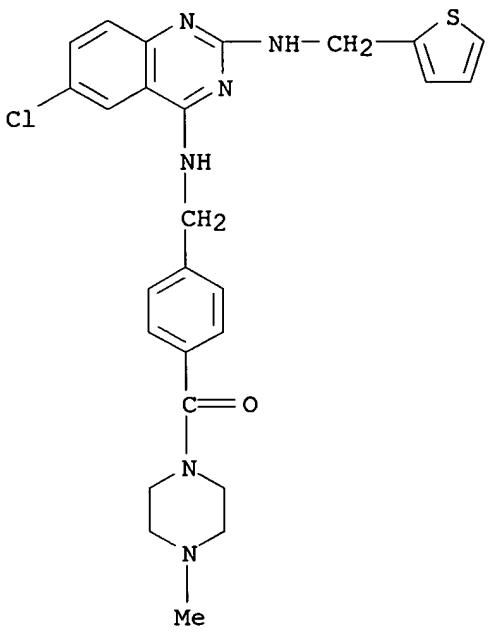
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003055866	A1	20030710	WO 2002-US41176	20021220
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002361846	A1	20030715	AU 2002-361846	20021220
PRIORITY APPLN. INFO.:			US 2001-343112P P	20011221
			WO 2002-US41176 W	20021220

OTHER SOURCE(S): MARPAT 139:101144
GI



AB The title compds. [I or II; Z = CH, N; Y = O, S; X = OR5, NR5R6; R1, R2 = H, NH2, CN, halo, OH, NO2 (wherein R1 and R2 are both not H); R3 = H, alkyl; R4 = (CH2)yR41 (R41 = (un)substituted alkyl; y = 0-2)], useful for the inhibiting the prolyl peptidase, inducing apoptosis and treating cancer, were prepared Thus, reacting 2,4,6-trichloroquinazoline (preparation given) with Me 4-(aminomethyl)benzoate.HCl in the presence of AcONa in H2O followed by treating the resulting Me 4-{[(2,6-dichloro-4-quinazolinyl)amino]methyl}benzoate with piperidine afforded I [Z = N; X = piperidino; R1 = H; R2 = Cl; R3 = H; R4 = 4-(MeO2C)C6H4CH2]. Most of the exemplified compds. I and II were found to inhibit prolylpeptidase at or below of 10 μM.

IT **557108-59-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolines and quinolines as inhibitors of prolylpeptidase, inducers of apoptosis and cancer treatment agents)
RN 557108-59-5 CAPLUS
CN Piperazine, 1-[4-[[[6-chloro-2-[(2-thienylmethyl)amino]-4-quinazolinyl]amino]methyl]benzoyl]-4-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 25 OF 50 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:389980 CAPLUS
DOCUMENT NUMBER: 138:401612
TITLE: Preparation of carbostyryl derivatives and their use as oxytocin antagonists and therapeutics for treatment of premature delivery, miscarriage, dysmenorrhea, and galactorrhea
INVENTOR(S): Shiraiwa, Masafumi; Ota, Shuji; Takefuchi, Ken; Uchida, Hiroshi; Saegusa, Mamoru; Mitsubori, Tomohiro; Yoshizawa, Masayuki
PATENT ASSIGNEE(S): Teikoku Hormone Mfg. Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 142 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

not prior art

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003146972	A2	20030521	JP 2001-348850	20011114
PRIORITY APPLN. INFO.:			JP 2001-348850	20011114
OTHER SOURCE(S):	MARPAT 138:401612			

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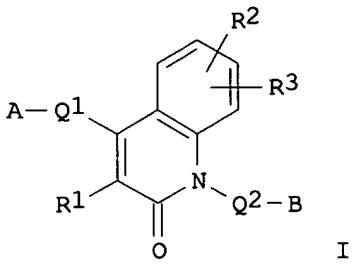


I

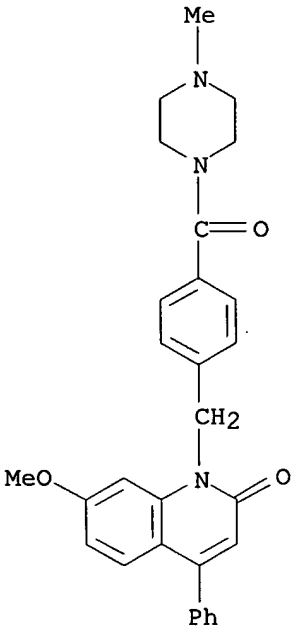
AB Title derivs. I [Q1 = bond, CH2, CH2CH2, vinyl, CHMe, etc.; A = lower alkyl, (un)substituted cycloalkyl (condensed with hydrocarbyl ring), (un)substituted aryl, (un)substituted heterocyclyl (condensed with hydrocarbyl ring); R1 = H, lower alkyl; R2, R3 = H, (un)substituted lower alkyl(oxy), aralkyloxy, piperidinyl, etc.; R2R3 may be linked to form lower alkylenedioxy; Q2 = bond, CH2, CH2CH2, etc.; B = CO2H, lower alkoxycarbonyl, (un)substituted 2-pyridinyl, (un)substituted Ph, (un)substituted cyclohexyl, etc.] or their salts are claimed. The derivs. are also useful for termination of delivery prior to Caesarean section. Thus, 4-(2,3-dimethoxyphenyl)-7-methoxy-2-oxoquinoline was treated with Me 4-bromomethylbenzoate to give 56% I (AQ1 = 2,3-dimethoxyphenyl, R1-R3 = H, Q2B = 4-CH2C6H4CO2Me), which inhibited binding of [3H]-oxytocin to its receptor with IC50 of 0.972 μmol/L.

IT **528822-94-8P 528823-71-4P 528823-72-5P 528829-38-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of carbostyryl derivs. as oxytocin antagonists)

RN 528822-94-8 CAPLUS

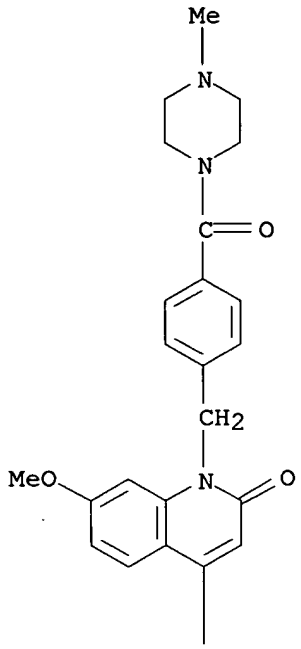
CN Piperazine, 1-[4-[(7-methoxy-2-oxo-4-phenyl-1(2H)-quinolinyl)methyl]benzoyl]-4-methyl- (9CI) (CA INDEX NAME)



RN 528823-71-4 CAPLUS

CN Piperazine, 1-[4-[[7-methoxy-4-(4-methoxyphenyl)-2-oxo-1(2H)-quinolinyl]methyl]benzoyl]-4-methyl- (9CI) (CA INDEX NAME)

PAGE 1-A



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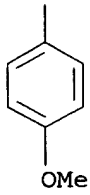
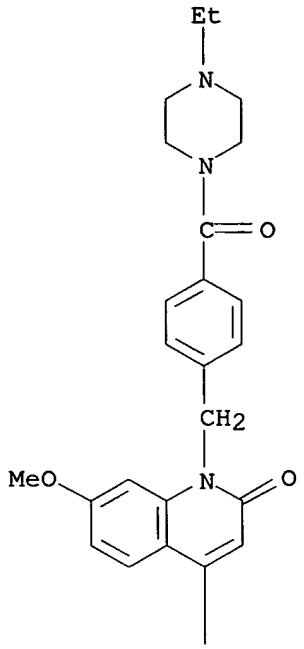
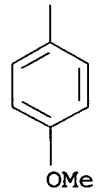


RN 528823-72-5 CAPLUS
CN Piperazine, 1-ethyl-4-[4-[[7-methoxy-4-(4-methoxyphenyl)-2-oxo-1(2H)-quinolinyl]methyl]benzoyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

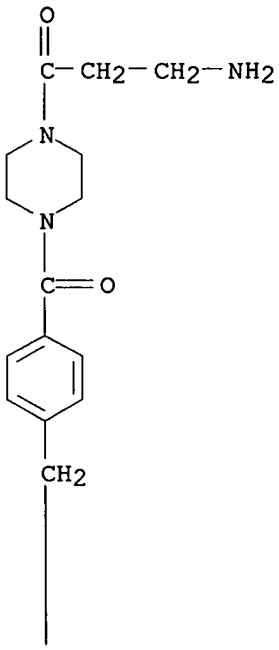


PAGE 2-A



RN 528829-38-1 CAPLUS
CN Piperazine, 1-(3-amino-1-oxopropyl)-4-[4-[(7-methoxy-2-oxo-4-phenyl-1(2H)-quinolinyl)methyl]benzoyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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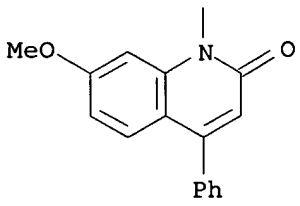

L6 ANSWER 26 OF 50 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:319883 CAPLUS
DOCUMENT NUMBER: 138:338166
TITLE: Preparation of alkynylated fused ring pyrimidine compounds as matrix metalloprotease 13 inhibitors
INVENTOR(S): Gaudilliere, Bernard; Jacobelli, Henry; Wilson, Michael William; Picard, Joseph Armand
PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA
SOURCE: PCT Int. Appl., 99 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003033478	A1	20030424	WO 2002-EP12194	20021011
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
WO 2003033477	A1	20030424	WO 2001-EP11824	20011012
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WO 2004007469	A1	20040122	WO 2002-EP8475	20020712
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2463159	AA	20030424	CA 2002-2463159	20021011
BR 2002013239	A	20040928	BR 2002-13239	20021011
EP 1465878	A1	20041013	EP 2002-801341	20021011
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005509626	T2	20050414	JP 2003-536218	20021011
PRIORITY APPLN. INFO.:			WO 2001-EP11824 A	20011012
			WO 2002-EP8475 A	20020712

WO 2002-EP12194 W 20021011

OTHER SOURCE(S): MARPAT 138:338166

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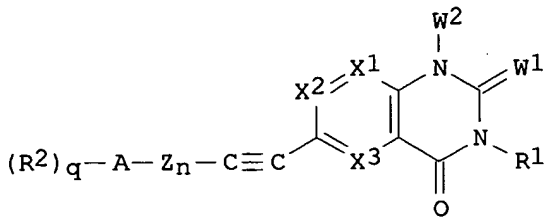

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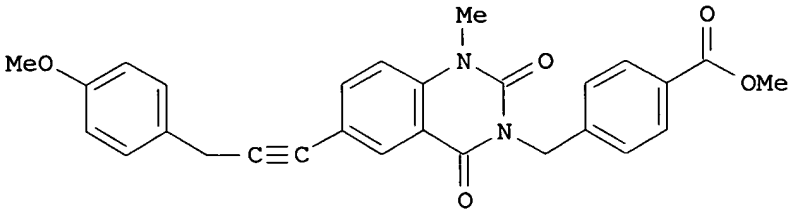


II

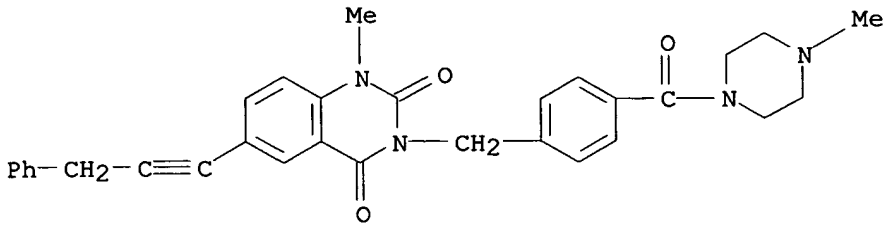
AB Title compds. I [wherein A = (hetero)aryl or (hetero)cycloalkyl; W1 = O, S, or NR3; W2 = H, CF3, NH2, (di)alkylamino, or (un)substituted (cycloalkyl)alkyl, alkenyl, (hetero)aryl, arylalkyl, or heterocyclylalkyl; or W1W2 = NX4=W3; W3 = N or CR5; X1-X3 = independently N or (un)substituted C; X4 = N or CR7; X5 = O, S, NH, or N-alkyl; X6 = bond, CH2, O, or SO0-2; Z = CR12R13; R1 = H, alkyl, alkenyl, alkynyl, or (un)substituted (hetero)aryl or (hetero)cycloalkyl; R2 = independently H, (trihalo)alkyl, halo, CN, NO2, (CH2)kNR10R11, OR14, SR14, SOR14, SO2R14, acyl, X5(CH2)kNR10R11 (CH2)kSO2NR14R15, X5(CH2)kCO2R14, (CH2)kCO2R14, X5(CH2)kCONR14R15, (CH2)kCONR14R15 X6R16, and trialkylsiloxy; R3 = H, alkyl, OH, or CN; R4 = H or alkyl; R5 = H, OR6, SR6, or (un)substituted (cyclo)alkyl, (hetero)aryl, arylalkyl, or heterocyclylalkyl; R6, R8, and R9 = H or (aryl)alkyl; R7 = H, NR8R9, OR8, SR8, or (un)substituted (cyclo)alkyl, (hetero)aryl, arylalkyl, or heterocyclylalkyl; R10 and R11 = independently H, (hydroxy)alkyl, or arylalkyl; or NR10R11 = (un)substituted heterocyclyl; R12 and R13 = independently H, (trihalo)alkyl, halo, NH2, (di)alkylamino, OR4, SR4, or CO2R4; R14 and R15 = independently H or alkyl; R16 = (un)substituted (hetero)aryl or (hetero)cycloalkyl; k = 0-3; n = 0-8; q = 0-7; with provisos; or isomers, N-oxides, or pharmaceutically acceptable salts thereof] were prepared as specific inhibitors of type 13 matrix metalloprotease (MMP-13). For example, reaction of Me 4-(aminomethyl)benzoate•HCl with 2-amino-5-iodobenzoic acid using DEC•HCl and TEA in DMF provided the amide (70%). Cyclization using 1,1'-carbonyldiimidazole in THF gave the quinazoline (99.5%), which was methylated using MeI in the presence of K2CO3 in DMF to afford Me 4-(6-iodo-1-methyl-2,44-dioxo-1,4-dihydro-2H-quinazolin-3-ylmethyl)benzoate (64.2%). Substitution with 3-(4-methoxyphenyl)prop-1-yne catalyzed by Pd(PPh3)2Cl2 and CuI in TEA gave II (6%). Invention compds. inhibited the proteolysis of a peptide substrate with MMP-13 with IC50 values <10 μM, generally 100 times

lower than the IC50 values for the same compds. with respect to MMP-1, MMP-2, MMP-3, MMP-7, MMP-9, MMP-12, and MMP-14. Thus, I are useful for the treatment of arthritis, cancer, and other diseases mediated by MMP-13 (no data).

IT **515869-65-5P**, 1-Methyl-3-[4-[(4-methylpiperazin-1-yl)carbonyl]benzyl]-6-(3-phenylprop-1-ynyl)-1H-quinazoline-2,4-dione
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(MMP-13 inhibitor; preparation of alkynylated fused ring pyrimidines as MMP-13 inhibitors for treatment of arthritis, cancer, and other MMP-13 mediated diseases)

RN 515869-65-5 CAPLUS

CN Piperazine, 1-[4-[[1,4-dihydro-1-methyl-2,4-dioxo-6-(3-phenyl-1-propynyl)-3(2H)-quinazolinyl]methyl]benzoyl]-4-methyl- (9CI) (CA INDEX NAME)



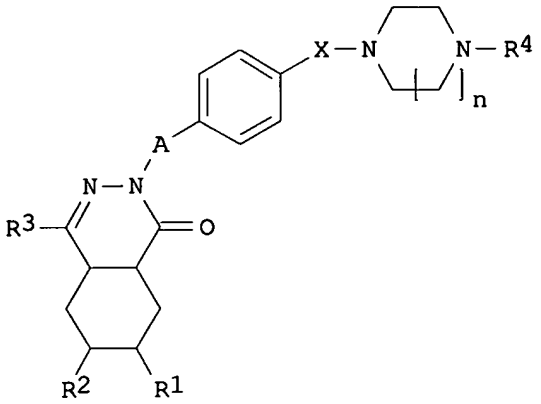
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 27 OF 50 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:832781 CAPLUS
DOCUMENT NUMBER: 137:337905
TITLE: Preparation of piperazino phthalazinone derivatives and their use as PDE4 inhibitors
INVENTOR(S): Hatzelmann, Armin; Bundschuh, Daniela; Barsig, Johannes; Kley, Hans-Peter; Grundler, Gerhard; Schmidt, Beate; Sterk, Geert Jan
PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002085885	A1	20021031	WO 2002-EP4494	20020424
W: AE, AL, AU, BA, BG, BR, CA, CN, CO, CU, CZ, DZ, EC, EE, GE, HR, HU, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, RO, SG, SI, SK, TN, UA, US, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
CA 2445236	AA	20021031	CA 2002-2445236	20020424
EP 1385838	A1	20040204	EP 2002-740498	20020424
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EE 200300513	A	20040216	EE 2003-513	20020424
CN 1505624	A	20040616	CN 2002-808772	20020424
BR 2002009076	A	20040810	BR 2002-9076	20020424
JP 2004526785	T2	20040902	JP 2002-583412	20020424
NZ 529363	A	20050826	NZ 2002-529363	20020424
BG 108187	A	20040930	BG 2002-108187	20020923
US 2004132721	A1	20040708	US 2003-475656	20031023
US 7022696	B2	20060404		
NO 2003004804	A	20031229	NO 2003-4804	20031027
ZA 2003008931	A	20040609	ZA 2003-8931	20031117
JP 2006096766	A2	20060413	JP 2005-336182	20051121

PRIORITY APPLN. INFO.:	EP 2001-110227	A	20010425
	JP 2002-583412	A3	20020424
	WO 2002-EP4494	W	20020424

OTHER SOURCE(S): MARPAT 137:337905
GI



I

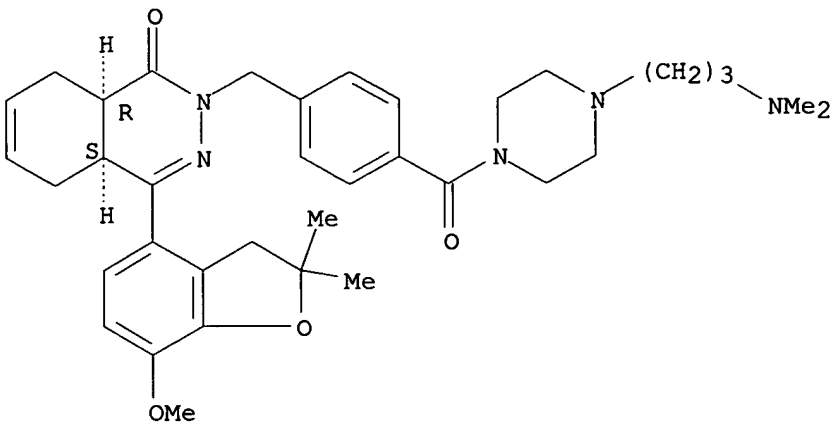
AB Piperazino phthalazinone derivs. [I; wherein R1, R2 = H, or together form an addnl. bond; R3 = (substituted) aryl, (substituted) benzofuran; A = a bond, CH2; X = C(O), S(O)2; n = 1, 2; R4 = alkylcarbonyl, aryl, hetaryl, phenylprop-1-en-3-yl, 1-methylpiperidin-4-yl] were prepared For example, (4aS,8aR)-4-(3,4-diethoxyphenyl)-2-{4-[1-(4-phenylpiperazin-1-yl)methanoyl]phenyl}-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one hydrochloride was prepared by a multistep synthetic procedure. The prepared compds. are useful as PDE4 inhibitors and, in particular, in the treatment of respiratory tract inflammation disorders.

IT **474001-85-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazino phthalazinone derivs. and their use as PDE4 inhibitors)

RN 474001-85-9 CAPLUS

CN 1-Piperazinepropanamine, 4-[4-[[(4aR,8aS)-4-(2,3-dihydro-7-methoxy-2,2-dimethyl-4-benzofuranyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]methyl]benzoyl]-N,N-dimethyl-, dihydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



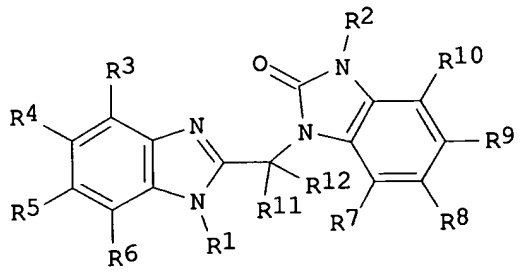
● 2 HCl

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 28 OF 50 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:256041 CAPLUS
DOCUMENT NUMBER: 136:294826
TITLE: Preparation of benzimidazolone antiviral agents
INVENTOR(S): Yu, Kuo-Long; Civiello, Rita; Combrink, Keith; Gulgeze, Hatice Belgin; Pearce, Bradley C.; Wang, Xiangdong; Meanwell, Nicholas A.; Zhang, Yi
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 216 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002026228	A1	20020404	WO 2001-US29493	20010927
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 6506738	B1	20030114	US 2001-952736	20010914
PRIORITY APPLN. INFO.:			US 2000-235804P	P 20000927

OTHER SOURCE(S): MARPAT 136:294826
GI



I

AB The title compds. [I; R1 = (CRvRw)nX; Rv, Rw = H, (halo)alkyl, (halo)alkenyl; X = H, (un)substituted alkyl, alkenyl; n = 1-6; R2 = H, alkyl, Ph, etc.; R3, R6, R7, R10 = H; R5, R8, R9 = H, halo, CF3; R4 = H, halo, CN, etc.; R11, R12 = H], useful in the treatment of viral infections, more particularly, for the treatment of respiratory syncytial virus infection, were prepared E.g., a 4-step synthesis of I [R1 = CH2CH2CHMe2; R2 = C(:CH2)Me; R3-R12 = H], starting with 2-(chloromethyl)benzimidazole, was given. The title compds. I showed antiviral activity against RSV with EC50's between 50 μM and 0.001 μM.

IT **406943-38-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

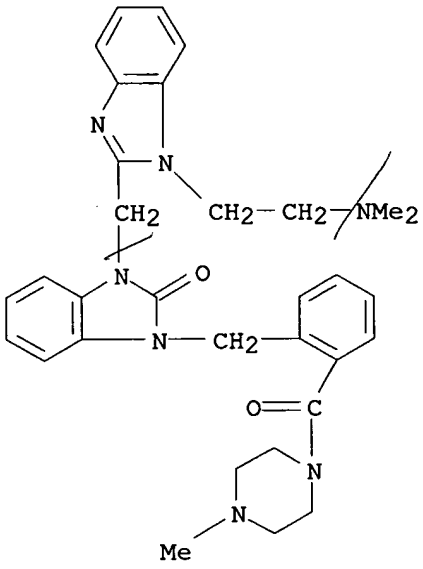
(Uses)
(preparation of benzimidazolone antiviral agents)

RN 406943-38-2 CAPLUS

CN Piperazine, 1-[2-[[3-[[1-[2-(dimethylamino)ethyl]-1H-benzimidazol-2-yl]methyl]-2,3-dihydro-2-oxo-1H-benzimidazol-1-yl]methyl]benzoyl]-4-methyl-, tris(trifluoroacetate) (9CI) (CA INDEX NAME)

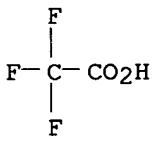
CM 1

CRN 406943-37-1
CMF C32 H37 N7 O2



CM 2

CRN 76-05-1
CMF C2 H F3 O2



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 29 OF 50 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:453092 CAPLUS
DOCUMENT NUMBER: 135:61555
TITLE: Preparation of lipopeptides as antibacterial agents
INVENTOR(S): Hill, Jason; Parr, Ian; Morytko, Michael; Siedlecki, Jim; Yu, Xiang Yang; Silverman, Jared; Keith, Dennis; Finn, John; Christensen, Dale; Lazarova, Tsvetelina; Watson, Alan D.; Zhang, Yan
PATENT ASSIGNEE(S): Cubist Pharmaceuticals, Inc., USA; et al.
SOURCE: PCT Int. Appl., 202 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001044274	A1	20010621	WO 2000-US34205	20001215
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2394350	AA	20010621	CA 2000-2394350	20001215
BR 2000016467	A	20020827	BR 2000-16467	20001215
EP 1246838	A1	20021009	EP 2000-991867	20001215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003517480	T2	20030527	JP 2001-544763	20001215
US 2004067878	A1	20040408	US 2000-737908	20001215
NO 2002002887	A	20020812	NO 2002-2887	20020617
ZA 2002005108	A	20031117	ZA 2002-5108	20020625

PRIORITY APPLN. INFO.:	US 1999-170946P	P	19991215
	US 2000-208222P	P	20000530
	WO 2000-US34205	W	20001215

OTHER SOURCE(S): MARPAT 135:61555
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Lipopeptides I [R is -N(B)(X)n-A; B is X''RY, H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl; RY is hydrido, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or hydroxyl; X, X'' are C:O, C:S, C:NH, C:NRX, S:O or SO2; n is 0 or 1; RX is alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, hydroxyl, alkoxy, carboxy or carboalkoxy; A is H, NH2, NHRA, NRARB, heteroaryl, cycloalkyl, heterocyclyl (RA, RB are alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or carboalkoxy) or when n is 0, then A is P(O)(OR50)OR51, P(O)R52R53, or P(O)(OR50)R53, where R50-R53 are alkyl; alternatively B and A may form a 5-7 membered heterocyclic or

heteroaryl ring; R1 is defined similarly to R (with provisos); R2 is CH2CR17R18-ring, where R17 and R18 are hydrido, halo, hydroxyl, alkoxy, amino, thio, sulfinyl, sulfonyl, etc. or CR17R18 are CO, C(:S), oxime or hydrazone group] were prepared for use as antibacterials. Thus, treating daptomycin with 4-fluorobenzaldehyde and sodium triacetoxyborohydride in dry DMF for 24 h afforded I [R = NHCO(CH2)8Me, R1 = NHCH2C6H4F-4, R2 = CH2COC6H4NH2-o], which showed MIC (S. Aureus) ≤ 1 μg/mL.

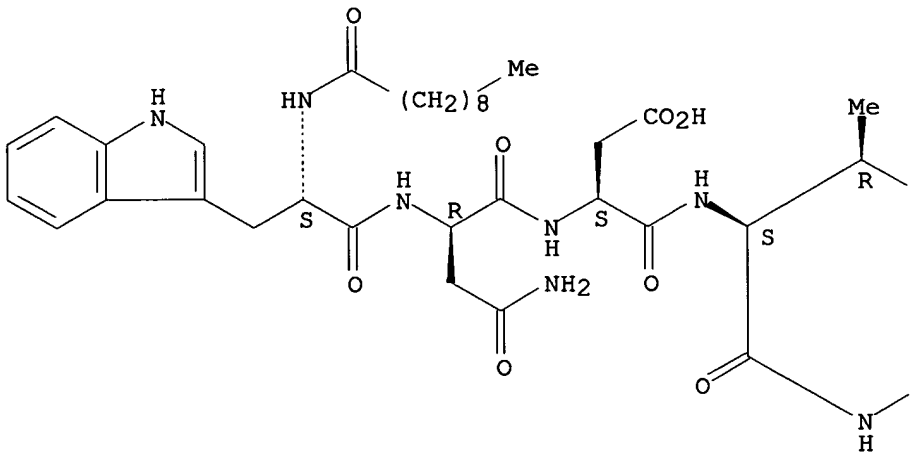
IT **345645-29-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of lipopeptides as antibacterial agents)

RN 345645-29-6 CAPLUS

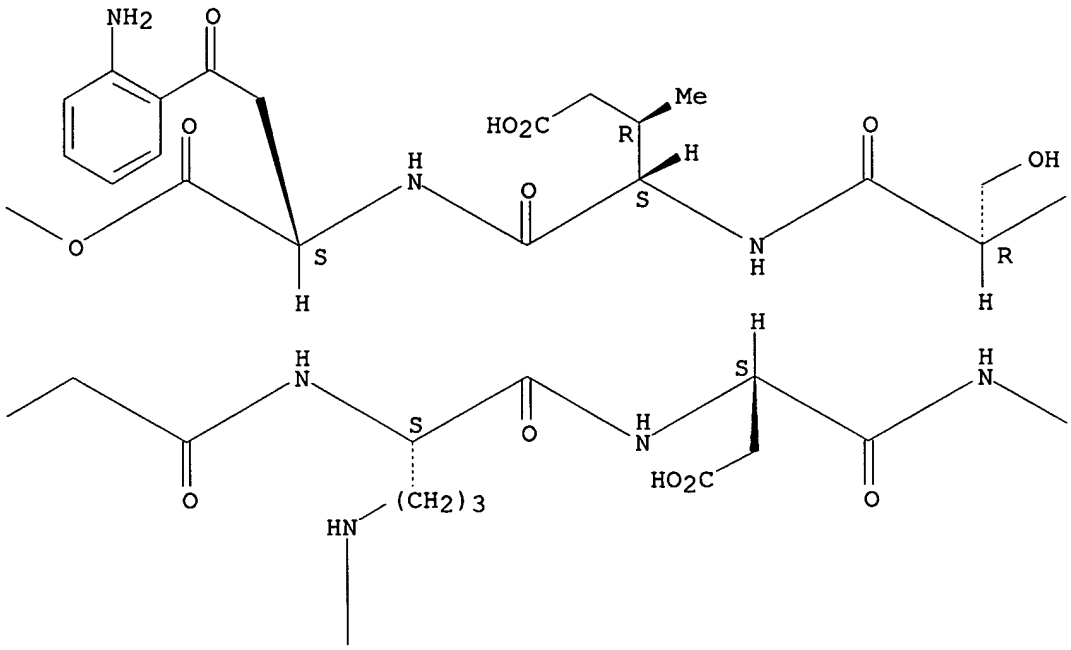
CN Daptomycin, 6-[N5-[[4-[[4-(3-phenyl-2-propenyl)-1-piperazinyl]carbonyl]phenyl]methyl]-L-ornithine]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

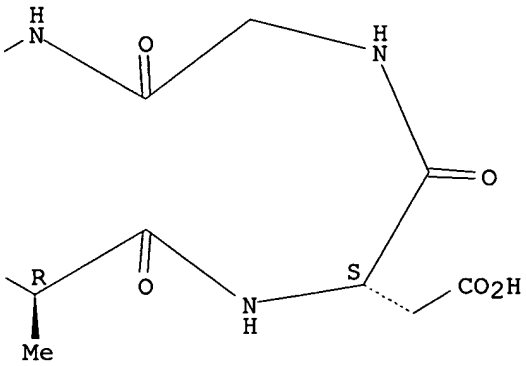
PAGE 1-A



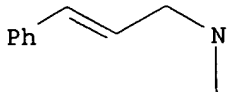
PAGE 1-B



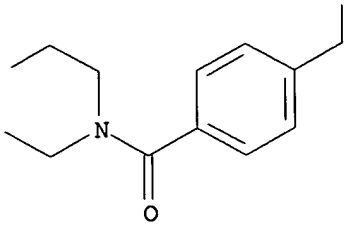
PAGE 1-C



PAGE 2-A



PAGE 2-B

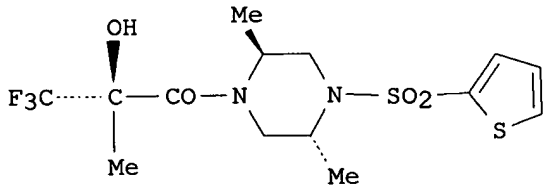


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 30 OF 50 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:185706 CAPLUS
DOCUMENT NUMBER: 134:237497
TITLE: N-Acyl heterocycles as inhibitors of pyruvate dehydrogenase
INVENTOR(S): Butlin, Roger John; Pease, Janet Elizabeth; Block, Michael Howard; Nowak, Thorsten; Burrows, Jeremy Nicholas; Clarke, David Stephen
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Ltd.
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2001017942	A1	20010315	WO 2000-GB3297		20000830
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
EP 1214287	A1	20020619	EP 2000-954797		20000830
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL					
JP 2003508509	T2	20030304	JP 2001-521689		20000830
US 6878712	B1	20050412	US 2002-69995		20000830
PRIORITY APPLN. INFO.:			GB 1999-20821	A	19990904
			GB 1999-29835	A	19991218
			WO 2000-GB3297	W	20000830

OTHER SOURCE(S): MARPAT 134:237497
GI

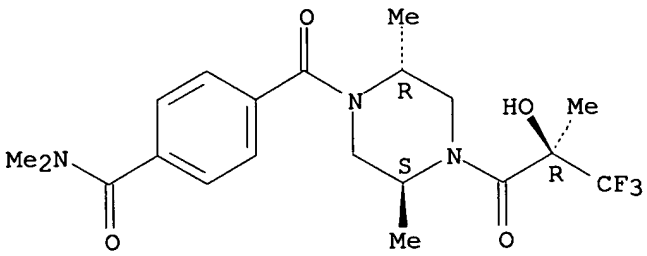


I

AB Title compds. such as (2S,2'R,5R)-I were prepared as inhibitors of pyruvate dehydrogenase. Thus, 150 mg (R)-[(2S,5R)-2,5-dimethyl-1-(3,3,3-trifluoro-2-hydroxy-2-methylpropionyl)piperazine] was stirred with 129 mg 2-thiophenesulfonyl chloride and 0.125 mL Et3N in 25 mL EtOAc 4 h at ambient temperature to give 71 mg (2S,2'R,5R)-I. Pharmaceutical formulations were given.

IT **329794-60-7P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(N-acyl heterocycles as inhibitors of pyruvate dehydrogenase)
RN 329794-60-7 CAPLUS
CN Benzamide, 4-[[(2R,5S)-2,5-dimethyl-4-[(2R)-3,3,3-trifluoro-2-hydroxy-2-methyl-1-oxopropyl]-1-piperazinyl]carbonyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

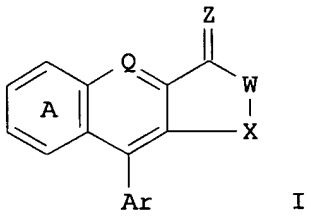


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 31 OF 50 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:218572 CAPLUS
DOCUMENT NUMBER: 132:260701
TITLE: Tricyclic compounds, their preparation, and cyclic GMP phosphodiesterase inhibitors
INVENTOR(S): Tsuburai, Shogo; Doi, Takayuki; Tarui, Naoki
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 71 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000095759	A2	20000404	JP 1999-204103	19990719
PRIORITY APPLN. INFO.:			JP 1998-204963 A	19980721
OTHER SOURCE(S):	MARPAT 132:260701			

GI

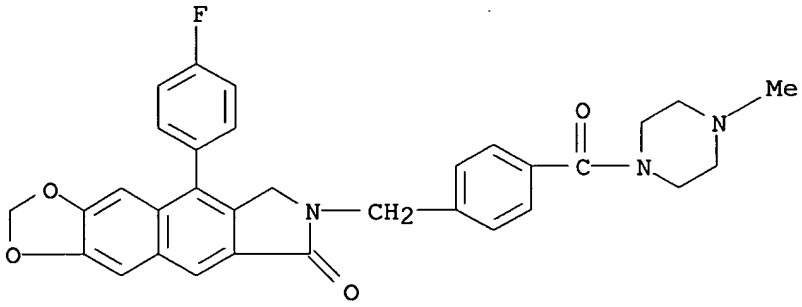


I

AB Title inhibitors contain tricyclic compds. I [ring A = (substituted) benzene ring; W = (substituted) NH; Q = CR, N; R = H, (substituted) alkyl, (substituted) alkoxy; X = (substituted) C1-2 alkylene; Z = H2, O; Ar = (substituted) aromatic hydrocarbyl, (substituted) aromatic heterocyclyl] or their salts. (6-Bromo-1,3-benzodioxol-5-yl)methanol (4.0 g) was treated with BuLi followed by 2.3 g 4-FC6H4CN in THF/hexane at room temperature for 2 h and treated with 3.5 g maleimide and p-MeC6H4SO3H in PhMe under reflux for 15 h to give 5.6 g I (ring A = 1,3-benzodioxole, W = NH, Q = CH, X = CO, Z = O, Ar = C6H4F-p). I (ring A = 1,3-benzodioxole, W = 4-pyridylmethylimino, Q = CH, X = CH2, Z = O, Ar = C6H4F-p) in vitro inhibited recombinant human phosphodiesterase with IC50 of 8.3 nM. Formulation examples are given.

IT **263019-07-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tricyclic compds. as cyclic GMP phosphodiesterase inhibitors)

RN 263019-07-4 CAPLUS
CN Piperazine, 1-[4-[[5-(4-fluorophenyl)-6,8-dihydro-8-oxo-7H-1,3-benzodioxolo[5,6-f]isoindol-7-yl]methyl]benzoyl]-4-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L6 ANSWER 32 OF 50 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:96024 CAPLUS
DOCUMENT NUMBER: 132:137409
TITLE: Preparation of tryptase inhibitors
INVENTOR(S): Rice, Ken Duane; Dener, Jeffrey Mark; Gangloff, Anthony Robert; Kuo, Elaine Yee-lin
PATENT ASSIGNEE(S): AXYS Pharmaceuticals, Inc., USA
SOURCE: U.S., 27 pp., Cont.-in-part of U.S. Ser. No. 312,269, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6022969	A	20000208	US 1995-522157	19950914
CA 2200561	AA	19960328	CA 1995-2200561	19950914
CN 1160398	A	19970924	CN 1995-195191	19950914
HU 77770	A2	19980828	HU 1997-2059	19950914
ZA 9508028	A	19960418	ZA 1995-8028	19950922
IL 115405	A1	20020725	IL 1995-115405	19950922
HR 950499	B1	20030430	HR 1995-950499	19950922
TW 442478	B	20010623	TW 1995-84110031	19950926
LT 4234	B	19971027	LT 1997-65	19970410
LV 11865	B	19980120	LV 1997-70	19970422
US 6211228	B1	20010403	US 1999-280227	19990329

PRIORITY APPLN. INFO.: US 1994-312269 B2 19940923
US 1995-522157 A3 19950914

OTHER SOURCE(S): MARPAT 132:137409

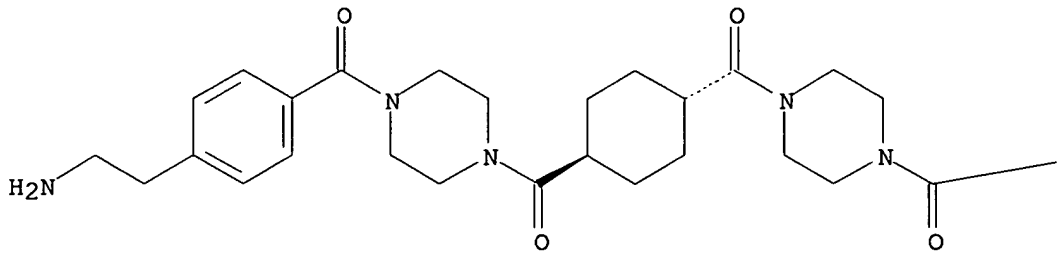
AB (ZX1X2X3X4X5)2Y [X1 = (oxa)alkylene, phenylene-interrupted alkylene, etc.; X2,X4 = CO, CO2, OCO2, CONH, etc.; X3 = alkylene, X9X10, X10X9, etc.; X5,X9 = alkylene; X10,Y = (hetero)cycloalkylene; Z = NH2, NHC(:NH)NH2, C(:NH)NH2] were prepared Thus, trans-cyclohexanedimethanol was bisesterified by OCNCH2CO2Et and the saponified product bisamidated by 4-(H2N)C6H4CH2NH2 to give, after NCNH2 N-acylation, Y[CH2O2CNHCH2CONHCH2C6H4[NHC(:NH)NH2]-4]2 (Y = trans-1,4-cyclohexylene). Data for biol. activity of title inhibitors were given.

IT **256649-60-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tryptase inhibitors)

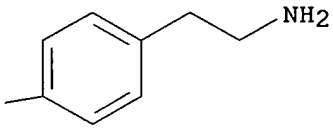
RN 256649-60-2 CAPLUS
CN Piperazine, 1,1'-(trans-1,4-cyclohexanediyldicarbonyl)bis[4-[4-(2-aminoethyl)benzoyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A



PAGE 1-B

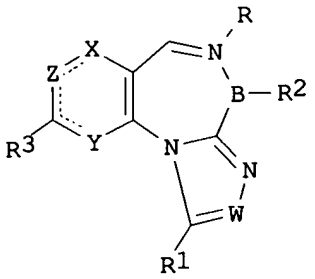


REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 33 OF 50 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:531015 CAPLUS
DOCUMENT NUMBER: 131:184976
TITLE: Preparation of nitrogen-containing heterocyclic compounds on apoptosis inhibition
INVENTOR(S): Nakamura, Takeshi; Isoshima, Hirotaka; Maruhashi, Junji; Baba, Masanori
PATENT ASSIGNEE(S): Japan Tobacco, Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 85 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11228576	A2	19990824	JP 1997-365239	19971218
PRIORITY APPLN. INFO.:			JP 1997-362071 A	19971210
OTHER SOURCE(S):	MARPAT 131:184976			

GI



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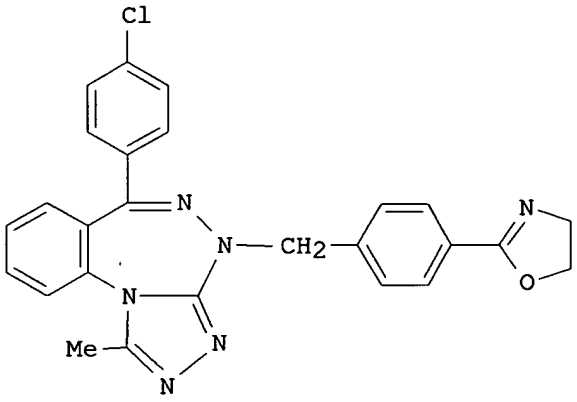


II

AB Title compds. [I; R = 4-ClC6H4, C6H5, C6H4CH2 4-BrC6H4, 2-ClC6H4, 4-(CH3)3OCOC6H4, 4-MeOCOC6H4, 4-MeOC6H4, 4-HOOCC6H4, 4-(CH3)3OCONHC6H4, 4-H2NC6H4, 4-CH3N(C6H5)CONHC6H4; B = N, CH; W = CH, N; R1 = H, CH3; R3 = H, CH3, CH3CH2; X = CH, electron pair; Z = CH, CH3C; Y = CH, S; R2 = 4-MeOC6H4CH2, 4-CH3N(Ac)C6H4CH2, 4-CH3SO2C6H4CH2, 4-(CH3)2NCOC6H4CH2,

(CH3CH2)2NCOC6H4CH2, (CH3CH2CH2)2NCOC6H4CH2, 4-MeOCOC6H4CH2, 4-MeSC6H4CH2, CHCHCH2, NCCH2, (MeO)2CH(CH2)2, 4-NO2C6H4CH2, 4-CNC6H4CH2, 4-BrC6H4CH2, 4-ClC6H4CH2, 3,4-(Cl)2C6H3CH2, 4-FC6H4CH2, 4-HOOCC6H4CH2, 4-C6H5C6H4CH2, 4-arylC6H4CH2; dotted bond = single, double in relationship to X, Y, Z], pharmaceutical acceptable salts, and N-oxides are prepared and tested as Fas inhibitors in blocking the apoptosis on prevention and treatment of diseases such as antiviral drugs on AIDS. Thus, the title compound II was prepared

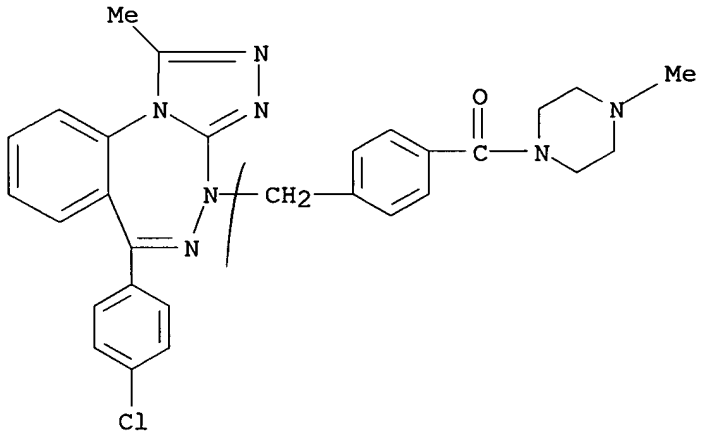
IT **239125-79-2P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclic compds. as antiviral drugs)

RN 239125-79-2 CAPLUS

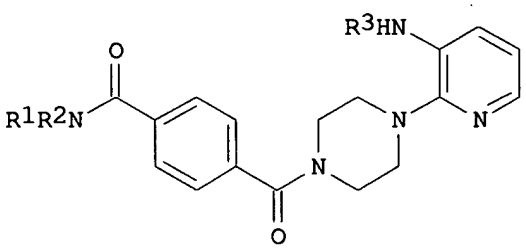
CN Piperazine, 1-[4-[[6-(4-chlorophenyl)-1-methyl-4H-[1,2,4]triazolo[4,3-a][1,3,4]benzotriazepin-4-yl]methyl]benzoyl]-4-methyl- (9CI) (CA INDEX NAME)



L6 ANSWER 34 OF 50 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:439350 CAPLUS
DOCUMENT NUMBER: 131:73667
TITLE: Preparation of piperazinylterephthalamides as antivirals.
INVENTOR(S): Yoon, Sung Joon; Chung, Yong Ho; Lee, Sang Wook; Sim, Hyeong Su; Park, Yong Kyun; Kim, Jong Woo; Huh, Yong; Yoon, Jae In; Park, Sang Jin
PATENT ASSIGNEE(S): Dong Wha Pharm. Ind. Co. Ltd., S. Korea
SOURCE: U.S., 29 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 5922871	A	19990713	US 1997-956948		19971023
PRIORITY APPLN. INFO.:			KP 1997-9720957	A	19970527
			KP 1997-9720958	A	19970527
			KP 1997-9723289	A	19970605
			KP 1997-9736589	A	19970731

OTHER SOURCE(S): MARPAT 131:73667
GI

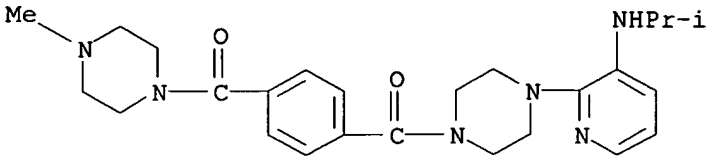


I

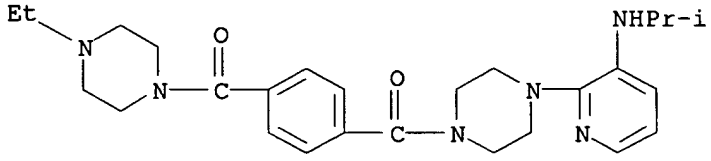
AB Title compds. [I; R1, R2 = H, Ph, PhCH2, (substituted) alkyl; R1R2 = atoms to form a 5-6 membered (substituted) heterocyclyl; R3 = alkyl], were prepared Thus, 4-[1-[3-(isopropylamino)-2-pyridyl]piperazin-4-ylcarbonyl]benzoic acid (preparation given) in CH2Cl2 was treated sequentially with Et3N, pivaloyl chloride, Et3N, and 2-aminoethanol to give 80% I (R1 = H; R2 = HOCH2CH2; R3 = Me2CH). The latter inhibited hepatitis B virus reverse transcriptase by 53% at 0.1 μg/mL.

IT **216759-25-0P 216759-26-1P 216759-27-2P**
216759-29-4P 216759-30-7P 216759-31-8P
216759-32-9P 216759-33-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazinylterephthalamides as antivirals)

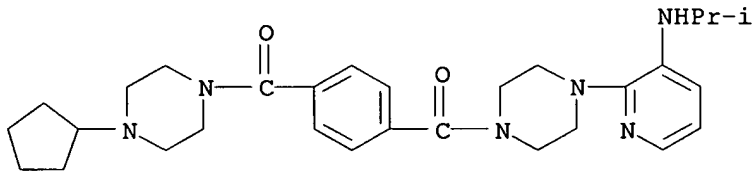
RN 216759-25-0 CAPLUS
CN Piperazine, 1-[3-[(1-methylethyl)amino]-2-pyridinyl]-4-[4-[(4-methyl-1-piperazinyl)carbonyl]benzoyl]- (9CI) (CA INDEX NAME)



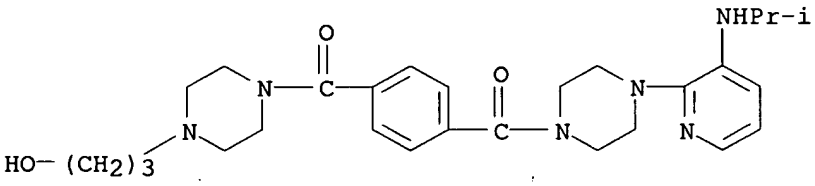
RN 216759-26-1 CAPLUS
CN Piperazine, 1-ethyl-4-[4-[[4-[3-[(1-methylethyl)amino]-2-pyridinyl]-1-piperazinyl]carbonyl]benzoyl]- (9CI) (CA INDEX NAME)



RN 216759-27-2 CAPLUS
CN Piperazine, 1-cyclopentyl-4-[4-[[4-[3-[(1-methylethyl)amino]-2-pyridinyl]-1-piperazinyl]carbonyl]benzoyl]- (9CI) (CA INDEX NAME)

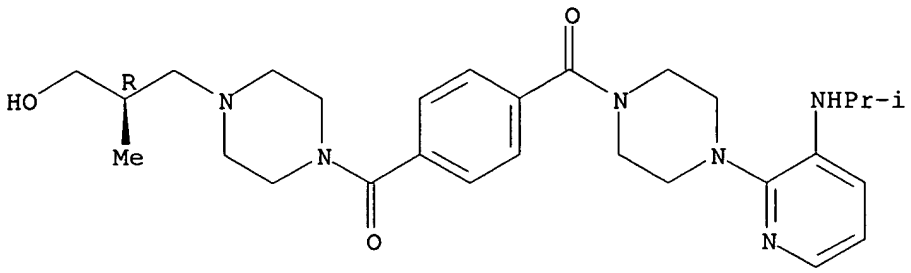


RN 216759-29-4 CAPLUS
CN 1-Piperazinepropanol, 4-[4-[[4-[3-[(1-methylethyl)amino]-2-pyridinyl]-1-piperazinyl]carbonyl]benzoyl]- (9CI) (CA INDEX NAME)



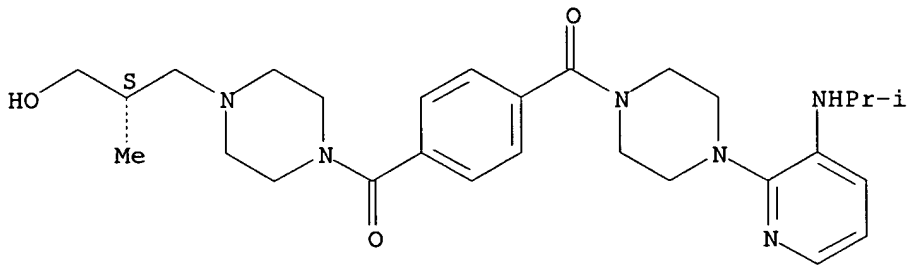
RN 216759-30-7 CAPLUS
CN 1-Piperazinepropanol, β-methyl-4-[4-[[4-[3-[(1-methylethyl)amino]-2-pyridinyl]-1-piperazinyl]carbonyl]benzoyl]-, (βR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

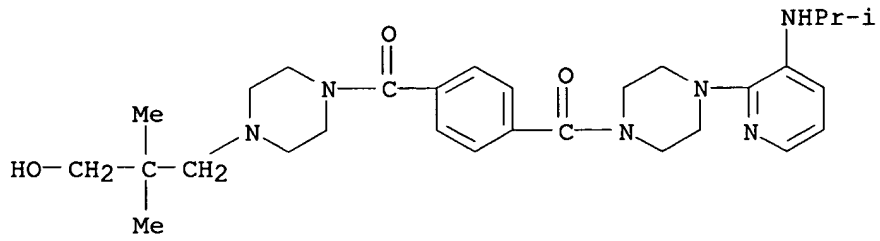


RN 216759-31-8 CAPLUS
CN 1-Piperazinepropanol, β-methyl-4-[4-[[4-[3-[(1-methylethyl)amino]-2-pyridinyl]-1-piperazinyl]carbonyl]benzoyl]-, (βS)- (9CI) (CA INDEX NAME)

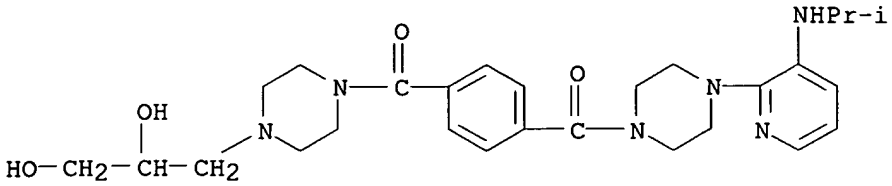
Absolute stereochemistry.



RN 216759-32-9 CAPLUS
CN 1-Piperazinepropanol, β,β-dimethyl-4-[4-[[4-[3-[(1-methylethyl)amino]-2-pyridinyl]-1-piperazinyl]carbonyl]benzoyl]- (9CI) (CA INDEX NAME)



RN 216759-33-0 CAPLUS
CN 1-Piperazinepropanol, β-hydroxy-4-[4-[[4-[3-[(1-methylethyl)amino]-2-pyridinyl]-1-piperazinyl]carbonyl]benzoyl]- (9CI) (CA INDEX NAME)

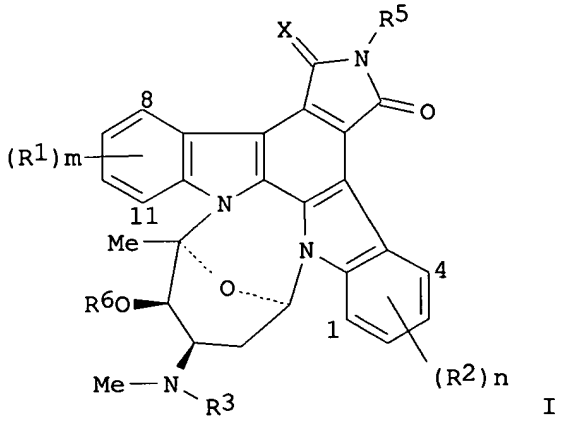


REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 35 OF 50 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:64808 CAPLUS
DOCUMENT NUMBER: 130:139499
TITLE: Preparation and formulation of partially hydrogenated staurosporine derivatives for use as isoenzyme PKCα inhibitors and anticancer agents
INVENTOR(S): Zimmermann, Jurg
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.
SOURCE: PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9902532	A2	19990121	WO 1998-EP4141	19980703
WO 9902532	A3	19990401		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9888562	A1	19990208	AU 1998-88562	19980703
ZA 9805919	A	19990113	ZA 1998-5919	19980706
PRIORITY APPLN. INFO.:			CH 1997-1646 A	19970707
			CH 1997-2145 A	19970911
			WO 1998-EP4141 W	19980703

OTHER SOURCE(S): MARPAT 130:139499
GI



I

AB Staurosporine derivs. I [R1, R2 = OH, CN, NO2, SH, NH2, alkyl, halogen,

alkyloxy, alkylthio, acyloxy, etc.; R3 = H, alkyl, acyl, carboxy, etc.; R5 = H, aliphatic, alicyclic, heterocyclic, etc.; R6 = H, alkyl; m, n = 0 - 4; X = H2, O, H and alkoxy; C1-C4 and/or C8-C11 unsatd.] were prepared for use as isoenzyme PKCα inhibitors and antitumor agents. Thus, 1,2,3,4-tetrahydrostaurosporine derivative I [R1 = R2 = R5 = H, R6 = Me, R3 = 4-(4-methylpiperazin-1-ylmethyl)benzoyl, X = H2, C1-C4 unsatd.] was prepared via Pd/C catalyzed hydrogenation of staurosporine, N-benzoylation with 4-(chloromethyl)benzoyl chloride, and amination with N-methylpiperazine. The prepared compds. were tested for isoenzyme PKCα inhibiting activity and inhibition of growth of T24 bladder carcinoma cells.

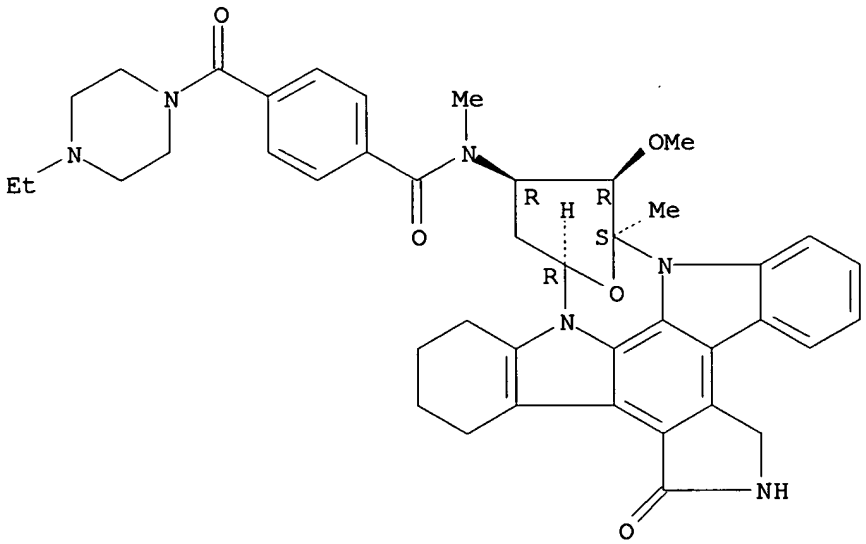
IT **220038-00-6P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and formulation of partially hydrogenated staurosporine derivs. for use as isoenzyme PKCα inhibitors and anticancer agents)

RN 220038-00-6 CAPLUS

CN Benzamide, N-[(9S,10R,11R,13R)-2,3,10,11,12,13,15,16,17,18-decahydro-10-methoxy-9-methyl-1-oxo-9,13-epoxy-1H,9H-diindolo[1,2,3-gh:3',2',1'-lm]pyrrolo[3,4-j][1,7]benzodiazonin-11-yl]-4-[(4-ethyl-1-piperazinyl)carbonyl]-N-methyl- (9CI) (CA INDEX NAME)

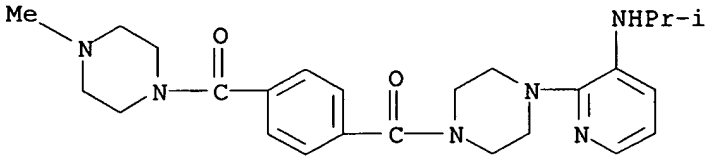
Absolute stereochemistry.



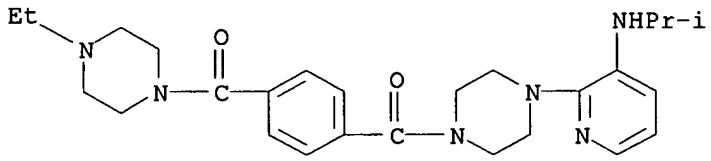
L6 ANSWER 36 OF 50 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:793131 CAPLUS
DOCUMENT NUMBER: 130:38401
TITLE: Preparation of terephthalic pyridylpiperazides as viral reverse transcriptase inhibitors
INVENTOR(S): Yoon, Sung Joon; Chung, Yong Ho; Lee, Sang Wook; Sim, Hyeong Su; Park, Yong Kyun; Kim, Jong Woo; Huh, Yong; Yoon, Jae In; Park, Sang Jin
PATENT ASSIGNEE(S): Dong Wha Pharm. Ind. Co. Ltd., S. Korea
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9854140	A1	19981203	WO 1997-KR183	19970930
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9744733	A1	19981230	AU 1997-44733	19970930
AU 731638	B2	20010405		
CN 1254334	A	20000524	CN 1997-182212	19970930
PRIORITY APPLN. INFO.:			KR 1997-20957 A	19970527
			KR 1997-20958 A	19970527
			KR 1997-23289 A	19970605
			KR 1997-36589 A	19970731
			WO 1997-KR183 W	19970930

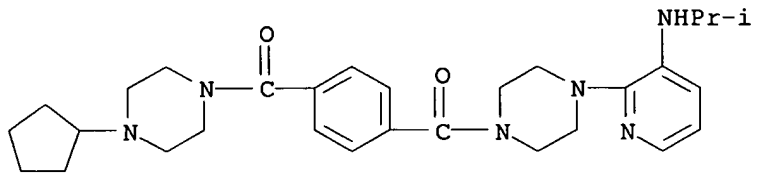
OTHER SOURCE(S): MARPAT 130:38401
AB R3NHZ1Z2COZ3CONR1R2 (Z1 = pyridine-3,2-diyl, Z2 = piperazine-4,1-diyl, and Z3 = 1,4-phenylene throughout)(I; R1,R2 = H, (hydroxy)alkyl, CH2Ph, Ph, etc.; NR1R2 = heterocyclyl; R3 = alkyl) were prepared Thus, piperazine was arylated by 2-chloro-3-nitropyridine and the product amidated by 4-(HO2C)C6H4CO2Me to give, after reduction, H2NZ1Z2COZ3CO2Me which was reductively alkylated by Me2CO and the product saponified to give Me2NHZ1Z2COZ3COR (II; R = OH). The latter was amidated by H2NCH2CH2OH to give II (R = NHCH2CH2OH). Data for biol. activity of I were given.
IT **216759-25-0P 216759-26-1P 216759-27-2P**
216759-29-4P 216759-30-7P 216759-31-8P
216759-32-9P 216759-33-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of terephthalic pyridylpiperazides as viral reverse transcriptase inhibitors)
RN 216759-25-0 CAPLUS
CN Piperazine, 1-[3-[(1-methylethyl)amino]-2-pyridinyl]-4-[4-[(4-methyl-1-piperazinyl)carbonyl]benzoyl]- (9CI) (CA INDEX NAME)



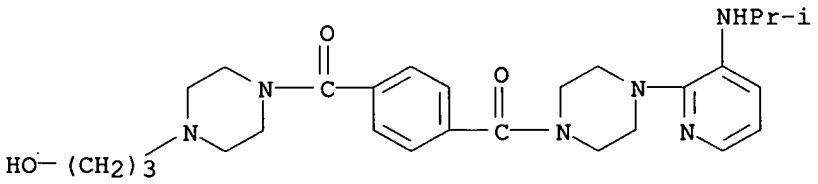
RN 216759-26-1 CAPLUS
CN Piperazine, 1-ethyl-4-[4-[[4-[3-[(1-methylethyl)amino]-2-pyridinyl]-1-piperazinyl]carbonyl]benzoyl]- (9CI) (CA INDEX NAME)



RN 216759-27-2 CAPLUS
CN Piperazine, 1-cyclopentyl-4-[4-[[4-[3-[(1-methylethyl)amino]-2-pyridinyl]-1-piperazinyl]carbonyl]benzoyl]- (9CI) (CA INDEX NAME)

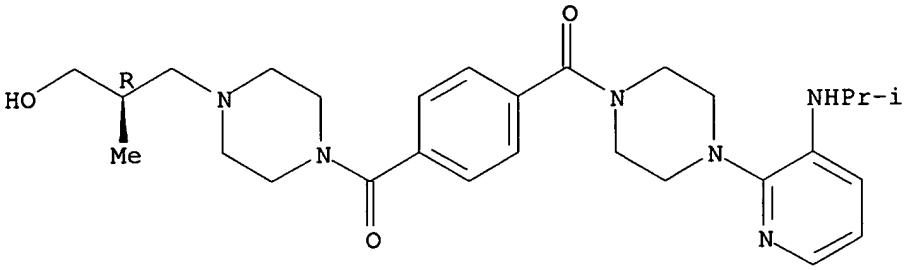


RN 216759-29-4 CAPLUS
CN 1-Piperazinepropanol, 4-[4-[[4-[3-[(1-methylethyl)amino]-2-pyridinyl]-1-piperazinyl]carbonyl]benzoyl]- (9CI) (CA INDEX NAME)



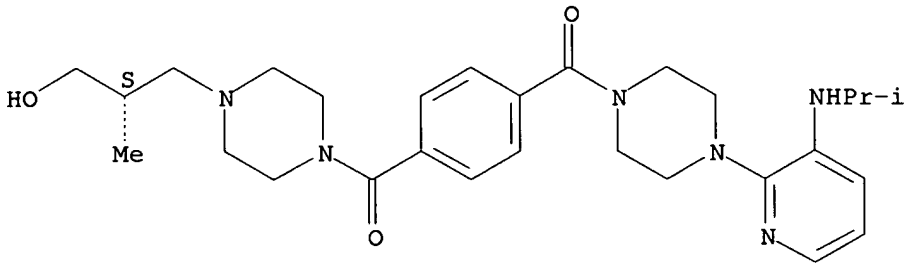
RN 216759-30-7 CAPLUS
CN 1-Piperazinepropanol, β-methyl-4-[4-[[4-[3-[(1-methylethyl)amino]-2-pyridinyl]-1-piperazinyl]carbonyl]benzoyl]-, (βR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

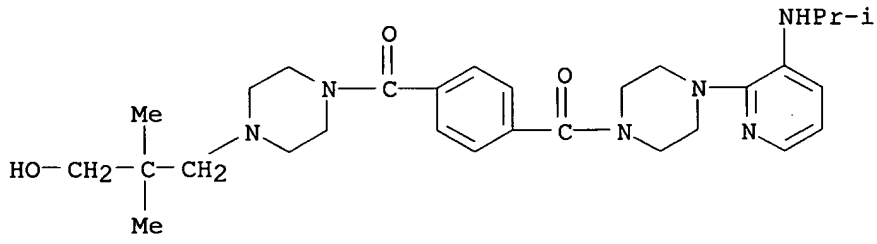


RN 216759-31-8 CAPLUS
CN 1-Piperazinepropanol, β-methyl-4-[4-[[4-[3-[(1-methylethyl)amino]-2-pyridinyl]-1-piperazinyl]carbonyl]benzoyl]-, (βS)- (9CI) (CA INDEX NAME)

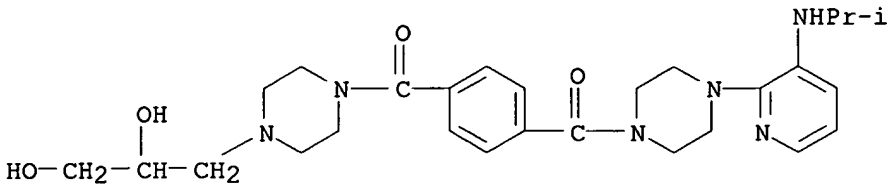
Absolute stereochemistry.



RN 216759-32-9 CAPLUS
CN 1-Piperazinepropanol, β,β-dimethyl-4-[4-[[4-[3-[(1-methylethyl)amino]-2-pyridinyl]-1-piperazinyl]carbonyl]benzoyl]- (9CI) (CA INDEX NAME)



RN 216759-33-0 CAPLUS
CN 1-Piperazinepropanol, β-hydroxy-4-[4-[[4-[3-[(1-methylethyl)amino]-2-pyridinyl]-1-piperazinyl]carbonyl]benzoyl]- (9CI) (CA INDEX NAME)

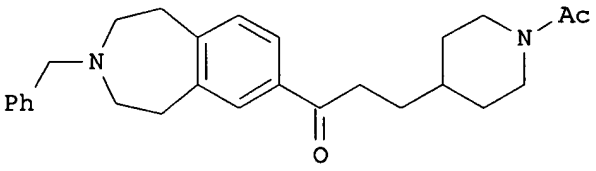


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IC ANSWER 37 OF 50 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:708810 CAPLUS
DOCUMENT NUMBER: 129:330744
TITLE: Preparation of benzazepine thermogenics
INVENTOR(S): Ishihara, Yuji; Fujisawa, Yukio; Furuyama, Naoki
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 399 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9846590	A1	19981022	WO 1998-JP1753	19980416
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2282390	AA	19981022	CA 1998-2282390	19980416
AU 9868528	A1	19981111	AU 1998-68528	19980416
EP 975624	A1	20000202	EP 1998-914055	19980416
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 11310532	A2	19991109	JP 1998-107257	19980417
US 6534496	B1	20030318	US 1999-402806	19991007
PRIORITY APPLN. INFO.:			JP 1997-100675 A	19970417
			JP 1998-41495 A	19980224
			WO 1998-JP1753 W	19980416

OTHER SOURCE(S): MARPAT 129:330744
GI



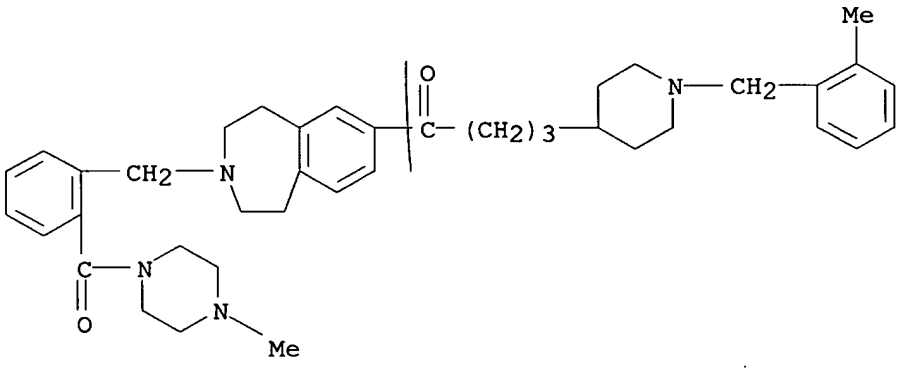
II

AB The title compds. ArC(O)(CHR)nY [I; Ar = Ph which may be substituted and/or condensed; n = 1-10; R = H, hydrocarbon group which may be substituted, which may not be the same in n occurrences; R may be bound to either Ar or a substituent on Ar; Y = (un)substituted NH2, (un)substituted nitrogen-containing saturated heterocyclic group] and their salts, useful as thermogenic, antiobesity, and lipolytic agents, or as prophylactic and/or treating drugs for obesity-associated diseases or diabetes with a reduced risk for central side effects and high universality in usage, were prepared and formulated. Thus, reaction of 3-(1-acetyl-4-piperidinyl)propionyl chloride with 3-formyl-2,3,4,5-tetrahydro-1H-3-benzazepine in the presence of AlCl3 in CH2Cl2 followed by treatment of the resulting 3-(1-acetyl-4-piperidinyl)-1-(3-formyl-2,3,4,5-tetrahydro-1H-3-benzazepin-

7-yl)-1-propanone in MeOH with concentrate HCl, and reaction of 3-(1-acetyl-4-piperidinyl)-1-(2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl)-1-propanone with benzyl bromide afforded the title compound II.HCl which showed cAMP concentration of 1369.1 pmol/mL at 10-5 M in murine preadipocyte line (3T3-L1).

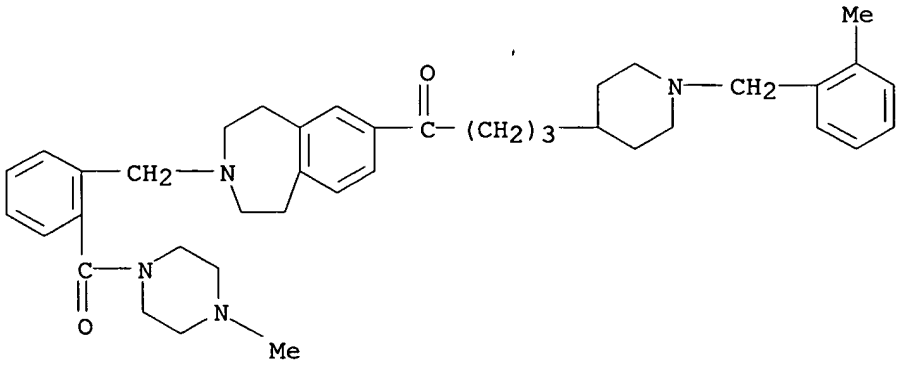
IT **215044-42-1P 215044-45-4P 215046-87-0P 215046-89-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzazepine thermogenics)

RN 215044-42-1 CAPLUS
CN Piperazine, 1-methyl-4-[2-[[1,2,4,5-tetrahydro-7-[4-[1-[(2-methylphenyl)methyl]-4-piperidinyl]-1-oxobutyl]-3H-3-benzazepin-3-yl]methyl]benzoyl]-, trihydrochloride (9CI) (CA INDEX NAME)

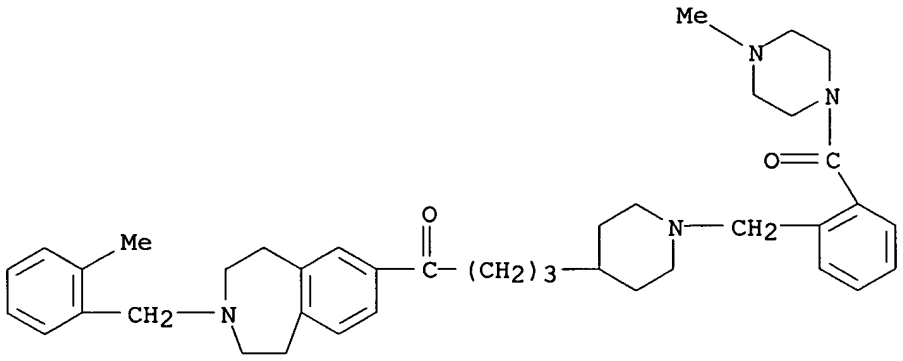


●3 HCl

RN 215044-45-4 CAPLUS
CN Piperazine, 1-methyl-4-[2-[[1,2,4,5-tetrahydro-7-[4-[1-[(2-methylphenyl)methyl]-4-piperidinyl]-1-oxobutyl]-3H-3-benzazepin-3-yl]methyl]benzoyl]- (9CI) (CA INDEX NAME)

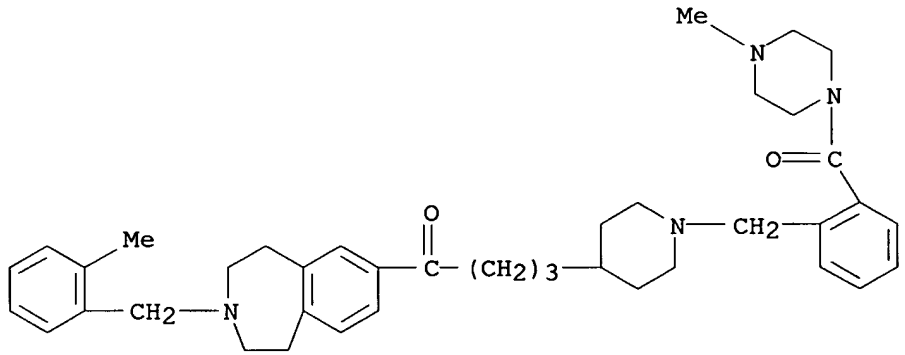


RN 215046-87-0 CAPLUS
CN Piperazine, 1-methyl-4-[2-[[4-[4-oxo-4-[2,3,4,5-tetrahydro-3-[(2-methylphenyl)methyl]-1H-3-benzazepin-7-yl]butyl]-1-piperidinyl]methyl]benzoyl]-, trihydrochloride (9CI) (CA INDEX NAME)



●3 HCl

RN 215046-89-2 CAPLUS
CN Piperazine, 1-methyl-4-[2-[[4-[4-oxo-4-[2,3,4,5-tetrahydro-3-[(2-methylphenyl)methyl]-1H-3-benzazepin-7-yl]butyl]-1-piperidinyl]methyl]benzoyl]- (9CI) (CA INDEX NAME)



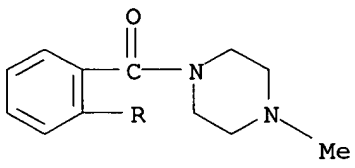
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

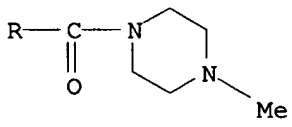
L6 ANSWER 38 OF 50 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:501946 CAPLUS
DOCUMENT NUMBER: 127:199229
TITLE: Vicinal diamides as lanthanide-complexing agents with two conformational states of different dipole moments. Elements for new molecular switches
AUTHOR(S): Schneider, Hans Jorg; Kasper, Christoph; Palyulin, Vladimir; Samoshin, Vyacheslav V.
CORPORATE SOURCE: Fachrichtung Org. Chem., Universitat Saarlandes, Saarbruecken, D-66041, Germany
SOURCE: Supramolecular Chemistry (1997), 8(3), 225-229
CODEN: SCHEER; ISSN: 1061-0278
PUBLISHER: Gordon & Breach
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Vicinal diamides rest in the ground state in an anti-parallel orientation with a small dipole moment. They are able to bind metal ions like lanthanide(3+) upon which they change to a parallel orientation with high dipole moments.

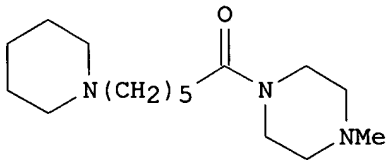
IT **104560-26-1**
RL: PEP (Physical, engineering or chemical process); RCT (Reactant); PROC (Process); RACT (Reactant or reagent)
(complexation of lanthanide by vicinal diamides)

RN 104560-26-1 CAPLUS
CN Piperazine, 1,1'-(1,2-phenylenedicarbonyl)bis[4-methyl- (9CI) (CA INDEX NAME)





L8 ANSWER 39 OF 50 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1996:279348 CAPLUS
DOCUMENT NUMBER: 125:57507
TITLE: Synthesis of an array of amides by aluminum chloride assisted cleavage of resin-bound esters
AUTHOR(S): Barn, David R.; Morphy, J. Richard; Rees, David C.
CORPORATE SOURCE: Medicinal Chem. Dep., Organon Lab. Ltd., Lanarkshire, ML1 5SH, UK
SOURCE: Tetrahedron Letters (1996), 37(18), 3213-3216
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 125:57507
GI

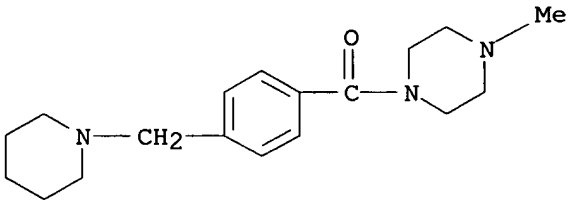


I

AB A new method for the synthesis of amino amides using Wang or Tentagel-PHB resins is described. The method uses aluminum chloride to promote the conversion of resin-bound benzylic esters to amides under ambient conditions. The reactions were monitored in real-time using 13C gel phase NMR. Thus, diisopropylcarbodiimide/4-dimethylaminopyridine mediated reaction of 6-bromohexanoic acid with Wang resin in DMF gave resin-bound ester which on reaction with piperidine in DMF followed by reaction with N-methylpiperazine in the presence of AlCl3 in CH2Cl2 gave 45% amide I.

IT **177971-54-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of array of amides by aluminum chloride assisted cleavage of resin-bound esters)

RN 177971-54-9 CAPLUS
CN Piperazine, 1-methyl-4-[4-(1-piperidinylmethyl)benzoyl]- (9CI) (CA INDEX NAME)

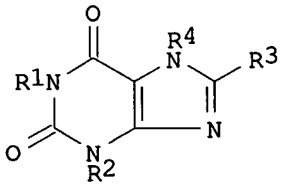


P

L6 ANSWER 40 OF 50 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1994:680676 CAPLUS
DOCUMENT NUMBER: 121:280676
TITLE: Asymmetrically substituted xanthine with adenosine-antagonistic properties
INVENTOR(S): Kuefner-Muehl, Ulrike; Ensinger, Helmut; Mierau, Joachim; Kuhn, Franz Josef; Lehr, Erich; Mueller, Enzio
PATENT ASSIGNEE(S): Boehringer Ingelheim KG, Germany; Boehringer Ingelheim International GmbH
SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9403456	A1	19940217	WO 1993-EP2077		19930805
W: AU, BG, CA, CZ, FI, JP, KR, NO, NZ, PL, RU, SK, UA, US					
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, NL, PT, SE					
DE 4325254	A1	19940217	DE 1993-4325254		19930728
EP 654033	A1	19950524	EP 1993-917743		19930805
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE					
JP 08500344	T2	19960116	JP 1993-505017		19930805
AU 681348	B2	19970828	AU 1993-47071		19930805
AU 9347071	A1	19940303			
PL 176389	B1	19990531	PL 1993-307397		19930805
RU 2138500	C1	19990927	RU 1995-109100		19930805
CZ 286459	B6	20000412	CZ 1995-348		19930805
ZA 9305762	A	19940616	ZA 1993-5762		19930809
HU 65734	A2	19940728	HU 1993-2302		19930809
IL 106624	A1	19990126	IL 1993-106624		19930809
CN 1086818	A	19940518	CN 1993-109282		19930810
CN 1043348	B	19990512			
FI 9500542	A	19950208	FI 1995-542		19950208
NO 9500497	A	19950407	NO 1995-497		19950209
US 5719279	A	19980217	US 1996-661567		19960611
PRIORITY APPLN. INFO.:			DE 1992-4226371	A	19920810
			DE 1992-4238423	A	19921113
			WO 1993-EP2077	W	19930805
			US 1993-104831	B1	19930810
			US 1994-329020	B1	19941025

OTHER SOURCE(S): MARPAT 121:280676
GI



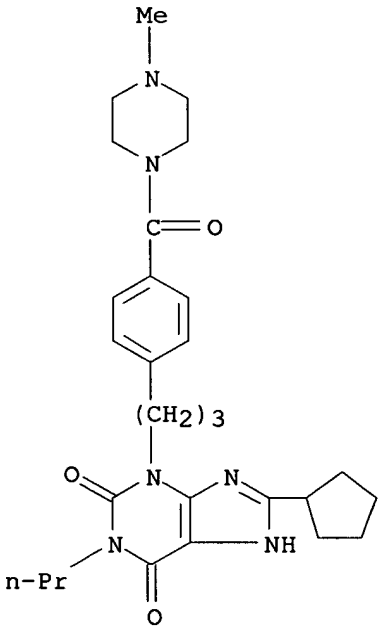
I

AB New xanthine derivs. I (R1 = H, C1-C6-alkyl, allyl, C3-C6-alkenyl, C3-C6-alkynyl, R2 = H, C1-C8-alkyl, aminoalkyl, etc., R3 = C3-C7-cycloalkyl, etc., R4 = H, Me, PhCH2, alkoxyalkyl, alkylthioalkyl, etc.), a process for preparing the same and their use as medicaments are disclosed, as well as their use as intermediate compds. Thus, e.g. I (R1 = Pr, R2 = 4-MeOC6H4CH2CH2, R3 = cyclopentyl, R4 = H) was prepared in 10 steps starting from amine and KOCN. Adenosine-antagonistic data of some of the compds. prepared is given.

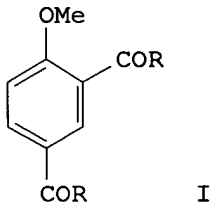
IT **158893-15-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as adenosine antagonist)

RN 158893-15-3 CAPLUS

CN Piperazine, 1-[4-[3-(8-cyclopentyl-1,2,6,7-tetrahydro-2,6-dioxo-1-propyl-3H-purin-3-yl)propyl]benzoyl]-4-methyl- (9CI) (CA INDEX NAME)



L6 ANSWER 41 OF 50 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1992:571156 CAPLUS
DOCUMENT NUMBER: 117:171156
TITLE: Synthesis of a platelet antiaggregant-picotamide and its analogs
AUTHOR(S): Tong, Zeen; Chen, Wenhao; Peng, Sixun
CORPORATE SOURCE: Div. Med. Chem., China Pharm. Univ., Nanjing, Peop. Rep. China
SOURCE: Zhongguo Yaoke Daxue Xuebao (1992), 23(1), 1-4
CODEN: ZHYXE9; ISSN: 1000-5048
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
GI



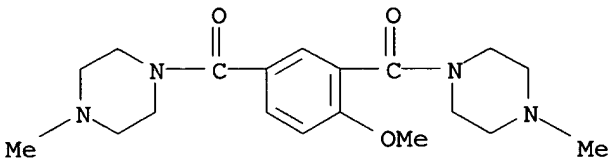
I

AB Title compound I (R = 3-pyridylmethylamino, PhCH2NH, 4-MeC6H6NH, PhNMe, dibenzylamino, 4-O2NC6H4NH, cyclohexylamino, pyrrolidino, piperidino, morpholino, N-methylpiperazinyl, 2-pyridylamino, etc.) were prepared in 33.0-93.5% yield by amidation of I (R = OH) with amines.

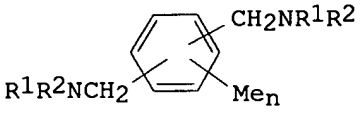
IT **143570-03-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 143570-03-0 CAPLUS

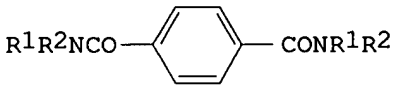
CN Piperazine, 1,1'-[(4-methoxy-1,3-phenylene)dicarbonyl]bis[4-methyl- (9CI) (CA INDEX NAME)



L6 ANSWER 42 OF 50 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1988:215837 CAPLUS
DOCUMENT NUMBER: 108:215837
TITLE: Relations between chemical structure and analgesic action of some diamines and aminoethers
AUTHOR(S): Dobrescu, Dumitru; Iovu, Mircea; Georgescu, Cornel; Stoicescu, Vasile; Cristea, Aurelia
CORPORATE SOURCE: Fac. Pharm., Bucharest, Rom.
SOURCE: Revue Roumaine de Chimie (1987), 32(9-10), 995-1000
CODEN: RRCHAX; ISSN: 0035-3930
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

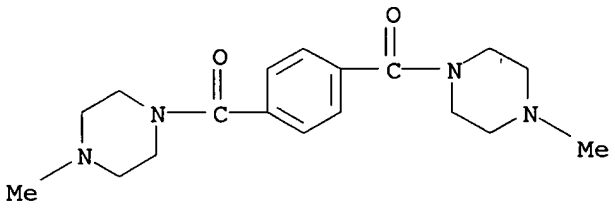


II

AB The structure-analgesic activity relationships were studied by the Free-Wilson model of 54 compds. belonging to α,α'-diaminoxylenes (I), terephthaldiamides (II) (R1R2N = alkylamino or heterocyclic amine) and monoaminomethyl alkyl ethers R1R2NCH2OR (III) or R1R2NCH2OR(IV) where R = Ph or cycloalkyl. The analgesic activity was measured in mice and compared to that of normainophenazone. I with n = 4 were more active than compds. with n <4. A comparison of the corresponding compds. I (n = 0) and II showed that II were more active. Alkyl ethers III attached to O were less active than IV. The most active amino groups were di-sec-butylamino, benzylpiperidino, and N-phenylpiperazino substituents.

IT **104560-24-9**
RL: BIOL (Biological study)
(structure-analgesic activity relationships of)

RN 104560-24-9 CAPLUS
CN Piperazine, 1,1'-(1,4-phenylenedicarbonyl)bis[4-methyl- (9CI) (CA INDEX NAME)



L6 ANSWER 43 OF 50 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1987:166312 CAPLUS
DOCUMENT NUMBER: 106:166312
TITLE: Heat-sensitive two-color adhesive recording label
INVENTOR(S): Iiyama, Kiyotaka; Inaba, Norihiko
PATENT ASSIGNEE(S): Ricoh Co., Ltd., Japan
SOURCE: Ger. Offen., 59 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

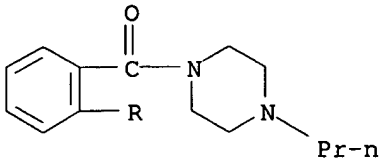
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3610588	A1	19861002	DE 1986-3610588	19860327
DE 3610588	C2	19880616		
JP 61222789	A2	19861003	JP 1985-64894	19850328
JP 07010620	B4	19950208		
US 4663641	A	19870505	US 1986-839931	19860317
GB 2173012	A1	19861001	GB 1986-7501	19860326
GB 2173012	B2	19890621		

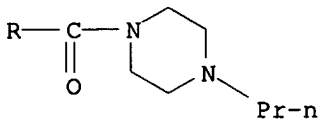
PRIORITY APPLN. INFO.: JP 1985-64894 A 19850328

AB A heat-sensitive 2-color adhesive recording label giving clear color images with excellent color separation and high d. is composed of a 1st heat-sensitive high-temperature color-forming layer, a 2nd heat-sensitive low-temperature color-forming layer containing a decolorization agent, an adhesive layer, and a strippable covering foil. The decolorization agent, which also can be in an interlayer, is a piperazine derivative or an amide derivative A paper sheet was coated with a 1st heat-sensitive layer, a decolorization layer containing a mixture of terephthaloyldipiperidine and isophthaloyldi(cyclohexylmethylamide), a 2nd heat-sensitive color-forming layer, and a protective layer to give a material which was then coated on the backside with an adhesive layer and a strippable foil. The resultant material was then thermally recorded upon in a bar-code printer to produce a clear black image in the 2nd heat-sensitive color-forming layer and a clear orange-red image in the 1st heat-sensitive color-forming layer. The images had a sharp color separation and were stable.

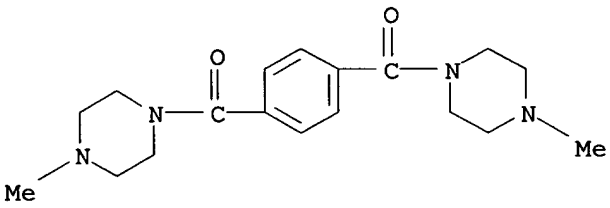
IT **104541-45-9 104560-24-9 104560-25-0**
104560-26-1 104560-30-7 104560-31-8
RL: USES (Uses)
(heat-sensitive two-color adhesive recording label with interlayer containing, as decolorization agent)

RN 104541-45-9 CAPLUS
CN Piperazine, 1,1'-(1,2-phenylenedicarbonyl)bis[4-propyl- (9CI) (CA INDEX NAME)

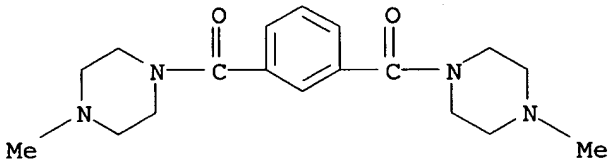




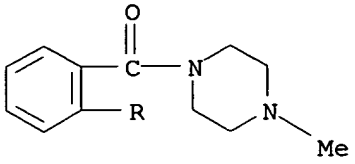
RN 104560-24-9 CAPLUS
CN Piperazine, 1,1'-(1,4-phenylenedicarbonyl)bis[4-methyl- (9CI) (CA INDEX NAME)

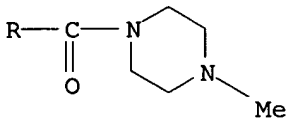


RN 104560-25-0 CAPLUS
CN Piperazine, 1,1'-(1,3-phenylenedicarbonyl)bis[4-methyl- (9CI) (CA INDEX NAME)

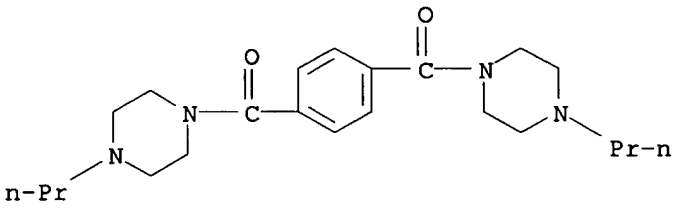


RN 104560-26-1 CAPLUS
CN Piperazine, 1,1'-(1,2-phenylenedicarbonyl)bis[4-methyl- (9CI) (CA INDEX NAME)

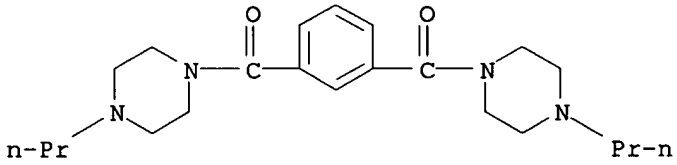




RN 104560-30-7 CAPLUS
CN Piperazine, 1,1'-(1,4-phenylenedicarbonyl)bis[4-propyl- (9CI) (CA INDEX NAME)



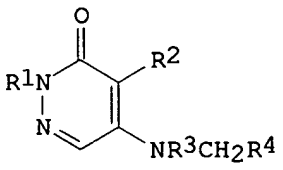
RN 104560-31-8 CAPLUS
CN Piperazine, 1,1'-(1,3-phenylenedicarbonyl)bis[4-propyl- (9CI) (CA INDEX NAME)



L6 ANSWER 44 OF 50 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1986:626609 CAPLUS
DOCUMENT NUMBER: 105:226609
TITLE: 3(2H)-Pyridazinones and antiallergic agents containing them
INVENTOR(S): Mutsukado, Motoo; Tanikawa, Keizo; Shikada, Kenichi; Sakoda, Ryozo
PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan
SOURCE: Eur. Pat. Appl., 126 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 186817	A1	19860709	EP 1985-115655	19851209
EP 186817	B1	19890802		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 61267560	A2	19861127	JP 1985-276436	19851209
JP 06041455	B4	19940601		
AT 45150	E	19890815	AT 1985-115655	19851209
CA 1265798	A1	19900213	CA 1985-497201	19851209
HU 45732	A2	19880829	HU 1986-2854	19860710
HU 196378	B	19881128		
HU 60252	A2	19920828	HU 1986-2860	19860710
HU 208678	B	19931228		
ZA 8605384	A	19870325	ZA 1986-5384	19860718
SU 1468415	A3	19890323	SU 1986-4027913	19860807
DD 251973	A5	19871202	DD 1986-293856	19860826
US 5098900	A	19920324	US 1988-180599	19880411
PRIORITY APPLN. INFO.:			JP 1984-260342 A	19841210
			EP 1985-115655 A	19851209
			US 1985-806812 B1	19851210

GI



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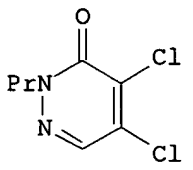


II

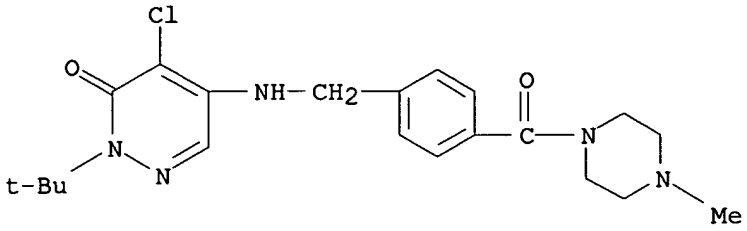
AB The title compds. [I; R1 = alkyl; R2 = H, alkyl, Cl, Br; R3 = H, alkyl; R4 = (un)substituted Ph] were prepared as antiallergics. Thus, 3,4-(MeO)2C6H3CHO was reductively aminated with (HONH2)2.H2SO4 and Raney Ni to give 3,4-(MeO)2C6H3CH2NH2. This was condensed with dichloropyridazone II to give I]R1 = Pr, R2 = Cl, R3 = H, R4 = 3,4-(MeO)2C6H3] (III). In isolated guinea pig trachea 5 + 10-5 g III/mL gave 100% inhibition of leukotriene C4-induced contraction. Tablets of 50 mg were prepared from a formulation comprising I 10, lactose 20, starch 4, starch for paste 1, Ca carboxymethylcellulose 7, and Mg stearate 0.1 g.

IT **104565-13-1P 104565-14-2P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antiallergic)

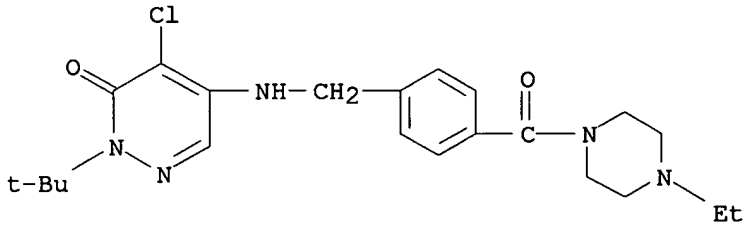
RN 104565-13-1 CAPLUS

CN Piperazine, 1-[4-[[[5-chloro-1-(1,1-dimethylethyl)-1,6-dihydro-6-oxo-4-pyridazinyl]amino]methyl]benzoyl]-4-methyl- (9CI) (CA INDEX NAME)



RN 104565-14-2 CAPLUS

CN Piperazine, 1-[4-[[[5-chloro-1-(1,1-dimethylethyl)-1,6-dihydro-6-oxo-4-pyridazinyl]amino]methyl]benzoyl]-4-ethyl- (9CI) (CA INDEX NAME)



L6 ANSWER 45 OF 50 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1986:562351 CAPLUS
DOCUMENT NUMBER: 105:162351
TITLE: Heat-sensitive two-color recording material
INVENTOR(S): Inaba, Norihoko; Iiyama, Kiyotaka
PATENT ASSIGNEE(S): Ricoh Co., Ltd., Japan
SOURCE: Ger. Offen., 38 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3540627	A1	19860522	DE 1985-3540627	19851115
DE 3540627	C2	19881006		
JP 61120792	A2	19860607	JP 1984-241333	19841115
JP 06030955	B4	19940427		
JP 61152484	A2	19860711	JP 1984-273816	19841227
JP 06030956	B4	19940427		
GB 2167201	A1	19860521	GB 1985-28253	19851115
GB 2167201	B2	19880810		
US 4613878	A	19860923	US 1985-798420	19851115

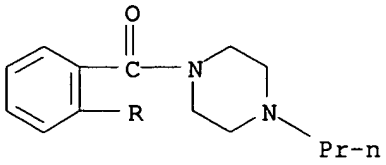
PRIORITY APPLN. INFO.: JP 1984-241333 A 19841115
JP 1984-273816 A 19841227

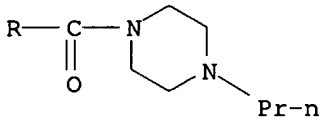
OTHER SOURCE(S): MARPAT 105:162351

AB Heat-sensitive 2-color recording materials giving sharp color images with good color separation and high d., which do not fade in the course of time, are composed of a support, a 1st heat-sensitive color-forming layer, and a 2nd heat-sensitive color-forming layer containing a basic leuco dye and a color developer and having a lower color-forming temperature than that of the 1st layer. The 2nd heat-sensitive layer may also contain a decolorizing agent or the decolorizing agent may be contained in an intermediate layer. Thus, a paper support was coated with a mixture containing 10 parts of a dispersion containing 3-diethylamino-7-chlorofluoran 20, 10% aqueous hydroxyethylcellulose 20, and water 60 parts, 60 parts of a dispersion containing Bisphenol A 12, ethylenebis(stearamide) 6, CaCO3 9, 10% aqueous poly(vinyl alc.) 30, and water 43 parts, and 30 parts water to give a 1st heat-sensitive red-forming layer; a mixture containing N,N'-isophthaloylbiscaprolactam 20, 10% aqueous poly(vinyl alc.) 20, and water 60 parts to give a decolorizing layer; and a mixture containing 10 parts of a dispersion containing 3-(N-ethyl-N-amylamino)-6-methyl-7-anilinofluoran 20, 10% aqueous hydroxyethyl cellulose 20, and water 60 parts, 40 parts of a dispersion containing 3,3-dichlorophenylthiourea 12, stearamide 6, CaCO3 12, 10% aqueous poly(vinyl alc.) 30, and water 40 parts to give a 2nd heat-sensitive black-forming layer. The resultant material was recorded on at 1.0 mJ and 3.0 mJ/point to show a red image d. of 1.01 in the 1st layer and a black image d. of 1.10 in the 2nd layer and excellent color separation

IT **104541-45-9**
RL: USES (Uses)
(thermal two-color recording material with decolorizing layer containing, for improved color separation)

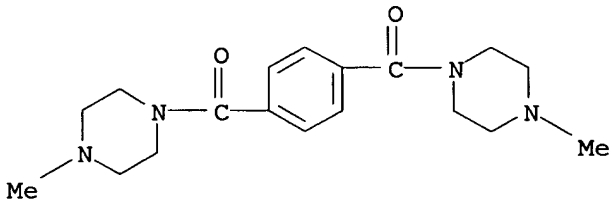
RN 104541-45-9 CAPLUS
CN Piperazine, 1,1'-(1,2-phenylenedicarbonyl)bis[4-propyl- (9CI) (CA INDEX NAME)



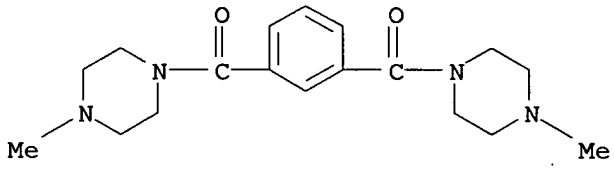


IT **104560-24-9 104560-25-0 104560-26-1**
104560-30-7 104560-31-8
RL: USES (Uses)
(two-color thermal recording material containing)

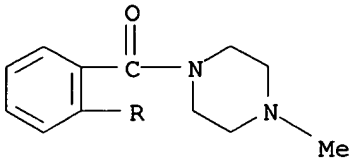
RN 104560-24-9 CAPLUS
CN Piperazine, 1,1'-(1,4-phenylenedicarbonyl)bis[4-methyl- (9CI) (CA INDEX NAME)

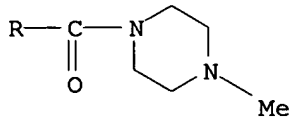


RN 104560-25-0 CAPLUS
CN Piperazine, 1,1'-(1,3-phenylenedicarbonyl)bis[4-methyl- (9CI) (CA INDEX NAME)

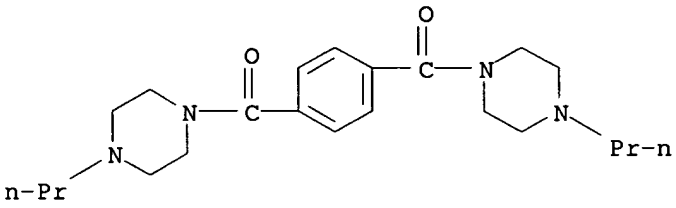


RN 104560-26-1 CAPLUS
CN Piperazine, 1,1'-(1,2-phenylenedicarbonyl)bis[4-methyl- (9CI) (CA INDEX NAME)

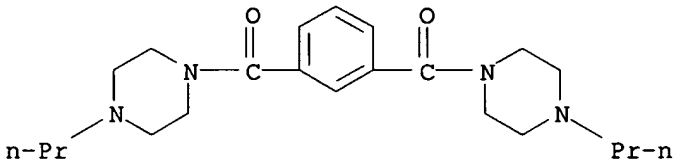




RN 104560-30-7 CAPLUS
CN Piperazine, 1,1'-(1,4-phenylenedicarbonyl)bis[4-propyl- (9CI) (CA INDEX NAME)



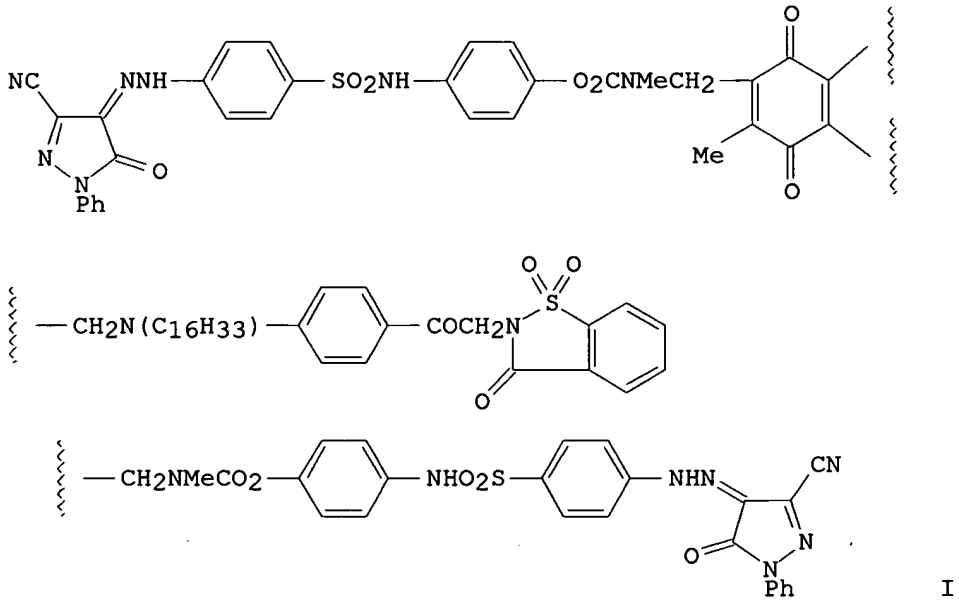
RN 104560-31-8 CAPLUS
CN Piperazine, 1,1'-(1,3-phenylenedicarbonyl)bis[4-propyl- (9CI) (CA INDEX NAME)



L8 ANSWER 46 OF 50 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1985:195055 CAPLUS
DOCUMENT NUMBER: 102:195055
TITLE: Light-sensitive photographic material containing immobile linked-donor-acceptor compounds
INVENTOR(S): Komaya, Koichi; Noguchi, Yasuhiro; Toriuchi, Masaharu
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd. , Japan
SOURCE: Ger. Offen., 85 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3413096	A1	19841011	DE 1984-3413096	19840406
JP 59185333	A2	19841020	JP 1983-60289	19830406
JP 02034374	B4	19900802		
GB 2140927	A1	19841205	GB 1984-8910	19840406
GB 2140927	B2	19860903		
US 4551423	A	19851105	US 1984-597623	19840406

PRIORITY APPLN. INFO.: JP 1983-60289 A 19830406
GI



I

AB Immobile linked-donor-acceptor compds., which release a diffusible dye or its precursor by a redox reaction, are described for use in preparing pos. diffusion-transfer materials. Thus, a photosensitive material was prepared by coating a poly(ethylene terephthalate) support with an image acceptor layer, a white reflection layer, a light screening layer, a gelatin-I layer (5.0 + 10-4 mol/m2), a gelatin-Ag(Br,I) emulsion layer, and a gelatin protective layer. This material was then exposed, combined with a polymer-coated top sheet and processed to give a yellow image with a Dmax

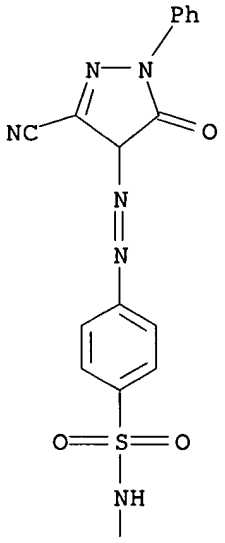
of 1.84 and a Dmin of 0.24 vs. 1.6 and 0.23, resp., for a control containing an electron donor precursor and a color forming material.

IT **96144-90-0**
RL: USES (Uses)
(photog. immobile linked-donor-acceptor compound, for color materials)

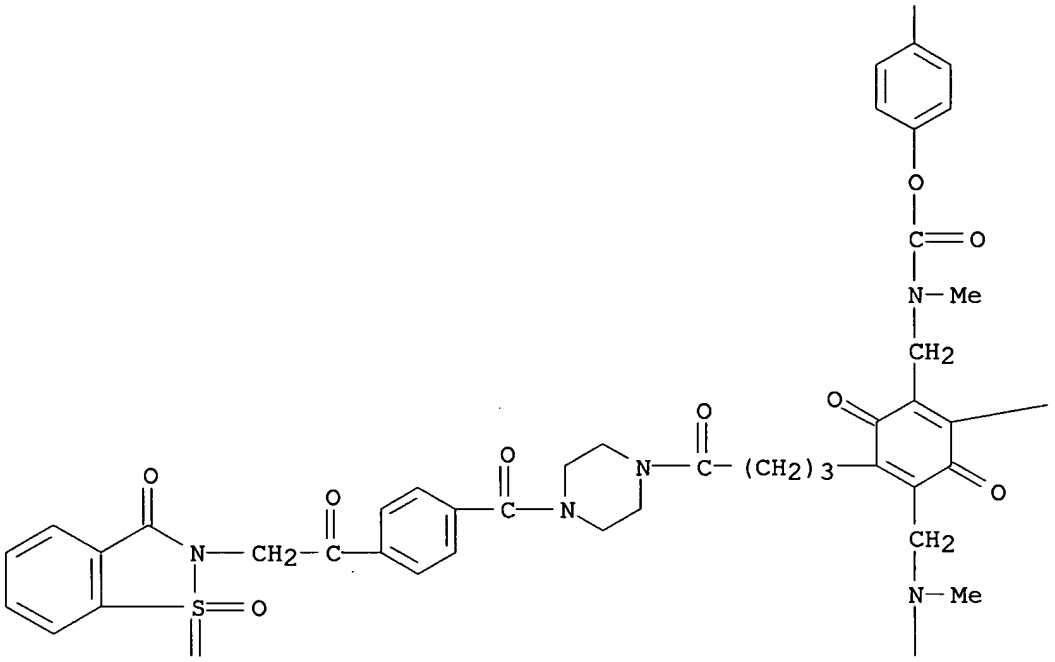
RN 96144-90-0 CAPLUS

CN Carbamic acid, [[5-[4-[4-[4-[(1,1-dioxido-3-oxo-1,2-benzisothiazol-2(3H)-yl)acetyl]benzoyl]-1-piperazinyl]-4-oxobutyl]-2-dodecyl-3,6-dioxo-1,4-cyclohexadiene-1,4-diyl]bis(methylene)]bis[methyl-, bis[4-[[[4-[(3-cyano-4,5-dihydro-5-oxo-1-phenyl-1H-pyrazol-4-yl)azo]phenyl]sulfonyl]amino]phenyl] ester (9CI) (CA INDEX NAME)

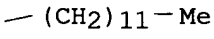
PAGE 1-A



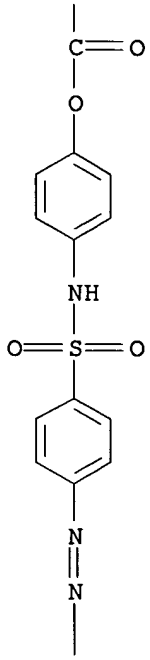
PAGE 2-A



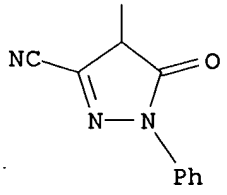
PAGE 2-B



PAGE 3-A



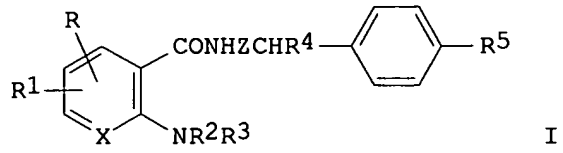
PAGE 4-A



L6 ANSWER 47 OF 50 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1981:515322 CAPLUS
DOCUMENT NUMBER: 95:115322
TITLE: Carboxylic acid derivatives and medicaments containing them
INVENTOR(S): Griss, Gerhart; Sauter, Robert; Grell, Wolfgang; Hurnaus, Rudolf; Rupprecht, Eckhard; Kaubisch, Nikolaus; Kaehling, Joachim; Eisele, Bernhard; Piper, Helmut; Noll, Klaus
PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H., Fed. Rep. Ger.
SOURCE: Eur. Pat. Appl., 271 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
EP 23569	A1	19810211	EP 1980-103670		19800628
EP 23569	B1	19830622			
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE					
DE 2928352	A1	19810115	DE 1979-2928352		19790713
DE 2949259	A1	19810611	DE 1979-2949259		19791207
DE 3016650	A1	19811105	DE 1980-3016650		19800430
DE 3016651	A1	19811105	DE 1980-3016651		19800430
EP 63826	A2	19821103	EP 1982-104991		19800628
EP 63826	A3	19821229			
EP 63826	B1	19841205			
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE					
AT 3862	E	19830715	AT 1980-103670		19800628
AT 10632	E	19841215	AT 1982-104991		19800628
AU 8060362	A1	19810115	AU 1980-60362		19800711
AU 535924	B2	19840412			
HU 27876	O	19831128	HU 1983-1085		19800711
HU 186675	B	19850930	HU 1980-1085		19800711
ES 501882	A1	19820301	ES 1981-501882		19810505
ES 501883	A1	19820301	ES 1981-501883		19810505
ES 501884	A1	19820301	ES 1981-501884		19810505
NO 8403735	A	19810114	NO 1984-3735		19840919
PRIORITY APPLN. INFO.:			DE 1979-2928352	A	19790713
			DE 1979-2949259	A	19791207
			DE 1980-3016650	A	19800430
			DE 1980-3016651	A	19800430
			EP 1980-103670	A	19800628
			EP 1982-104991		19800628

OTHER SOURCE(S): CASREACT 95:115322; MARPAT 95:115322
GI



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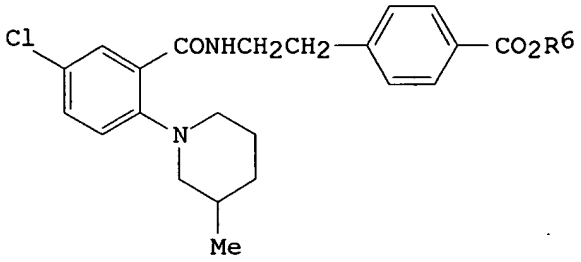


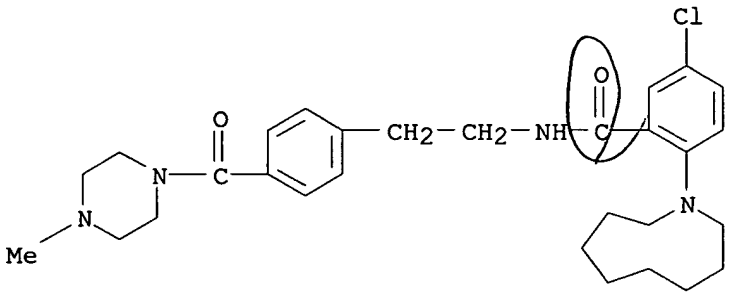
III

AB Carboxamides I [R = H, Cl, Br, C4-7 cyclic alkylenimins; R1 = H, F, Cl, Br, C1-6 alkyl or alkoxy, Ph-substituted C1-3 alkoxy, OH, NO2, NH2, cyano, CO2H, alkanoylamine, alkoxycarbonyl, di-C1-3-alkylamidosulfonyl; R2, R3 independently = C1-7 alkyl C3-7 alkenyl or cycloalkyl, Ph-substituted C1-3 alkyl, Ph, adamantyl; NR2R3 = C4-6 cyclic (un)substituted alkylenimins optionally with CH2 replaced by O, S, CO, S(O), S(O2), C7-10 azabicycloalkyl, alkyl-substituted piperidino, C6-9 1,4-dioxa-8-azaspiroalkyl, (CH2)nN (n = 3-5, 7-12); R4 = H, C1-3 alkyl; R5 = H, halo, NO2, NH2, cyano, CHO, CH2OH, CH2CH2CO2H, (esterified) CO2H, substituted Me, Ac, Et, H2NCO, piperidino-, morpholino-, thiomorpholino-, or N-alkylpiperazinocarbonyl; X = N or CH; Z = O, an imino group, or a methylene group optionally subst. with 1 or 2 C1-C3 alkyl groups] and their physiol. tolerable salts, useful as hypoglycemics, anticholesteremics, and hypolipemics (data tabulated), were prepared by numerous methods. Refluxing 2,5-Cl(O2N)C6H3CO2H and 2-methylpiperdine in EtOH gave 85% 2-(3-methylpiperidine)-5-nitrobenzoic acid which was hydrogenated over Pd/C to 75% the 5-amino analog II. Gattermann reaction of II gave 47% 5-chloro-3-(2-methylpiperidino) benzoic acid which reacted with N,N'-carbonyldiimidazole in THF to give the imidazolide. Treating this with 4-(H2NCH2CH2)C6H4CO2Me gave 51% benzamide III (R6 = Me), saponification
of which gave 83% III (R6 = H). At 5 mg/kg (rats), III (R = H) lowered blood sugar 44, 42, 38, and 35% after 1, 2, 3, and 4 h, resp.

IT **78254-12-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 78254-12-3 CAPLUS

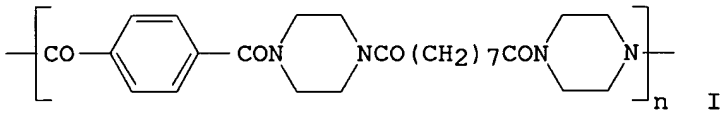
CN Benzamide, 5-chloro-N-[2-[4-[(4-methyl-1-piperazinyl)carbonyl]phenyl]ethyl]-2-(octahydro-1H-azonin-1-yl)- (9CI) (CA INDEX NAME)


Cl
O
O
CH2
CH2
NH
C
N
C
N
Me
N

L6 ANSWER 48 OF 50 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1979:611422 CAPLUS
DOCUMENT NUMBER: 91:211422
TITLE: Piperazinecarboxamides
INVENTOR(S): Noguchi, Tamiharu; Kamiyama, Takahisa; Matsumura, Masahiro
PATENT ASSIGNEE(S): Matsushita Electric Works, Ltd., Japan
SOURCE: Jpn. Tokkyo Koho, 4 pp.
CODEN: JAXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 54014158	B4	19790605	JP 1971-61239	19710812
JP 48026893	A2	19730409	JP 1971-61239	19710812

PRIORITY APPLN. INFO.: JP 1971-61239 19710812
GI

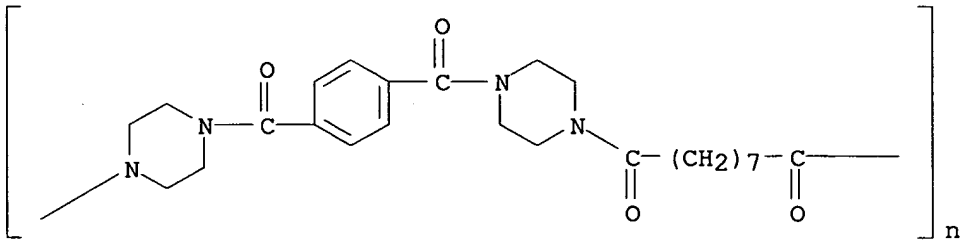


I

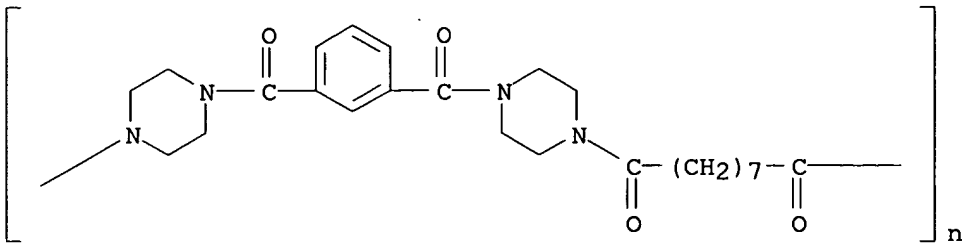
AB The title compound I (n = undefined) was prepared in 65% yield by treatment of piperazine with ClCO(CH2)7COCl in Me2CO containing Et3N to give a bispiperazine derivative which was treated with terephthaloyl chloride. Addnl. obtained were the isophthaloyl derivs.

IT **71602-86-3P 71602-87-4P 71602-88-5P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and viscosity of)

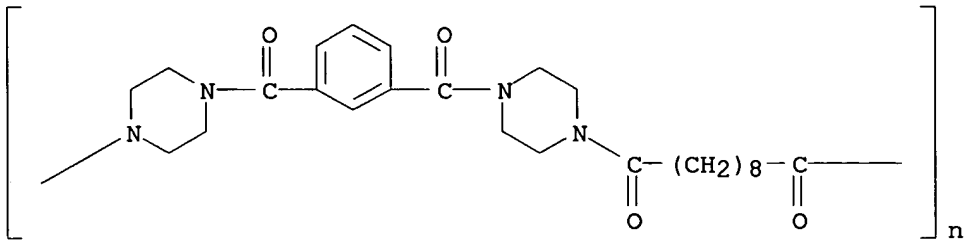
RN 71602-86-3 CAPLUS
CN Poly[1,4-piperazinediylcarbonyl-1,4-phenylenecarbonyl-1,4-piperazinediyl(1,9-dioxo-1,9-nonanediyl)] (9CI) (CA INDEX NAME)



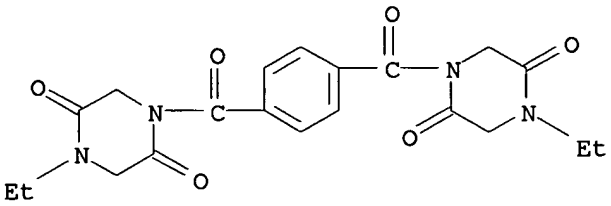
RN 71602-87-4 CAPLUS
CN Poly[1,4-piperazinediylcarbonyl-1,3-phenylenecarbonyl-1,4-piperazinediyl(1,9-dioxo-1,9-nonanediyl)] (9CI) (CA INDEX NAME)



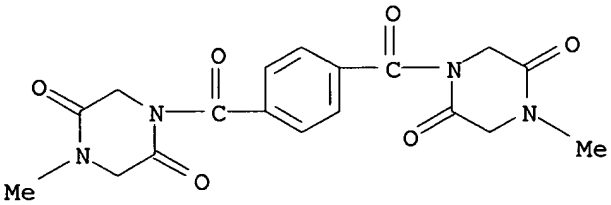
RN 71602-88-5 CAPLUS
CN Poly[1,4-piperazinediylcarbonyl-1,3-phenylenecarbonyl-1,4-piperazinediyl(1,10-dioxo-1,10-decanediyl)] (9CI) (CA INDEX NAME)



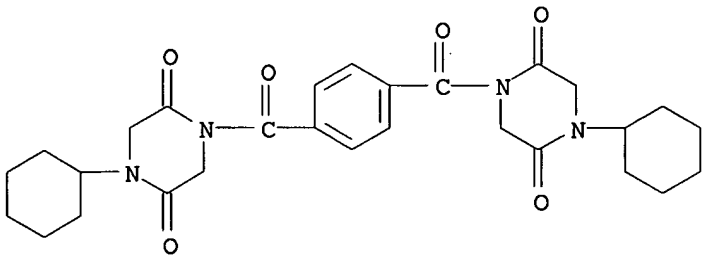
L6 ANSWER 49 OF 50 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1968:2876 CAPLUS
DOCUMENT NUMBER: 68:2876
TITLE: Reaction of dicarboxylic acid dichlorides and 1-alkyl-2,5-dioxopiperazines
AUTHOR(S): Augustin, Manfred; Gruenwald, Gerold
CORPORATE SOURCE: Martin-Luther-Univ., Halle-Wittenberg, Fed. Rep. Ger.
SOURCE: Zeitschrift fuer Chemie (1967), 7(10), 389
CODEN: ZECEAL; ISSN: 0044-2402
DOCUMENT TYPE: Journal
LANGUAGE: German
GI For diagram(s), see printed CA Issue.
AB 1-(R-Substituted)-2,5-dioxopiperazines (I) were prepared by cyclization of diglycine derivs. by the method of Foye and Kay (CA 55: 4522f). The following I were prepared (R and m.p. given): Pr, 168-9°; Bu, 176-7°; iso-Bu, 189-90°; MeCHPh, 157-8°. Treatment of I with dicarboxylic acid dichlorides led to II. The following II were prepared [X = CO(CH2)4CO] (R and m.p. given): Me, 183-4°; Et, 180-1°; Pr, 171-2°; Bu, 180-1°; iso-Bu, 194-6°; C6H11, 248-9°; PhCH2, 176-7°; where [X = CO(CH2)8CO] (R and m.p. given): Me, 176-8°; Et, 161-2°; iso-Bu, 158-9°; Bu, 171-2°; PhCH2, 160-2°; where (X = p-COC6H4CO) (R and m.p. given): Me, 280-1°; Et, 243-5°; PhCH2, 242-3°; C6H11, 255-7°; where [X = p-CO(C6H4)2CO] (R and m.p. given): Et, 287-9°; iso-Bu, 257-9°; PhCH2, 247-9°; C6H11, 285-7°; and where [X = p-CO(C6H4)3CO] (R and m.p. given): Et, 270-2°; iso-Bu, 285-7°; PhCH2, 310-12°; Ph, 292-4°.
IT **16350-73-5P 16350-88-2P 16416-86-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 16350-73-5 CAPLUS
CN 2,5-Piperazinedione, 1,1'-terephthaloylbis[4-ethyl- (8CI) (CA INDEX NAME)



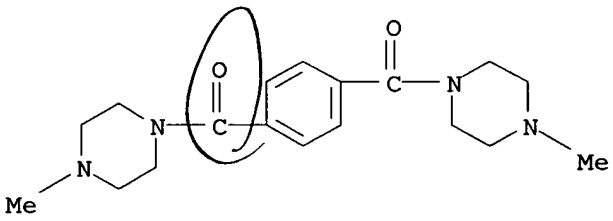
RN 16350-88-2 CAPLUS
CN 2,5-Piperazinedione, 1,1'-terephthaloylbis[4-methyl- (8CI) (CA INDEX NAME)



RN 16416-86-7 CAPLUS
CN 2,5-Piperazinedione, 1,1'-terephthaloylbis[4-cyclohexyl- (8CI) (CA INDEX NAME)



L8 ANSWER 50 OF 50 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1962:456631 CAPLUS
DOCUMENT NUMBER: 57:56631
ORIGINAL REFERENCE NO.: 57:11316b-d
TITLE: Biophysical studies with synthetic lecithin as a means to new way of chemotherapy
AUTHOR(S): Hirt, R; Berchtold, R.
CORPORATE SOURCE: Forschungsinstitut Dr. A. Wander A-G., Bern, Switz.
SOURCE: Experientia (1961), 17, 418-20
CODEN: EXPEAM; ISSN: 0014-4754
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB cf. CA 54, 24914h. Lecithin has been assumed by act as a "carrier" in ion transport across the lipid part of the cell wall. By using a simple model, lecithin dissolved in CCl4 was shown to transfer an anion (tropaolin) (I) from an aqueous solution to a lipid phase. Polybasic materials, such as protamin and polymyxin, promoted the transport rote the lipid phase. A number of poly-basic materials were synthesized and studied. Of especially interest were those with the structure I. Cations which promoted the transfer of I interfered with the transfer of trypaflavine (a cation). Some of the recently synthesized materials prolonged the life of mice with leukemia
IT **94997-85-0**, Piperazine, 1,1'-terephthaloylbis[4-methyl-, dihydrochloride
(transfer across cell wall of, lecithin in)
RN 94997-85-0 CAPLUS
CN Piperazine, 1,1'-terephthaloylbis[4-methyl-, dihydrochloride (7CI) (CA INDEX NAME)



●2 HCl

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     FILE 'REGISTRY' ENTERED AT 13:02:18 ON 16 MAY 2006
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L2             27 S L1
L3                STRUCTURE UPLOADED
L4              7 S L3
L5            251 S L3 SSS FUL

     FILE 'CAPLUS' ENTERED AT 13:34:48 ON 16 MAY 2006
L6             50 S L5
L7              1 S 140:391298/DN
                  SELECT RN L7 1-

     FILE 'REGISTRY' ENTERED AT 13:37:47 ON 16 MAY 2006
L8             88 S E1-88
L9             50 S L5 AND L8
L10            38 S L8 NOT L9
L11            11 S L10 AND NRS>1
L12            27 S L10 NOT L11

=>
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7 no further species from applicants these are all intermediates